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L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
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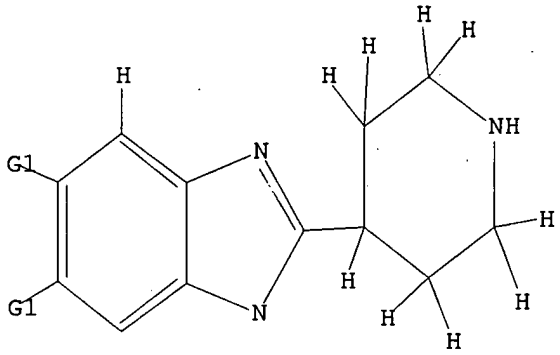


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G1 Ak,H,O,N,CF3,CCl3,CBr3,NO2,C,NH,NH2,X


Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 11:21:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    356 TO ITERATE

100.0% PROCESSED     356 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5988 TO     8252
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 11:21:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   6964 TO ITERATE

100.0% PROCESSED    6964 ITERATIONS                         27 ANSWERS
SEARCH TIME: 00.00.01

L3           27 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                        SINCE FILE     TOTAL
                                              ENTRY     SESSION
FULL ESTIMATED COST                          148.15      148.36

FILE 'CAPLUS' ENTERED AT 11:21:16 ON 24 JUN 2003
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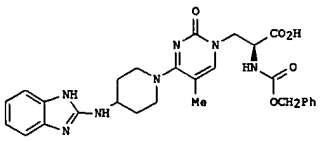
FILE COVERS 1907 - 24 Jun 2003 VOL 138 ISS 26
FILE LAST UPDATED: 23 Jun 2003 (20030623/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 32 L3

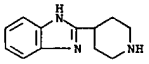
=> d ibib abs hitstr tot

L4 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:943625 CAPLUS
DOCUMENT NUMBER: 138:368840
TITLE: Highly potent and selective .alpha.V.beta.3-receptor antagonists: solid-phase synthesis and SAR of 1-substituted 4-amino-1H-pyrimidin-2-ones
AUTHOR(S): Zechel, Christian; Backfisch, Gisela; Delzer, Jurgen; Geneste, Herve; Graef, Claudia; Hornberger, Wilfried; Kling, Andreas; Lange, Udo E. W.; Lauterbach, Arnulf; Seitz, Werner; Subkowski, Thomas
CORPORATE SOURCE: BASF AG, Ludwigshafen, D-67056, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(2), 165-169
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Solid-phase synthesis and SAR of .alpha.V.beta.3-receptor antagonists based on a N1-substituted 4-amino-1H-pyrimidin-2-one scaffold are described. The most potent compds., e.g. I, exhibited IC50 values towards .alpha.V.beta.3 in the nano- to subnanomolar range and high selectivity vs. related integrins like .alpha.IIb.beta.3. For selected examples efficacy in functional cellular assays was demonstrated.
IT **38385-95-4**
RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)
(solid-phase synthesis and SAR of 1-substituted 4-amino-1H-pyrimidin-2-ones as .alpha.V.beta.3-receptor antagonists)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:676008 CAPLUS
DOCUMENT NUMBER: 137:216949
TITLE: Preparation of benzimidazole derivatives as poly(ADP-ribose) polymerase (PARP) inhibitors
INVENTOR(S): Takayama, Kazuhisa; Kimura, Takenori; Masuda, Naoyuki; Naito, Ryo; Okamoto, Yoshinori; Koga, Yuji; Okada, Yohei; Takeuchi, Makoto
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002068407 | A1 | 20020906 | WO 2002-JP1741 | 20020226 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-54693 A 20010228
OTHER SOURCE(S): MARPAT 137:216949
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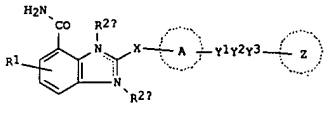
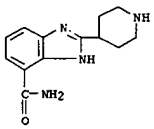


I

AB The title compds. I [R1 = H, alkyl, etc.; R2a, R2b = H, alkyl, or nonexistent; the dotted line indicates the double bond or single bond; ring A = N-contg. satd. heterocyclic ring; X = (oxo-substituted) alkylene, or bond; Y1, Y3 = (oxo-substituted) alkylene, etc.; Y2 = O, S, etc.; ring Z = (un)substituted cycloalkyl, etc.; provisos are given] are prepd. 2-[1-[4-(4-Fluorophenoxy)butyl]piperidin-4-yl]-1H-benzimidazole-4-carboxamide 2HCl salt in vitro showed IC50 of 8.2 nM against poly(ADP-ribose) polymerase.
IT **454715-39-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of benzimidazole derivs. as poly(ADP-ribose) polymerase inhibitors)
RN 454715-39-0 CAPLUS
CN 1H-Benzimidazole-4-carboxamide, 2-(4-piperidinyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
CM 1

CRN 272769-47-8
CMF C13 H16 N4 O



CM 2

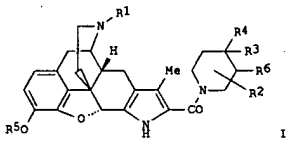
CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:293658 CAPLUS
DOCUMENT NUMBER: 136:325721
TITLE: Preparation of morphinoids containing a fused pyrrole moiety for therapeutic use as selective .delta.-opioid receptor agonists
INVENTOR(S): Dondio, Giulio; Gagliardi, Stefania; Graziani, Davide
PATENT ASSIGNEE(S): Glaxosmithkline S.P.A., Italy
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002030936 | A1 | 20020418 | WO 2001-EP11556 | 20011005 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002018210 | A5 | 20020422 | AU 2002-18210 | 20011005 |

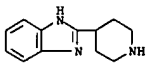
PRIORITY APPLN. INFO.: GB 2000-25057 A 20001012
WO 2001-EP11556 W 20011005
OTHER SOURCE(S): MARPAT 136:325721
GI



I

AB Pyrrolomorphinoid carboxamides, such as I [R1 = H, alkenyl, alkyl; R2 = H, alkyl, alkylene; R3 = H, alkyl, aryl, cycloalkyl, heterocyclyl, etc.; R4 = H, CN, OH, alkyl, acyl, alkyloxy, etc.; R3R4 = spirocycloalkyl, spiroheterocyclyl; R5 = H, alkyl; R6 = H; R3R6 = bond], were prepd. for pharmaceutical use as selective .delta.-opioid receptor agonists. Thus, I (R1 = R5 = Me, R2 = R3 = R6 = H, R4 = Ph) was prepd. via a series of synthetic steps which included cyclocondensation of dihydrocodeinone with MeCOC(:NNHPh)CO2Et to form the corresponding pyrrolomorphinoid Et ester, conversion of the Et ester to the sodium pyrrolomorphinoid carboxylate, in

L4 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
situ formation of the pyrrolomorphinoid carboxylic acid chloride, and amide formation of the acid chloride with 4-phenylpiperidine. The prepd. pyrrolomorphinoids were tested for selective .delta.-opioid receptor binding activity using cloned human .delta.-, .mu.-, and .kappa.-opioid receptors.
IT 38385-95-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of pyrrolomorphinoids for therapeutic use as selective .delta.-opioid receptor agonists)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



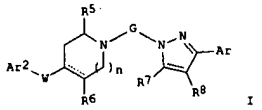
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:184898 CAPLUS
DOCUMENT NUMBER: 136:247575
TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies
INVENTOR(S): Butler, Christopher R.; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson, Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sehon, Clark A.; Sun, Siquan; Tays, Kevin L.; Thurmond, Robin L.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002020011 | A2 | 20020314 | WO 2001-US27429 | 20010905 |
| WO 2002020011 | A3 | 20020613 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003078419 | A1 | 20030424 | US 2001-927324 | 20010810 |
| AU 2001088706 | A5 | 20020322 | AU 2001-88706 | 20010905 |
| EP 1315490 | A2 | 20030604 | EP 2001-968461 | 20010905 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

PRIORITY APPLN. INFO.: US 2000-230407P P 20000906
US 2001-927324 A 20010810
US 2000-225178P P 20000814
WO 2001-US27429 W 20010905
OTHER SOURCE(S): MARPAT 136:247575
GI

L4 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



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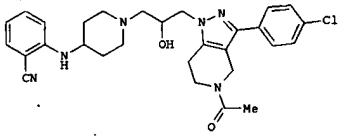
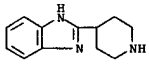


II

AB Title compds. I [wherein Ar and Ar2 = independently (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = O, S, (un)substituted N or CH, CO, CONH, NHCO, or a bond; R5 and R6 = independently H or alkyl; R7 and R8 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, or (un)substituted carbocyclyl or heterocyclyl; or R7R8 form an (un)substituted carbocyclic or heterocyclic ring; Rz = H, OH, or is absent; n = 0-2; or pharmaceutically acceptable salts, amides, esters, or stereoisomers thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl and cycloaddn. of the product with H2NNH2 gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with epichlorohydrin (60%), followed by addn. of 1,4-dioxa-8-azaspiro[4.5]decane (81%), conversion to the piperidinone (65%), and reductive addn. of 2-aminobenzonitrile (20%), afforded II. The latter inhibited recombinant human cathepsin S with IC50 of 0.73 .mu.M.
IT 38385-95-4P, 2-Piperidin-4-yl-1H-benzimidazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of phenylpyrazolopyridines as cathepsin S inhibitors for treating allergies)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:142708 CAPLUS
DOCUMENT NUMBER: 136:200182
TITLE: Substituted and/or fused pyrazoles, particularly piperidinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants
INVENTOR(S): Butler, Christopher R.; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sehon, Clark A.; Tsys, Kevin L.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

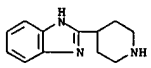
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002014315 | A2 | 20020221 | WO 2001-US25290 | 20010810 |
| WO 2002014315 | A3 | 20020613 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001086454 | A5 | 20020225 | AU 2001-86454 | 20010810 |
| US 2003078419 | A1 | 20030424 | US 2001-927324 | 20010810 |
| EP 1309593 | A2 | 20030514 | EP 2001-965898 | 20010810 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

PRIORITY APPLN. INFO.: US 2000-225178P P 20000814
US 2001-927324 A 20010810
WO 2001-US25290 W 20010810
OTHER SOURCE(S): MARPAT 136:200182
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted pyrazoles I, methods of manufg. them, compns. contg. them, and methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [R = H, OH, or absent; R1, R2 = H, alkyl; R3, R4 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R3R4 = atoms to form (un)substituted (un)satd. (non)arom. 5- to 7-membered carbo- or heterocyclic ring; Ar1 = (un)substituted mono- or bicyclic (hetero)aryl; Ar2 = (un)substituted

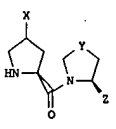
L4 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
(un)satd. (non)arom. mono- or bicyclic ring system with 0-5 heteroat. ring moieties selected from O, S, N, SO2, and CO; n = 0-2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); W = O, S, CO NONH, NHCO, (un)substituted NH or CH2; including stereoisomers, pharmaceutically acceptable salts, esters, and amides]. Claimed usages include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 350 individual compds. I were prepd. and/or claimed, with detailed prepns. given for 31 compds. For instance, 6-chloro-1-(piperidin-4-yl)-3,4-dihydro-1H-quinolin-2-one (prepd. in 6 steps) reacted with the corresponding epoxide (prepd. in several steps) to give title compd. II. In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.01 .mu.M. Compd. III is one of two specifically preferred compds.
IT **38385-95-4P**, 2-Piperidin-4-yl-1H-benzimidazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of piperidinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:142666 CAPLUS
DOCUMENT NUMBER: 136:200479
TITLE: Preparation of proline derivatives as dipeptidyl peptidase IV (DPP-IV) inhibitors and use thereof as drugs
INVENTOR(S): Kitajima, Hiroshi; Sakashita, Hiroshi; Akahoshi, Fumihiko; Hayashi, Yoshiharu
PATENT ASSIGNEE(S): Welfide Corporation, Japan
SOURCE: PCT Int. Appl., 340 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002014271 | A1 | 20020221 | WO 2001-JP6906 | 20010810 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001077754 | A5 | 20020225 | AU 2001-77754 | 20010810 |
| EP 1308439 | A1 | 20030507 | EP 2001-955660 | 20010810 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| NO 2003000619 | A | 20030226 | NO 2003-619 | 20030207 |

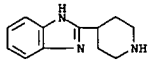
PRIORITY APPLN. INFO.: JP 2000-243217 A 20000810
JP 2000-400296 A 20001228
WO 2001-JP6906 W 20010810
OTHER SOURCE(S): MARPAT 136:200479
GI



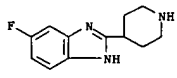
I

AB The title compds. [I; X = NR1R2, NR3COR4, NR5COR4, NR5CH2CH2NR6R7, NR8SO2R9, OR10, O2CR11; wherein R1, R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, or they are linked to each other to form a heterocyclyl contg. 1 or 2 N atoms or O which may be a spiro ring and is optionally fused to an (un)substituted arom. ring; R3, R4 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, arylalkenyl, heteroaryl, heteroarylalkyl; R5, R6, R7 = H, alkyl, acyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl, or which is optionally fused to an (un)substituted arom.

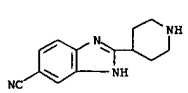
L4 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
ring; R8, R9, R10, R11 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl] or pharmacol. acceptable salts thereof are prepd. These compds. are useful for the treatment of DPP-IV related diseases such as diabetes, obesity, HIV infection, cancer metastasis, skin diseases, prostatic hypertrophy (prostatomegaly), pericementitis, or autoimmune diseases. Thus, a soln. of 0.924 g (S)-1-[(2S,4S)-4-amino-1-tert-butoxycarbonyl-2-pyrrolidinylcarbonyl]-2-cyanopyrrolidine (prepn. given), 1.7 mL diisopropylethylamine, and 0.78 g 2-chloro-4-fluorobenzonitrile in 10 mL N-methyl-2-pyrrolidone were stirred at 80.degree. for 4 h to give 0.94 g (S)-1-[(2S,4S)-1-tert-butoxycarbonyl-4-(3-chloro-4-cyanophenyl)amino-2-pyrrolidinylcarbonyl]-2-cyanopyrrolidine which (0.93 g) was treated with HCl/EtOAc at room temp. for 15 h to give (S)-1-[(2S,4S)-4-(3-chloro-4-cyanophenyl)amino-2-pyrrolidinylcarbonyl]-2-cyanopyrrolidine hydrochloride (II). II showed IC50 of 0.13 and 0.15 nM against human blood plasma DPP-IV and rat blood plasma DPP-IV, resp.
IT **38385-95-4P**, 4-(2-Benzimidazolyl)piperidine **295790-49-7P** **401568-55-6P** **401568-60-3P** **401568-63-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of proline derivs. as dipeptidyl peptidase IV (DPP-IV) inhibitors for treating DPP-IV related diseases)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 295790-49-7 CAPLUS
CN 1H-Benzimidazole, 5-fluoro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

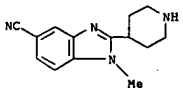


RN 401568-55-6 CAPLUS
CN 1H-Benzimidazole-5-carbonitrile, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

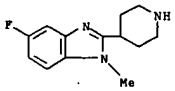


RN 401568-60-3 CAPLUS
CN 1H-Benzimidazole-5-carbonitrile, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 401568-63-6 CAPLUS
CN 1H-Benzimidazole, 5-fluoro-1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



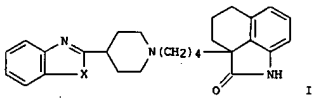
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:300709 CAPLUS
DOCUMENT NUMBER: 134:311197
TITLE: Tetrahydrobenzindolone derivatives, their preparation and their use as 5-HT7 receptor antagonists
INVENTOR(S): Bromidge, Steven Mark; Gribble, Andrew Derrick; Lovell, Peter John; Witherington, Jason
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001029029 | A1 | 20010426 | WO 2000-EP10149 | 20001013 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1222185 | A1 | 20020717 | EP 2000-971384 | 20001013 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| JP 2003512372 | T2 | 20030402 | JP 2001-531828 | 20001013 |

PRIORITY APPLN. INFO.:
GB 1999-24628 A 19991018
GB 2000-6168 A 20000314
GB 2000-18952 A 20000803
WO 2000-EP10149 W 20001013

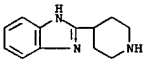
OTHER SOURCE(S): MARPAT 134:311197
GI



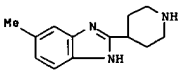
I

AB Title compds. such as I (X = NH, O, S) were prepd. as 5-HT7 receptor antagonists. Thus, triazabicyclo[4.4.0]dec-5-ene bound to polystyrene crosslinked with 2% divinylbenzene (500 mg) was added to a shaken soln. of 4-benzimidazol-2-ylpiperidine (100 mg) and 2a-(4-bromobutyl)-2a,3,4,5-tetrahydro-1H-benz[c,d]indol-2-one (200 mg) in 10 mL DMF, and after 3 days the soln. was decanted onto SCX resin and eluted with 20 mL methanol followed by 20 mL 1N methanolic NH3 to give I (X = NH) in 58% yield. I

L4 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
were sepd. into enantiomers by HPLC. When tested for their affinity for the 5-HT7 receptor, the products showed pKi >6.0, and preferred examples had pKi 8.0-9.2.
IT **38385-95-4 295790-48-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(tetrahydrobenzindolone derivs. as 5-HT7 receptor antagonists)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 295790-48-6 CAPLUS
CN 1H-Benzimidazole, 5-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

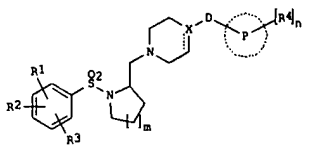
L4 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:861674 CAPLUS
DOCUMENT NUMBER: 134:29433
TITLE: Preparation of sulfonamide compounds with 5-HT7 antagonist activity
INVENTOR(S): Lovell, Peter John
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000073299 | A1 | 20001207 | WO 2000-EP4893 | 20000525 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1181287 | A1 | 20020227 | EP 2000-935141 | 20000525 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2003500488 | T2 | 20030107 | JP 2000-621365 | 20000525 |

PRIORITY APPLN. INFO.:
GB 1999-12701 A 19990601
WO 2000-EP4893 W 20000525

OTHER SOURCE(S): MARPAT 134:29433
GI

L4 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



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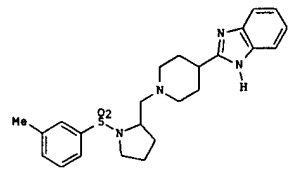
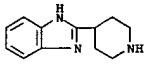


II

AB The title compds. [I; R1-R3 = H, halo, OH, etc.; m = 1-2; X = N, C, CH; D = a bond, CO, O, CH2, with the proviso that when X = N then D is not O; P = Ph, naphthyl, 5-6 membered heteroaryl contg. 1-3 heteroatoms selected from O, N and S, etc.; R4 = alkyl optionally substituted by NR5R6, aryl, arylalkyl, etc.; R5, R6 = H, alkyl, aryl, etc.; n = 0-3] having 5-HT7 antagonist activity, and therefore useful in the treatment of CNS and other disorders, were prepd. E.g., a multi-step synthesis of (R)-II was given. All compds. I tested had a pKi of 6.0-7.9 against 5-HT7 receptor binding.

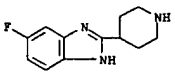
IT **38385-95-4P 295790-49-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfonamide compds. with 5-HT7 antagonist activity)

RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 295790-49-7 CAPLUS
CN 1H-Benzimidazole, 5-fluoro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



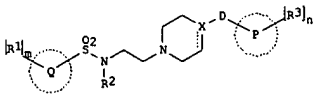
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:688218 CAPLUS
DOCUMENT NUMBER: 133:252456
TITLE: Preparation of N-[2-piperazino(or piperidino)ethyl] benzenesulfonamides and thiophenesulfonamides as 5-HT7 receptor antagonists
INVENTOR(S): Lovell, Peter John
PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000056712 | A1 | 20000928 | WO 2000-EP2267 | 20000314 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1163221 | A1 | 20011219 | EP 2000-916945 | 20000314 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |

PRIORITY APPLN. INFO.: GB 1999-6624 A 19990323
WO 2000-EP2267 W 20000314
OTHER SOURCE(S): MARPAT 133:252456
GI



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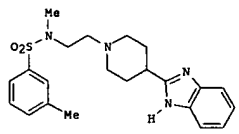


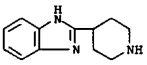
II

L4 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

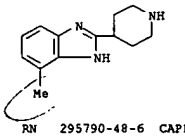
AB The title compds. [I; Q = Ph, thienyl; R1 = halo, OH, alkyl, etc.; m = 0-3; R2 = alkyl; X = N, C, CH; D = a single bond; CO, O, CH2 subject to the proviso that when X = N then D is not O; P = Ph, naphthyl, 5-6 membered heteroaryl contg. 1-3 heteroatoms selected from O, N and S, etc.; R3 = (un)substituted alkyl; n = 0-3] having 5-HT7 receptor antagonist activity, and therefore useful in the treatment of CNS and other disorders, were prepd. E.g., a multi-step synthesis of benzenesulfonamide II was given. All compds. I tested had a pKi of 6.2-9.0 against 5-HT7 receptor binding.

IT **38385-95-4P 295789-08-1P 295790-48-6P 295790-49-7P 295790-50-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-[2-piperazino(or piperidino)ethyl] benzenesulfonamides and thiophenesulfonamides as 5-HT7 receptor antagonists)

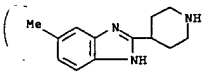
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 295789-08-1 CAPLUS
CN 1H-Benzimidazole, 4-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

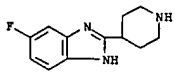


RN 295790-48-6 CAPLUS
CN 1H-Benzimidazole, 5-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

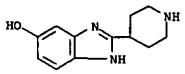


RN 295790-49-7 CAPLUS
CN 1H-Benzimidazole, 5-fluoro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 295790-50-0 CAPLUS
CN 1H-Benzimidazol-5-ol, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

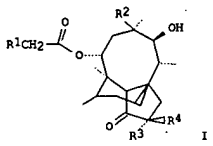
L4 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:441625 CAPLUS
DOCUMENT NUMBER: 133:68909
TITLE: Mutilin 14-ester derivatives having antibacterial activity
INVENTOR(S): Brooks, Gerald; Hunt, Eric
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000037074 | A1 | 20000629 | WO 1999-EP9577 | 19991207 |

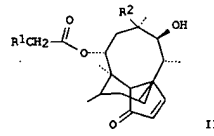
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1998-28005 A 19981218
OTHER SOURCE(S): MARPAT 133:68909
GI

L4 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



I



II

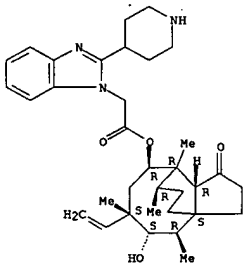
AB The invention discloses compds. I and II (R1 = (un)substituted heteroaryl comprising 5-membered heteroarom. ring with .gtoreq.1 N and linked via N; R2 = vinyl, ethyl; R3 = H, OH, F; R4 = H, or R3 is H and R4). Compd. prepn. is included. Antibacterial activity against Staphylococcus aureus and Streptococcus pneumoniae was detd.

IT **278797-44-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(mutilin 14-ester derivs. with antibacterial activity)

RN 278797-44-7 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-(4-piperidinyl)-, (3aS,4R,5S,6S,8R,9R,9aR,10R)-6-ethenyldecahydro-5-hydroxy-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester (9CI) (CA INDEX NAME)

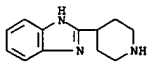
Absolute stereochemistry.

L4 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **38385-95-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; mutilin 14-ester derivs. with antibacterial activity)

RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



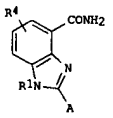
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

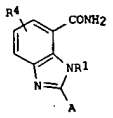
L4 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:384161 CAPLUS
DOCUMENT NUMBER: 133:17464
TITLE: Preparation of benzimidazolecarboxamides as poly(ADP-ribose)polymerase inhibitors.
INVENTOR(S): Lubisch, Wilfried; Kock, Michael; Hoger, Thomas; Schult, Sabine; Grandel, Roland; Muller, Reinhold
PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000032579 | A1 | 20000608 | WO 1999-EP9004 | 19991123 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 19916460 | A1 | 20001019 | DE 1999-19916460 | 19990412 |
| BR 9915701 | A | 20010814 | BR 1999-15701 | 19991123 |
| EP 1133477 | A1 | 20010919 | EP 1999-964497 | 19991123 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002531442 | T2 | 20020924 | JP 2000-585221 | 19991123 |
| US 6448271 | B1 | 20020910 | US 2001-856686 | 20010524 |
| NO 2001002570 | A | 20010713 | NO 2001-2570 | 20010525 |
| BG 105596 | A | 20020228 | BG 2001-105596 | 20010613 |

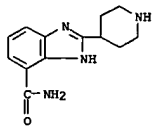
PRIORITY APPLN. INFO.: DE 1998-19854933 A 19981127
DE 1999-19916460 A 19990412
WO 1999-EP9004 W 19991123

OTHER SOURCE(S): MARPAT 133:17464
GI


I

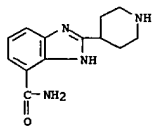

II

L4 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
AB Title compds. [I, II; R1 = H, (substituted) (O- or imino-interrupted) alkyl; R4 = H, alkyl, Cl, Br, F, NO2, cyano, amino, acylamino, etc.; A = (unsatd.) 4-8 membered (substituted) heterocyclyl], were prepd. as PARP inhibitors (no data). Thus, 1-(tert-butyloxycarbonyl)piperidine-4-carboxylic acid, Et 2,3-diaminobenzoate, Et3N, and hydroxybenzotriazole in THF at 0.degree. were treated with N'-(3-dimethylaminopropyl)-N-ethylcarbodiimide followed by 24 h stirring to give N-(2-amino-3-ethoxycarbonyl)-1-(tert-butyloxycarbonyl)piperidine-4-carboxanilide. This was refluxed 30 min. in HOAc to give Et 2-[1-(tert-butoxycarbonyl)piperidin-4-yl]benzimidazole-4-carboxylate, which was converted to 2-piperidin-4-ylbenzimidazole-4-carboxamide dihydrochloride.
IT 272769-46-7P 272769-47-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazolecarboxamides as poly(ADP-ribose)polymerase inhibitors)
RN 272769-46-7 CAPLUS
CN 1H-Benzimidazole-4-carboxamide, 2-(4-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



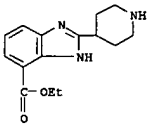
●2 HCl

RN 272769-47-8 CAPLUS
CN 1H-Benzimidazole-4-carboxamide, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



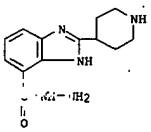
IT 272769-71-8P 272769-72-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L4 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
(prepn. of benzimidazolecarboxamides as poly(ADP-ribose)polymerase inhibitors)
RN 272769-71-8 CAPLUS
CN 1H-Benzimidazole-4-carboxylic acid, 2-(4-piperidinyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 272769-72-9 CAPLUS
CN 1H-Benzimidazole-4-carboxylic acid, 2-(4-piperidinyl)-, hydrazide (9CI) (CA INDEX NAME)



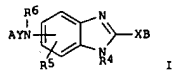
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:356164 CAPLUS
DOCUMENT NUMBER: 133:805
TITLE: Benzimidazole derivatives as neovascularization inhibitors and pharmaceutical compositions containing them
INVENTOR(S): Kubo, Keiji; Hori, Akira; Kusaka, Masami
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 77 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2000143635 | A2 | 20000526 | JP 1999-158035 | 19990604 |

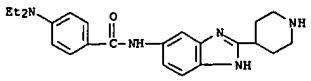
PRIORITY APPLN. INFO.: JP 1998-162489 A 19980610
JP 1998-246689 A 19980901

OTHER SOURCE(S): MARPAT 133:805
GI


I

AB Neovascularization inhibitors contain the derivs. I [ring A = (un)substituted phenyl; ring B = (un)substituted cyclyl; R4, R6 = (1) H, (ii) C1-6 [illegible] which may have substituents selected from mono- or di(C1-6 alkyl)amino, 5-6 membered cyclic amino, CO2H, or C2-7 alkoxycarbonyl, (iii) C2-6 alkenyl, (iv) C3-7 cycloalkyl, (v) C7-13 aralkyl which may have 1-5 substituents selected from halo, C1-6 alkoxy, C1-6 alkyl, mono- or di(C1-6 alkyl)amino, (vi) C2-7 alkoxycarbonyl; R5 = (i) H, (ii) halo, (iii) C1-6 alkyl which may have substituents selected from mono- or di(C1-6 alkyl)amino and halo, (iv) C1-6 alkoxy, (v) C2-7 alkoxycarbonyl, (vi) mono- or di(C1-6 alkyl)amino, (vii) carbamoyl which may be substituted with C1-6 alkyl or C7-13 aralkyl; X = (i) direct bond, (ii) C1-6 alkylene, (iii) C2-6 alkenylene, (iv) C1-6 alkylene-aminocarbonyl, (v) C1-6 alkylene-oxycarbonylamino; Y = CO, SO2, NHCO, C1-6 alkylenecarbonyl, C2-6 alkenylenecarbonyl, C1-6 alkylene] or their pharmaceutically acceptable salts. Also claimed are pharmaceutical compns. contg. I or their salts for treatment of neoplasm, inflammatory diseases, diabetic retinopathy, etc. IC50 of 2-(4-methoxyphenyl)-5-[3-methoxy-4-(4-pyridyl)methoxybenzoyl]aminobenzimidazole (prepn. given) against recombinant VEGF-induced proliferation of HUVEC was 0.012 .mu.M.
IT 263022-65-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazole compds. as neovascularization inhibitors)
RN 263022-65-7 CAPLUS
CN Benzamide, 4-(diethylamino)-N-[2-(4-piperidinyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

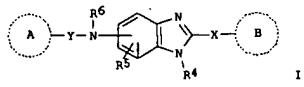
L4 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:214835 CAPLUS
DOCUMENT NUMBER: 132:265201
TITLE: Preparation of imidazole derivatives as gonadotropin-releasing hormone antagonists
INVENTOR(S): Suzuki, Nobuhiro; Takekawa, Shiro; Kubo, Keiji; Imaeda, Yasuhiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 79 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2000095767 | A2 | 20000404 | JP 1998-273013 | 19980928 |

PRIORITY APPLN. INFO.: JP 1998-273013 19980928
OTHER SOURCE(S): MARPAT 132:265201
GI

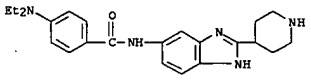


I

AB Claimed are gonadotropin-releasing hormone (GnRH) antagonists contg. the title compds. [I; ring A = (un)substituted Ph; ring B = (un)substituted cyclic group; R4 , R6 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C3-7 cycloalkyl, (un)substituted C7-13 aralkyl, C2-7 alkoxycarbonyl; R5 = H, halo, (un)substituted C1-6 alkyl, C1-6 alkoxy, C2-7 alkoxycarbonyl, etc.; X = bond, C1-6 alkylene, C2-6 alkenylene, C1-6 alkylene-NHCO, C1-6 alkylene-O2NH; Y = CO, SO2, NHCO, C1-6 alkylene-CO, C2-6 alkylene-CO, C1-6 alkylene] or pharmacol. acceptable salts thereof. These compds. are useful for the treatment or prevention of gonadotropin-releasing hormone-related diseases such as sex hormone-dependent cancer, prostate cancer, uterine cancer, breast cancer, prostatic hypertrophy, true precocious puberty, endometriosis, hysteromyoma, pregnancy regulators, and menstruation regulators. Thus, 5-amino-2-(4-methoxyphenyl)benzimidazole was condensed with 4-pyrrolidinobenzoic acid using di-Et cyanophosphate in the presence of Et3N and 4-dimethylaminopyridine in DMF at room temp. for 1 h to give 41% 2-(4-methoxyphenyl)-5-((4-pyrrolidinobenzoyl)amino)benzimidazole (II). II in vitro showed IC50 of .mu.g/mL for inhibiting the binding of [125I]leuprolelin to a membrane sample of CHO cell expressing human GnRH receptor.

IT **263022-65-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazole derivs. as gonadotropin-releasing hormone

L4 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
antagonists for drugs)
RN 263022-65-7 CAPLUS
CN Benzamide, 4-(diethylamino)-N-[2-(4-piperidinyl)-1H-benzimidazol-5-yl]-(9CI) (CA INDEX NAME)

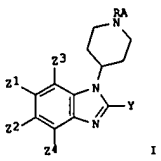


L4 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:117042 CAPLUS
DOCUMENT NUMBER: 132:151821
TITLE: Preparation of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists.
INVENTOR(S): Ito, Fumitaka; Noguchi, Hirohide; Kondo, Hiroshi
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000008013 | A2 | 20000217 | WO 1999-IB1239 | 19990705 |
| WO 2000008013 | A3 | 20000323 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2339621 | AA | 20000217 | CA 1999-2339621 | 19990705 |
| AU 9943859 | A1 | 20000228 | AU 1999-43859 | 19990705 |
| AU 749166 | B2 | 20020620 | | |
| EP 1102762 | A2 | 20010530 | EP 1999-926688 | 19990705 |
| EP 1102762 | B1 | 20021113 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 9912778 | A | [illegible] | BR 1999-12778 | 19990705 |
| EE 200100075 | A | [illegible] | EE 2001-75 | 19990705 |
| AT 227716 | E | 20021115 | AT 1999-926688 | 19990705 |
| JP 3367945 | B2 | 20030120 | JP 2000-563646 | 19990705 |
| ES 2185357 | T3 | 20030416 | ES 1999-926688 | 19990705 |
| US 6172067 | B1 | 20010109 | US 1999-369208 | 19990805 |
| NO 2001000603 | A | 20010405 | NO 2001-603 | 20010205 |
| BG 105301 | A | 20011231 | BG 2001-105301 | 20010301 |
| US 2003109549 | A1 | 20030612 | US 2002-283604 | 20021030 |

PRIORITY APPLN. INFO.: WO 1998-IB1206 W 19980806
WO 1999-IB1239 W 19990705
US 1999-369208 A3 19990805
US 2000-676245 B1 20000929
OTHER SOURCE(S): MARPAT 132:151821
GI

L4 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

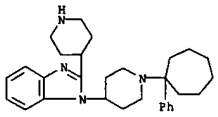


I

AB Title compds. [I; R = (substituted) mono-, di-, tri-, or tetracycloalkyl; A = alkyl, haloalkyl, alkenyl, alkynyl, (substituted) phenylalkyl, aryl, heteroaryl, heterocyclyl; Y = H, halo, amino, SH, (substituted) alkyl-M, cycloalkyl-M, alkenyl-M, alkyl-NH-alkyl-M, dialkyl-N-alkyl-M, aryl-M, heterocyclyl-M, arylalkyl-M, etc.; M = bond, O, S, NH S, SO, SO2, etc.; Z1-Z4 = H, halo, alkyl, haloalkyl, alkoxy, alkylsulfonyl, alkylcarbonyl, CO2H, amino, H2NCO, Ph, naphthyl, etc.], were prepd. as ORL1 receptor agonists (no data). Thus, 2-chloro-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]benzimidazole (prepn. given) was stirred with MeNH2 in MeOH in an autoclave at 110.degree. for 6 h to give N-methyl-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-amine.

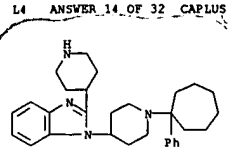
IT **258286-80-5P 258287-40-0P 258288-22-1P**
**258288-24-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists)

RN 258286-80-5 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



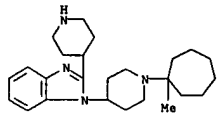
RN 258287-40-0 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

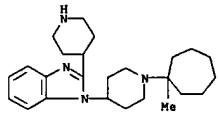


●3 HCl

RN 258288-22-1 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 258288-24-3 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L4 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:545375 CAPLUS
DOCUMENT NUMBER: 129:148993
TITLE: Preparation and formulation of .omega.-(heteroaryloxy)alkanamines as serotonin reuptake inhibitors and 5-HT1A receptor ligands
INVENTOR(S): Audia, James E.; Hibschman, David J.; Krushinski, Joseph H., Jr.; Mabry, Thomas E.; Nissen, Jeffrey S.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.
PATENT ASSIGNEE(S): Eli Lilly Co., USA
SOURCE: U.S., 67 pp., Cont.-in-part of U. S. Ser. No. 373,823, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5789402 | A | 19980804 | US 1995-471121 | 19950606 |
| CN 1178530 | A | 19980408 | CN 1996-192598 | 19960111 |

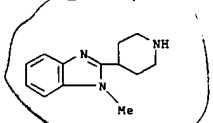
PRIORITY APPLN. INFO.: US 1995-373823 B2 19950117
OTHER SOURCE(S): MARPAT 129:148993
GI

I

AB Title compds. [I; R1 = (CH2)rCHXCH2(CH2)sR; r = 0-4; s = 0-1; D = a residue which combines with the carbon atoms to which it is attached to complete a pyrrolyl group; X = H, Ph, OH, MeO; R = (un)substituted piperazino, piperidino, etc.] were prepd as serotonin reuptake inhibitors and 5-HT1A receptor ligands (no data). Thus, refluxing of (S)-(+)-4-(oxiranylmethoxy)-1H-indole with 4-amino-1-benzylpiperidine in MeOH gave (2S)-(-)-I [R1 = CH2CH(OH)CH2R, R = 1-benzyl-4-piperidinylamino].

IT **180160-86-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of heteroaryloxy alkanamines having effects on serotonin-related systems)

RN 180160-86-5 CAPLUS
CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Habte

L4 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2003 ACS

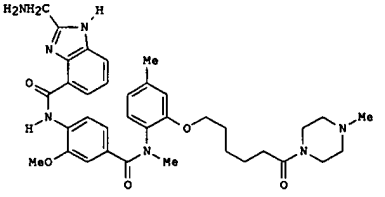
ACCESSION NUMBER: 1998:394328 CAPLUS
DOCUMENT NUMBER: 129:67773
TITLE: Preparation of benzamide derivatives having a vasopressin antagonistic activity
INVENTOR(S): Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Sawada, Hitoshi; Sawada, Yuki; Oku, Teruo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Sawada, Hitoshi; Sawada, Yuki; Oku, Teruo
SOURCE: PCT Int. Appl., 332 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9824771 | A1 | 19980611 | WO 1997-JP4192 | 19971118 |
| W: AU, CA, CN, HU, IL, JP, KR, MX, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9749672 | A1 | 19980629 | AU 1997-49672 | 19971118 |
| EP 946519 | A1 | 19991006 | EP 1997-912493 | 19971118 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 2001505193 | T2 | 20010417 | JP 1998-521225 | 19971118 |
| US 6207693 | B1 | 20010327 | US 1999-308662 | 19990602 |
| US 6316482 | B1 | 20011113 | US 2000-614132 | 20000711 |

PRIORITY APPLN. INFO.: AU 1996-3953 A 19961202
WO 1997-JP4192 W 19971118
US 1999-308662 A3 19990602

OTHER SOURCE(S): MARPAT 129:67773
GI

I



II

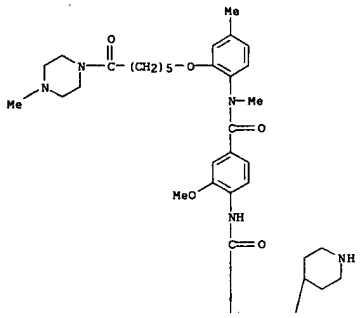
AB The title compds. [I; R1 = (un)substituted aryl, cyclo(lower)alkyl, heterocyclyl; R2 = H, lower alkyl, etc.; R3 = H, halo, OH, etc.; A = a single bond, O, NH; E = lower alkylene, lower alkenylene, etc.; X = CH:CH, CH:N, S; Y = (un)substituted aryl, condensed heterocyclyl, etc.] and their pharmaceutically acceptable salts, useful in treatment and/or prevention of hypertension, heart failure, renal insufficiency, edema, ascites, vasopressin parasecretion syndrome, hepatocirrhosis, hyponatremia, hypokalemia, diabetic, circulation disorder, cerebrovascular disease, Meniere's disease or motion sickness, were prepd. Thus, the title compd. II showed IC50 of 1.5 nM against vasopressin 1 receptor binding.

IT **208770-38-1P 208771-48-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzamide derivs. having a vasopressin antagonistic activity)

RN 208770-38-1 CAPLUS
CN 1H-Benzimidazole-4-carboxamide, N-[2-methoxy-4-[[methyl[4-methyl-2-[[6-(4-methyl-1-piperazinyl)-6-oxohexyl]oxy]phenyl]amino]carbonyl]phenyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

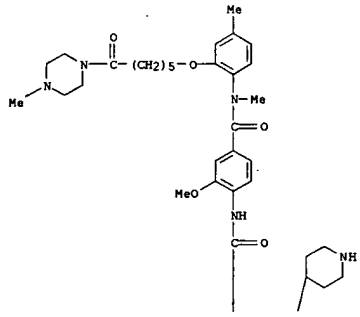


PAGE 2-A

RN 208771-48-6 CAPLUS
CN 1H-Benzimidazole-4-carboxamide, N-[2-methoxy-4-[[methyl[4-methyl-2-[[6-(4-methyl-1-piperazinyl)-6-oxohexyl]oxy]phenyl]amino]carbonyl]phenyl]-2-(4-piperidinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 2-A

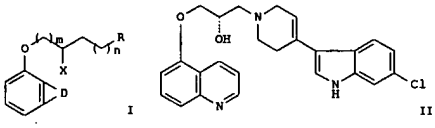
●3 HCl

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:250697 CAPLUS
DOCUMENT NUMBER: 128:294709
TITLE: Heterocyclyloxyalkanamines having effects on serotonin-related systems
INVENTOR(S): Hibschman, David J.; Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: U.S., 65 pp., Cont.-in-part of U.S. Ser. No. 373,823, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5741789 | A | 19980421 | US 1995-467434 | 19950606 |
| CN 1178530 | A | 19980408 | CN 1996-192598 | 19960111 |
| US 6172073 | B1 | 20010109 | US 1998-49837 | 19980327 |
| PRIORITY APPLN. INFO.: | | | US 1995-373823 B2 | 19950117 |
| | | | US 1995-467434 A3 | 19950606 |

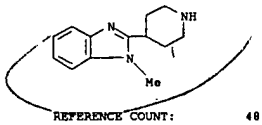
OTHER SOURCE(S): MARPAT 128:294709
GI



I II

AB A series of heterocyclyloxy-substituted alkanamines I [m = 0-4; n = 0-1; D = atoms to complete fused pyrrolo, imidazolo, pyrido, pyrazino, pyridazino, or pyrimido nucleus (only pyrido is claimed); X = H, Ph, OH, OMe; X = H or Ph when m = 0; R = certain (un)substituted cyclic, bicyclic, and spirocyclic amino groups] are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1A receptor (no data). Some I show a unique combination of 5-HT1A receptor activity and serotonin reuptake inhibition. I are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used. Over 200 synthetic examples and 7 std. formulation examples are given. In the only example of a claimed compd. (quinoline-derived, D = pyrido), reaction of (R)-5-(oxiranylmethoxy)quinoline with 6-chloro-2-(1,2,3,6-tetrahydropyridin-4-yl)-1H-indole in EtOH gave the preferred compd. II in 87% yield.
IT **180160-86-5**

L4 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; prepn. of heterocyclyloxyalkanamines as serotonin 1A antagonists and reuptake inhibitors)
RN 180160-86-5 CAPLUS
CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

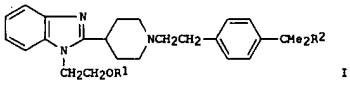


REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:126216 CAPLUS
DOCUMENT NUMBER: 128:140702
TITLE: Benzimidazole derivatives with antihistaminic activity
INVENTOR(S): Orjales, Aurelio; Rubio, Victor; Bordell, Maravillas
PATENT ASSIGNEE(S): Fabrica Espanola de Productos Quimicos y Farmaceuticos, S.A. (Faes), Spain
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 818454 | A1 | 19980114 | EP 1997-500099 | 19970603 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI | | | | |
| ES 2124167 | A1 | 19990116 | ES 1996-1236 | 19960604 |
| ES 2124167 | B1 | 19990916 | | |
| CA 2206754 | AA | 19971204 | CA 1997-2206754 | 19970603 |
| NO 9702525 | A | 19971205 | NO 1997-2525 | 19970603 |
| AU 9724672 | A1 | 19971211 | AU 1997-24672 | 19970603 |
| AU 725700 | B2 | 20001019 | | |
| RU 2182150 | C2 | 20020510 | RU 1997-108980 | 19970603 |
| JP 10059961 | A2 | 19980303 | JP 1997-162010 | 19970604 |
| CN 1176964 | A | 19980325 | CN 1997-114905 | 19970604 |
| CN 1105716 | B | 20030416 | | |
| US 5877187 | A | 19990302 | US 1997-868743 | 19970604 |
| CZ 289278 | B6 | 20011212 | CZ 1997-1723 | 19970604 |
| TW 438794 | B | 20010607 | TW 1997-86110371 | 19970722 |
| PRIORITY APPLN. INFO.: | | | ES 1996-1236 A | 19960604 |

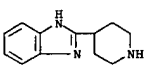
OTHER SOURCE(S): MARPAT 128:140702
GI



I

AB New benzimidazole derivs. I [R1 = H or a short chain hydrocarbon group such as Me, Et, iso-Pr, cyclopropyl, vinyl, etc.; R2 = CH2OH, CO2H, CO2R3, 4,4-dimethyl-2-oxazolinyl; R3 = short chain alkyl, such as Me, Et], which have high H1 antihistaminic and antiallergic activity and are devoid of effects on the central nervous and cardiovascular systems, were prepd. Thus, 2-(4-(1-(4,4-dimethyl-2-oxazolin-2-yl)-1-methylethyl)phenyl)ethyl p-toluenesulfonate was treated with 2-(4-piperidinyl)-1H-benzimidazole to give I [R1 = Et, R2 = 4,4-dimethyl-2-oxazolin-2-yl] which was hydrolyzed to I [R1 = Et, R2 = CO2H].
IT **38385-95-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of antihistaminic and antiallergic benzimidazolylpiperidinylethylphenylacetic acid derivs.)
RN 38385-95-4 CAPLUS

L4 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

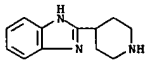
L4 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:672257 CAPLUS
DOCUMENT NUMBER: 127:318965
TITLE: Preparation of piperidine derivatives, their pharmaceutical compositions and their use in the treatment of hepatitis C
INVENTOR(S): Diana, Guy D.; Bailey, Thomas R.; Nitz, Theodore J.
PATENT ASSIGNEE(S): Viropharma Inc., USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9736554 | A1 | 19971009 | WO 1997-US2865 | 19970225 |
| W: CA, JP | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| US 5830905 | A | 19981103 | US 1996-625718 | 19960329 |
| US 6127384 | A | 20001003 | US 1998-84538 | 19980526 |

PRIORITY APPLN. INFO.: US 1996-625718 A 19960329
OTHER SOURCE(S): MARPAT 127:318965
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperidine derivs. I [R1, R2, R3, R4 = H, alkyl, halogen, OH, alkoxy, CO2H, carbalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, NH2, AcNH, sulfonamido, (di)alkylamino, NO2; W, X = alkylene, carbonyl; Y, Z = Y1, Z1; R5 = H, alkyl, acyl; R6 = H, alkyl, halogen, OH, alkoxy, CO2H, carbalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, NH2, NHAc, sulfonamido, (di)alkylamino, NO2; m = 1 - 4; R7 = H, alkyl, acyl, n = 3 - 5] are useful in prophylaxis and treatment of hepatitis C virus infections. Imidazole II was prepd. from .alpha.,.alpha.'-dibromo-p-xylene and Et isonipecotate via amidation of diester III with trans-1,2-diaminocyclohexane and cyclocondensation of diamide IV. II is an active antiviral showing IC50 = 7 .mu.M against viral helicase.
IT **38385-95-4**, 4-(Benzimidazol-2-yl)piperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of piperidine derivs. and their use in the treatment of hepatitis C infections)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

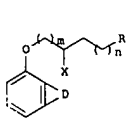


L4 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

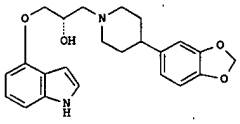
L4 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:344806 CAPLUS
DOCUMENT NUMBER: 127:34133
TITLE: Heterocyclyloxyalkanamines having effects on serotonin-related systems
INVENTOR(S): Audia, James E.; Hibschman, David J.; Krushinski, Joseph H., Jr.; Mabry, Thomas E.; Nissen, Jeffrey S.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 65 pp., Cont.-in-part of U.S. Ser. No. 373,823, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5627196 | A | 19970506 | US 1995-468948 | 19950606 |
| CN 1178530 | A | 19980408 | CN 1996-192598 | 19960111 |

PRIORITY APPLN. INFO.: US 1995-373823 B2 19950117
OTHER SOURCE(S): MARPAT 127:34133
GI



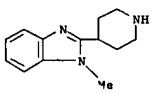
I



II

AB A series of heterocyclyloxy-substituted alkanamines I [m = 0-4; n = 0-1; D = atoms to complete fused pyrrolo, imidazolo, pyrido, pyrazino, pyridazino, or pyrimido nucleus; X = H, Ph, OH, OMe; X = H or Ph when r = 0; R = (un)substituted piperidino, piperazino, piperidinylamino, piperazinoamino, morpholinoamino, certain spirocyclic amino substituents, etc.] are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1A receptor (no data). Some I show a unique combination of 5-HT1A receptor activity and serotonin reuptake inhibition. I are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used. Over 200 synthetic examples and 7 std. formulation examples are given. For instance, reaction of (S)-(+)-4-(oxiranylmethoxy)-1H-indole with 4-(3,4-methylenedioxyphenyl)piperidine gave a preferred title compd., II, isolated as the oxalate in 71% overall yield.
IT **180160-86-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; prepn. of heterocyclyloxyalkanamines as serotonin 1A antagonists and reuptake inhibitors)
RN 180160-86-5 CAPLUS
CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

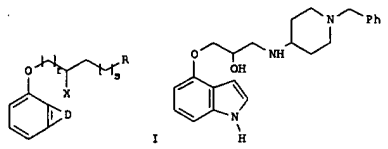
L4 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:260110 CAPLUS
DOCUMENT NUMBER: 126:305591
TITLE: Preparation of heteroaryloxy alkanamines having effects on serotonin-related systems
INVENTOR(S): Audia, James E.; Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 373,823, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5614523 | A | 19970325 | US 1995-470512 | 19950606 |
| CN 1178530 | A | 19980408 | CN 1996-192598 | 19960111 |

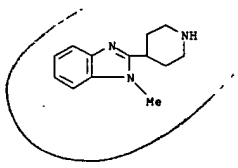
PRIORITY APPLN. INFO.: US 1995-373823 B2 19950117
OTHER SOURCE(S): MARPAT 126:305591
GI



I II

AB The title compds. [I; r = 0-4; s = 0-1; D = a residue which combines with the carbon atoms to which it is attached to complete a pyrrolyl group; X = H, Ph, OH, MeO; R = (un)substituted piperazino, piperidino, etc.], useful for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1A receptor, were prepd. and formulated. Thus, refluxing of (S)-(+)-4-(oxiranylmethoxy)-1H-indole with 4-amino-1-benzylpiperidine in MeOH afforded 78% (2S)-(-)-II. Compds. I are effective at 20-25 mg/day when administered to a patient in need of or carrying out a redn. or cessation of tobacco or nicotine use. Compds. I are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression, anxiety, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction, brain trauma, memory loss, eating disorders and obesity, substance abuse, obsessive-compulsive disorder, panic disorder, migraine, pain, bulimia, premenstrual syndrome, late luteal syndrome, alcoholism, dementia of aging, social phobia, attention deficit hyperactivity disorder, impulsive control disorders, chronic

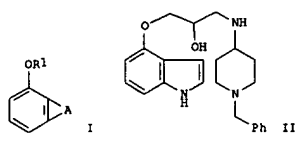
L4 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
fatigue syndrome, premature ejaculation, anorexia nervosa, and autism.
IT 180160-86-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of heteroaryloxy alkanamines having effects on serotonin-related systems)
RN 180160-86-5 CAPLUS
CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:15489 CAPLUS
DOCUMENT NUMBER: 126:74755
TITLE: Preparation and formulation of 4-(3-amino-2-hydroxypropoxy)indoles and analogs as 5-HT1A receptor ligands
INVENTOR(S): Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 383,823, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5576321 | A | 19961119 | US 1995-468900 | 19950606 |
| CA 2210220 | AA | 19960725 | CA 1996-2210220 | 19960111 |
| WO 9622290 | A1 | 19960725 | WO 1996-US41 | 19960111 |
| W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, US | | | | |
| RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9646516 | A1 | 19960807 | AU 1996-46516 | 19960111 |
| AU 718875 | B2 | 20000420 | | |
| BR 9607077 | A | 19971118 | BR 1996-7077 | 19960111 |
| CN 1178530 | A | 19980408 | CN 1996-192598 | 19960111 |
| JP 10512861 | T2 | 19981208 | JP 1996-522282 | 19960111 |
| EP 722941 | A2 | 19960724 | EP 1996-300286 | 19960115 |
| EP 722941 | A3 | 20000412 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| NO 9703281 | A | 19970908 | NO 1997-3281 | 19970715 |
| FI 9703024 | A | 19970716 | FI 1997-3024 | 19970716 |

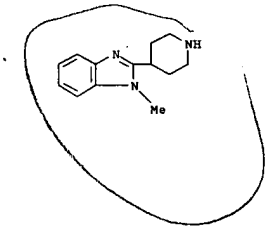
PRIORITY APPLN. INFO.: US 1995-373823 B2 19950117
US 1995-468900 A 19950606
WO 1996-US41 W 19960111
OTHER SOURCE(S): MARPAT 126:74755
GI



I II

AB Title compds. [I; A = atoms to complete an N-contg. heterocyclic ring; R1

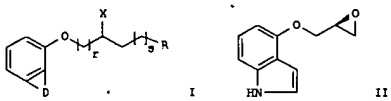
L4 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
= (CH2)rCHR2CH2(CH2)sR; R = alkylamino, azinylamino, N-attached heterocyclyl, etc.; R2 = H, OH, OMe, Ph; r = 0-4; s = 0-1] were prepd. as 5-HT1A receptor ligands (no data). Thus, (S)-4-oxiranylmethoxy-1H-indole was aminated by 4-amino-1-benzylpiperidine to give title compd. (S)-II.
IT 180160-86-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and formulation of 4-(3-amino-2-hydroxypropoxy)indoles and analogs as 5-HT1A receptor ligands)
RN 180160-86-5 CAPLUS
CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:509758 CAPLUS
DOCUMENT NUMBER: 125:168021
TITLE: Preparation of 3-(4-indolyloxy)-2-hydroxypropanamines as serotonin 1A receptor antagonists and partial agonists
INVENTOR(S): Audia, James E.; Hibschman, David J.; Krushinski, Jr Joseph H.; Mabry, Thomas E.; Nissen, Jeffrey S.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Eur. Pat. Appl., 112 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 722941 | A2 | 19960724 | EP 1996-300286 | 19960115 |
| EP 722941 | A3 | 20000412 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| US 5576321 | A | 19961119 | US 1995-468900 | 19950606 |
| PRIORITY APPLN. INFO.: | | | US 1995-373823 A | 19950117 |
| | | | US 1995-468900 A | 19950606 |
| OTHER SOURCE(S): | | MARPAT 125:168021 | | |

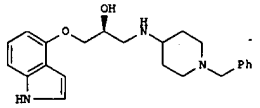
GI



I



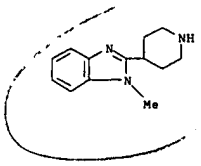
II



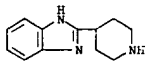
III

AB The title compds. [I; r = 0-4; s = 0-1; D = pyrrolo, imidazo, etc.; X = H, Ph; R = piperazino, piperidinyl, morpholino, etc.], useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression, anxiety, hypertension, etc., were prepd. and formulated. Thus, refluxing of indole II with 4-amino-1-benzylpiperidine in MeOH for 18 h afforded 78% desired product III. In general, compds. I are effective at 20-25 mg/day.

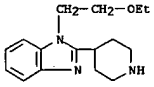
L4 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
IT **180160-86-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 3-(4-indolyloxy)-2-hydroxypropanamines as serotonin 1A receptor antagonists and partial agonists)
RN 180160-86-5 CAPLUS
CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:658478 CAPLUS
DOCUMENT NUMBER: 124:8747
TITLE: Synthesis and structure-activity relationship of new piperidinyl and piperazinyl derivatives as antiallergics
AUTHOR(S): Orjales, Aurelio; Bordell, Maravillas; Rubio, Victor
CORPORATE SOURCE: Research Department, FAES S.A., Bilbao, 48080, Spain
SOURCE: Journal of Heterocyclic Chemistry (1995), 32(3), 707-18
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of piperazinebenzothiazoles, piperazinebenzimidazoles, piperidinobenzothiazoles, piperidinobenzoxazoles and piperidinobenzimidazoles has been synthesized and their antiallergic activity evaluated by means of the passive cutaneous anaphylaxis (PCA) assay. Structure-activity relationships are discussed and related to classical antihistaminics. Piperidino derivs. with an aryl group linked to the nitrogen atom by an Et chain are the most active compds., with ID50 < 1 mg/kg po. Some of these compds. are more potent antiallergics than astemizole and terfenadine.
IT **38385-95-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and structure-activity relationship of antiallergic benzimidazole benzoxazole and benzothiazole derivs.)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:508752 CAPLUS
DOCUMENT NUMBER: 113:108752
TITLE: Quantitative structure-activity relationships of H1-antihistaminic benzimidazole derivatives [Erratum to document cited in CA111(5):33121d]
AUTHOR(S): Iemura, Ryuichi; Ohtaka, Hiroshi
CORPORATE SOURCE: Pharm. Res. Cent., Kanebo Ltd., Osaka, 534, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1990), 38(6), 1801
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Errors in Table I have been cor. The errors were not reflected in the abstr. or the index entries.
IT **110963-63-8**
RL: PRP (Properties)
(antihistaminic activity and side effects of, structure in relation to (Erratum))
RN 110963-63-8 CAPLUS
CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

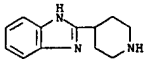


L4 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:632675 CAPLUS
DOCUMENT NUMBER: 111:232675
TITLE: Synthesis of some benzimidazole-, pyridine-, and imidazole-derived chelating agents
AUTHOR(S): Wahlgren, Curtis G.; Addison, Anthony W.
CORPORATE SOURCE: Chem. Dep., Drexel Univ., Philadelphia, PA, 19104, USA
SOURCE: Journal of Heterocyclic Chemistry (1989), 26(3), 641-3
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:232675

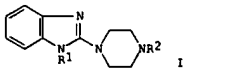
AB Procedures are described for the prepn. of various bidentate and potentially tridentate chelating agents. These incorporate pyridyl, benzimidazole, imidazole, or phenolic moieties. Phillips condensations of carboxylic acids with o-phenylenediamines were carried out in 4 M HCl. Syntheses are reported for 2,6-bis(N-methylimidazol-2'-ylthiomethyl)pyridine, 2,6-bis(benzimidazol-2'-ylthiomethyl)pyridine, 2-(4-piperidyl)benzimidazole, 2-(3-piperidyl)benzimidazole, 2-(3'-N-methylpiperidyl)benzimidazole, 2-(3-N-methylpiperidyl)-N-methylbenzimidazole, 2-(2-hydroxybenzyl)benzimidazole and 2-(2-hydroxybenzyl)-N-methylbenzimidazole. The compds. were characterized where appropriate by their mass, UV, and 1H-NMR spectra. 2-(2-Hydroxybenzyl)benzimidazole hydrochloride acts as a gelling agent in aq. soln.

IT **38385-95-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:433121 CAPLUS
DOCUMENT NUMBER: 111:33121
TITLE: Quantitative structure-activity relationships of H1-antihistaminic benzimidazole derivatives
AUTHOR(S): Iemura, Ryuichi; Ohtaka, Hiroshi
CORPORATE SOURCE: Pharm. Res. Cent., Kanebo Ltd., Osaka, 534, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1989), 37(4), 967-72
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

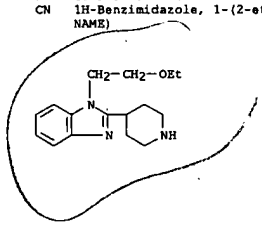


I

AB The QSAR considerations of 2-(4-substituted-1-piperazinyl)benzimidazole derivs. (I, R1 = Me, Ph, CH2Ph etc; R2 = H, Me, CH2Ph etc.) for antihistaminic activity were examd. Taking into consideration the specific conformations of some derivs., a significant correlation was obtained by using Verloop's STERIMOL parameters B3 and L of the substituent at the 1-position of the benzimidazole nucleus. The results indicated that the derivs. having a substituent with a small breadth and an appropriate length at the 1-position had potent activity. From the results, a model of the binding site is proposed. The QSAR considerations of side effects (anticholinergic activity and central nervous system depressive effect) were also examd. and the results showed that a sterically small substituent at the 1-position was required to decrease side effects.

IT **110963-63-8**
RL: PRP (Properties)
(antihistaminic activity and side effects of, structure in relation to)

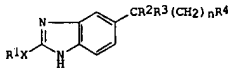
RN 110963-63-8 CAPLUS
CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:437822 CAPLUS
DOCUMENT NUMBER: 109:37822
TITLE: Preparation of (hetero)arylalkylbenzimidazoles as cardiovascular agents
INVENTOR(S): Von der Saal, Wolfgang; Hoelck, Jens-Peter; Mertens, Alfred; Mueller-Beckmann, Bernd; Kling, Lothar
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 3634066 | A1 | 19880421 | DE 1986-3634066 | 19861007 |
| EP 266558 | A2 | 19880511 | EP 1987-114316 | 19871001 |
| EP 266558 | A3 | 19890809 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| FI 8704388 | A | 19880408 | FI 1987-4388 | 19871006 |
| JP 63096174 | A2 | 19880427 | JP 1987-250837 | 19871006 |
| HU 45510 | A2 | 19880728 | HU 1987-4488 | 19871006 |
| DD 270304 | A5 | 19890726 | DD 1987-307710 | 19871006 |
| US 4882342 | A | 19891121 | US 1987-106413 | 19871006 |

PRIORITY APPLN. INFO.: DE 1986-3634066 19861007
OTHER SOURCE(S): CASREACT 109:37822; MARPAT 109:37822
GI



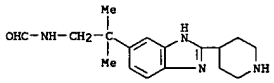
I

AB The title compds. [I; R1 = (substituted) Ph, 5- or 6-membered (substituted) heterocyclyl; R2,R3 = H, alkyl; R2R3C = carbocyclic ring; R4 = cyano, (substituted) carbamoyl, hydrazinocarbonyl; X = bond, alkylene, vinylene, NH; n = 0-5] were prepd. as cardiovascular agents (no data). 4-(2-Cyanoprop-2-yl)aniline was successively acetylated, reduced with H2/Raney Ni/NH3, acetylated, nitrated, and partially hydrolyzed with KOH in MeOHto give 4-[2-(acetamidomethyl)prop-2-yl]-2-nitroaniline, which was hydrogenated over Pd/C and cyclocondensed with isonicotinoyl chloride.HCl in CH2Cl2 contg. Et3N to give 5-[2-(aminomethyl)prop-2-yl]-2-(4-pyridyl)benzimidazole.

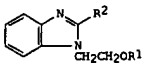
IT **115279-54-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiovascular agent)

RN 115279-54-4 CAPLUS
CN Formamide, N-[2-methyl-2-[2-(4-piperidinyl)-1H-benzimidazol-5-yl]propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1987:598170 CAPLUS
DOCUMENT NUMBER: 107:198170
TITLE: Synthesis of benzimidazole derivatives as potential H1-antihistaminic agents
AUTHOR(S): Iemura, Ryuichi; Kawashima, Tsuneo; Fukuda, Toshikazu; Ito, Keizo; Tsukamoto, Goro
CORPORATE SOURCE: Pharm. Res. Cent., Kanebo Ltd., Osaka, 534, Japan
SOURCE: Journal of Heterocyclic Chemistry (1987), 24(1), 31-7
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:198170
GI

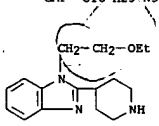


I

AB Disubstituted benzimidazoles I (R1 = alkyl, vinyl, allyl, propargyl, Ph; R2 = .omega.-aminoalkylamino, or 4-piperidinylamino, 4-piperidinyl, N-piperazinylmethyl, or a N-homopiperazinylmethyl group) were prepd. by different methods. I exhibited H1 antihistaminic activity.
IT **110963-64-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antihistaminic activity of)
RN 110963-64-9 CAPLUS
CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-(4-piperidinyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 110963-63-8
CMF C16 H23 N3 O

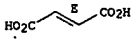


CM 2

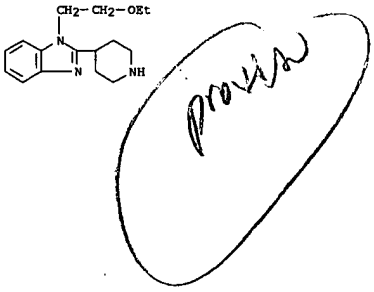
CRN 110-17-8

L4 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
CMF C4 H4 O4

Double bond geometry as shown.



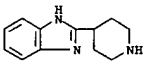
IT **110963-63-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., fumarate salt formation, and antihistaminic activity of)
RN 110963-63-8 CAPLUS
CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



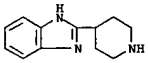
L4 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:146143 CAPLUS
DOCUMENT NUMBER: 80:146143
TITLE: 4-(Benzazol-2-yl)piperidines
INVENTOR(S): Zarins, P.; Lavinovich, E. S.; Arens, A.; Germane, S.
PATENT ASSIGNEE(S): Institute of Organic Synthesis, Academy of Sciences, Latvian S.S.R.
SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1974, 51(8), 68.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| SU 417421 | T | 19740228 | SU 1972-1737404 | 19720110 |

PRIORITY APPLN. INFO.: SU 1972-1737404 19720110
GI For diagram(s), see printed CA Issue.
AB Substituted piperidines (I; Z = O, S, NH) were prepd. by condensing piperidinecarboxylic acid with the corresponding o-HZC6H4NH2 at 220-50.degree. in polyphosphoric acid.
IT **38385-95-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



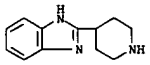
L4 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:95805 CAPLUS
DOCUMENT NUMBER: 80:95805
TITLE: Pyridinium salts. I. Reduction of 4-(benzazol-2-yl)pyridinium salts in a neutral medium
AUTHOR(S): Zarins, P.; Lavrinovich, E. S.; Arens, A.
CORPORATE SOURCE: Inst. Org. Sint., Riga, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1974), (1), 104-7
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Thirty-four benzazolium salts (I; Z = O, S, NH, R = C1-5 alkyl, PhCH2, nonyl, PhCH2CH2, PhCH:CHCH2, X = iodide, Br, Cl), prepd. by known methods from the free base and an alkyl or aralkyl halide, were reduced by NaBH4 in neutral soln. to give 71-99% yields of benzazoles (II; R = C1-5 alkyl, nonyl, PhCH2, PhCH2CH2).
IT **38385-95-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:564705 CAPLUS
DOCUMENT NUMBER: 77:164705
TITLE: Analgesic and tranquilizing 2-substituted benzimidazoles
INVENTOR(S): Helsley, Grover Cleveland
PATENT ASSIGNEE(S): Robins, A. H., Co., Inc.
SOURCE: Fr. Demande, 15 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 2103639 | A5 | 19720414 | FR 1971-31355 | 19710830 |
| FR 2103639 | B1 | 19750801 | | |
| GB 1354554 | A | 19740530 | GB 1971-39662 | 19710824 |
| AU 7132713 | A1 | 19730301 | AU 1971-32713 | 19710825 |
| DE 2143614 | A1 | 19730405 | DE 1971-2143614 | 19710831 |

PRIORITY APPLN. INFO.: US 1970-68549 19700831
GI For diagram(s), see printed CA Issue.
AB Benzimidazoles I (X = CH2, CH2CH2; R = H, Et, CH2CH2Ph, CH2CH2OPh, CH2-CH2COPh, CH2Ph, CH2CH2OC6H4OMe-o, 1,4-benzodioxan-2-ylmethyl) were prepd. Thus, 34 I (X = CH2, R = Et) was obtained by treating 3-cyano-1-ethylpyrrolidine with o-(H2N)2-C6H4 in 5 HCl, followed by aq. NH3. Its analgesic ED50 in mice was 14.5 mg/kg.
IT **38385-95-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



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                                                 ENTRY    SESSION
FULL ESTIMATED COST                              145.99     294.35

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                              -20.83     -20.83

STN INTERNATIONAL LOGOFF AT 11:22:18 ON 24 JUN 2003
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3

L1 STRUCTURE UPLOADED

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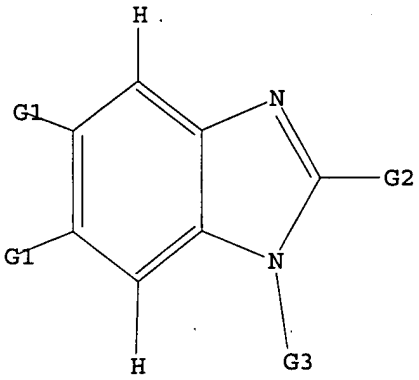
L1 HAS NO ANSWERS
L1 STR




G1 CN,NO2,NH2,X,Ak,O

G2 Ph,NH2,Hy,X,Cb,NH,N

G3 H,Cb,Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 14266 TO ITERATE

7.0% PROCESSED 1000 ITERATIONS 9 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 278174 TO 292466
PROJECTED ANSWERS: 1888 TO 3246

L2 9 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 15:34:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 281583 TO ITERATE

100.0% PROCESSED 281583 ITERATIONS 2187 ANSWERS
SEARCH TIME: 00.00.08

L3 2187 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 148.55 148.76

FILE 'CAPLUS' ENTERED AT 15:35:08 ON 24 JUN 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE COVERS 1907 - 24 Jun 2003 VOL 138 ISS 26
FILE LAST UPDATED: 23 Jun 2003 (20030623/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 594 L3

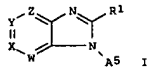
=> s l4 and (bacteri? or antibacteri?)
L5 35 L4 AND (BACTERI? OR ANTIBACTERI?)

=> d ibib abs hitstr tot

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:334903 CAPLUS
DOCUMENT NUMBER: 138:353988
TITLE: Preparation of benzimidazoles and analogs and their use as protein kinase inhibitors
INVENTOR(S): Edwards, Michael Louis; Cox, Paul Joseph; Amendola, Shelley; Deprets, Stephanie Daniele; Gillespy, Timothy
Alan; Edlin, Christopher David; Morley, Andrew David; Gardner, Charles J.; Pedgrift, Brian; Bouchard, Herve;
Babin, Didier; Gauzy, Laurence; Le Brun, Alain; Majid,
Tahir Nedeem; Reader, John C.; Payne, Lloyd J.; Khan, Nawaz M.; Cherry, Michael
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 711 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003035065 | A1 | 20030501 | WO 2002-GB4763 | 20021024 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| FR 2831537 | A1 | 20030502 | FR 2001-13868 | 20011026 |

PRIORITY APPLN. INFO.: FR 2001-13868 A 20011026
GB 2002-6893 A 20020322
GB 2002-6895 A 20020322
US 2002-395060P P 20020711
US 2002-395151P P 20020711
OTHER SOURCE(S): MARPAT 138:353988
GI



I

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB The invention is directed to physiol. active benzimidazoles and analogs (shown as I; variables defined below; e.g. 2-(1H-indazol-3-yl)-1H-benzimidazole-5-carboxylic acid benzylamide) and compns. contg. such compds., and their prodrugs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrugs, as well as to novel I and to processes for their prepn. Such compds. and compns. have valuable pharmaceutical properties, in particular the ability to inhibit kinases. For I: X = C-R2 and W, Y and Z = CH or CR3; or W = CH, X = N, Y = CH or CR3, and Z = CH or CR3; or W = N, X = CH or CR2, Y = CH and CR3, and Z = CH or CR3; or W = N, X = CH or CR2, Y = N, and Z is CH or CR3; or W = N, X = CH or CR2, Y = CH or CR3, and Z = N; or W = N, X = N, Y = CH or CR3, and Z = CH or CR3. A5 = H or alkyl; R1 = optionally substituted aryl or heteroaryl; addnl. details are given in the claims. IC50 values for >200 I are tabulated for inhibition of KDR receptor tyrosine kinase. Particular I inhibit SYK activity with IC50's = 100 .mu.M to 0.1 nM. Particular I inhibit ITK activity with IC50's = 100 .mu.M to 1 .mu.M. I inhibit the increase in edema obsd. in a sensitized mouse ear following antigen exposure and inhibit mast cell activation and functional responses when given orally in a mouse model of passive cutaneous anaphylaxis. Methods of prepn. are claimed and hundreds of example prepns. of I and intermediates leading to them are included. For example, 20 mg 2-(1H-indazol-3-yl)-1H-benzimidazole-5-carboxylic acid benzylamide was prepd. from 20 mg 2-(1H-indazol-3-yl)-1H-benzimidazole-5-carboxylic acid and benzylamine in DMF in the presence of HBTU followed by addn. of N,N-diisopropylethylamine; the acid was prepd. in several steps starting from 3-indazolecarboxylic acid and involving intermediates Me 3-indazolecarboxylate, (1H-indazol-3-yl)methanol and 1H-indazole-3-carboxaldehyde.

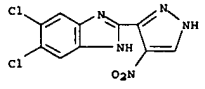
IT **518987-04-7P**, 5,6-Dichloro-2-(4-nitro-1H-pyrazol-3-yl)-1H-benzimidazole **518987-05-8P**, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-ylamine **518987-06-9P**, 5,6-Dimethyl-2-(4-nitro-1H-pyrazol-3-yl)-1H-benzimidazole **518987-07-0P**, 3-(5-Ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-ylamine **518987-08-1P**, 5-Ethyl-6-methyl-2-(4-nitro-1H-pyrazol-3-yl)-1H-benzimidazole **518987-09-2P**, 3-(6-Chloro-5-methoxy-1H-benzimidazol-2-yl)-1H-pyrazol-4-ylamine **518987-10-5P**, 6-Chloro-5-methoxy-2-(4-nitro-1H-pyrazol-3-yl)-1H-benzimidazole **518987-15-0P**, 3-(5-Fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-ylamine **518987-16-1P**, 5-Fluoro-6-methyl-2-(4-nitro-1H-pyrazol-3-yl)-1H-benzimidazole **518987-27-4P**, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-methoxy-1H-indazole **518987-59-2P**, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)pyrazole-4-carboxylic acid ethyl ester **518987-62-7P**, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-methylpyrazole-4-carboxylic acid ethyl ester **518987-74-1P**, 3-(5-Ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-indazole-5-carbonitrile **518987-80-9P**, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-indazole-5-carbonitrile dihydrochloride **518988-05-1P** **518988-10-8P**, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-methylpyrazole-4-carboxylic acid **518988-24-4P**, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-indazole-5-carboxylic acid **518988-53-9P**, 3-(5-Chloro-6-methyl-1H-benzimidazol-2-yl)-1H-

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

pyrazol-4-ylamine **518988-64-2P**, 5-Chloro-6-methyl-2-(4-nitro-1H-pyrazol-3-yl)-1H-benzimidazole **518988-68-6P**, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine **518988-73-3P**, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester **518988-75-5P**, 3-(5-Chloro-6-methyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine **518988-77-7P**,

3-(5-Chloro-6-methyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of benzimidazoles and analogs and their use as protein kinase inhibitors)

RN 518987-04-7 CAPLUS
CN 1H-Benzimidazole, 5,6-dichloro-2-(4-nitro-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 518987-05-8 CAPLUS
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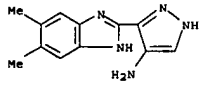
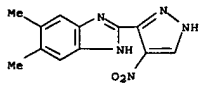
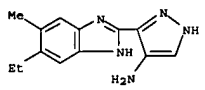


RN 518987-06-9 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-(4-nitro-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

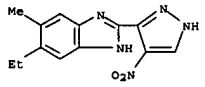


RN 518987-07-0 CAPLUS
CN 1H-Pyrazol-4-amine, 3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

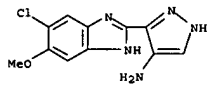
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



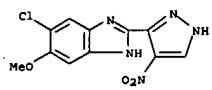
RN 518987-08-1 CAPLUS
CN 1H-Benzimidazole, 5-ethyl-6-methyl-2-(4-nitro-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 518987-09-2 CAPLUS
CN 1H-Pyrazol-4-amine, 3-(5-chloro-6-methoxy-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

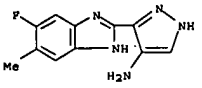


RN 518987-10-5 CAPLUS
CN 1H-Benzimidazole, 5-chloro-6-methoxy-2-(4-nitro-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

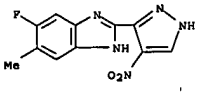


RN 518987-15-0 CAPLUS
CN 1H-Pyrazol-4-amine, 3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

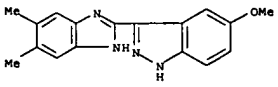
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



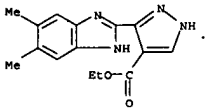
RN 518987-16-1 CAPLUS
CN 1H-Benzimidazole, 5-fluoro-6-methyl-2-(4-nitro-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 518987-27-4 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-methoxy- (9CI) (CA INDEX NAME)

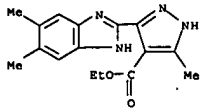


RN 518987-59-2 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-, ethyl ester (9CI) (CA INDEX NAME)

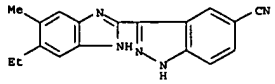


RN 518987-62-7 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

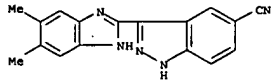
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518987-74-1 CAPLUS
CN 1H-Indazole-5-carbonitrile, 3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

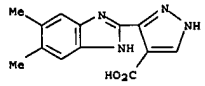


RN 518987-80-9 CAPLUS
CN 1H-Indazole-5-carbonitrile, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



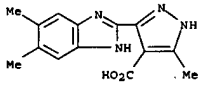
●2 HCl

RN 518988-05-1 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

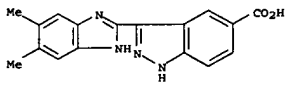


RN 518988-10-8 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-

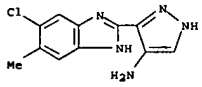
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
methyl- (9CI) (CA INDEX NAME)



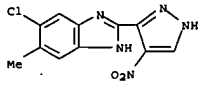
RN 518988-24-4 CAPLUS
CN 1H-Indazole-5-carboxylic acid, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 518988-53-9 CAPLUS
CN 1H-Pyrazol-4-amine, 3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

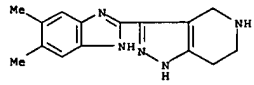


RN 518988-64-2 CAPLUS
CN 1H-Benzimidazole, 5-chloro-6-methyl-2-(4-nitro-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

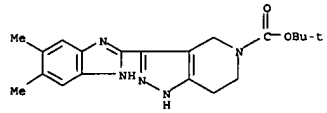


RN 518988-68-6 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

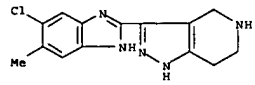
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



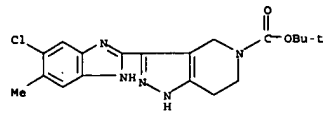
RN 518988-73-3 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 518988-75-5 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



RN 518988-77-7 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **109073-56-5P**, 5,6-Dimethyl-2-(5-methyl-2H-pyrazol-3-yl)-1H-benzimidazole **518355-25-4P**, 5,6-Dimethyl-2-(1H-indazol-3-yl)-1H-benzimidazole **518355-30-1P**, 2-(4-Bromo-2H-pyrazol-3-yl)-5,6-

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
dimethyl-1H-benzimidazole 518355-31-2P, 2-(5-Ethyl-2H-pyrazol-3-yl)-5,6-dimethyl-1H-benzimidazole 518986-46-4P, 5,6-Dimethyl-2-(5-thiophen-2-yl-2H-pyrazol-3-yl)-1H-benzimidazole 518986-60-2P, 5,6-Dimethyl-2-(5-methylsulfanyl-1H-pyrazol-3-yl)-1H-benzimidazole 518986-63-5P, 6-Chloro-5-methyl-2-(5-methylsulfanyl-1H-pyrazol-3-yl)-1H-benzimidazole 518986-66-8P, 6-Chloro-2-(5-ethylsulfanyl-1H-pyrazol-3-yl)-5-methyl-1H-benzimidazole 518986-70-4P, 2-(5-Cyclopropylmethylsulfanyl-1H-pyrazol-3-yl)-5,6-dimethyl-1H-benzimidazole 518986-72-6P, 2-(5-Ethylsulfanyl-1H-pyrazol-3-yl)-5,6-dimethyl-1H-benzimidazole 518986-74-8P, 5,6-Dimethyl-2-[5-(pyridin-3-ylmethylsulfanyl)-1H-pyrazol-3-yl]-1H-benzimidazole 518986-78-2P, 5,6-Dimethyl-2-(5-phenethylsulfanyl-1H-pyrazol-3-yl)-1H-benzimidazole 518986-82-8P, 5,6-Dimethyl-2-(5-benzylsulfanyl-1H-pyrazol-3-yl)-1H-benzimidazole 518986-84-0P, 6-Chloro-5-methyl-2-(5-morpholino-1H-pyrazol-3-yl)-1H-benzimidazole 518986-86-2P, 5,6-Dimethyl-2-[5-(thiophen-2-ylmethylsulfanyl)-1H-pyrazol-3-yl]-1H-benzimidazole 518987-03-6P, 3-[5,6-Dichloro-1H-benzimidazol-2-yl)-1H-pyrazol-4-ylamine 518987-29-6P, 3-(5-Ethyl-6-methyl-1H-benzimidazol-2-yl)-5-methoxy-1H-indazole 518987-31-0P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-fluoro-1H-indazole 518987-32-1P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-6-fluoro-1H-indazole 518987-34-3P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-methyl-1H-indazole 518987-36-5P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-6-methoxy-1H-indazole 518987-38-7P, 5,6-Dimethyl-2-(4-phenyl-1H-pyrazol-3-yl)-1H-benzimidazole 518987-40-1P, 3-(5-Ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-indazole 518987-41-2P, 3-(5-Isopropyl-6-methyl-1H-benzimidazol-2-yl)-1H-indazole 518987-42-3P, 3-(5-Bromo-6-methyl-1H-benzimidazol-2-yl)-1H-indazole 518987-53-6P, 3-(5,6-Dimethoxy-1H-benzimidazol-2-yl)-1H-indazole 518987-54-7P, 3-(5,6-Diethyl-1H-benzimidazol-2-yl)-1H-indazole 518987-57-0P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-ethoxy-1H-indazole 518987-66-1P, 3-(5-Methoxy-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid isopropylamide 518987-68-3P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid (2-methoxyethyl)amide 518987-70-7P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid propylamide 518987-72-9P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid (tetrahydropyran-4-yl)amide 518987-78-5P, 3-(6-Ethyl-5-methoxy-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid isopropylamide 518987-89-8P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro-1H-indazole 518987-90-1P, 2-(5-Isopropyl-1H-pyrazol-3-yl)-5,6-dimethyl-1H-benzimidazole 518987-91-2P, 5,6-Dimethyl-2-(1,4,5,6-tetrahydrocyclopentapyrazol-3-yl)-1H-benzimidazole 518987-92-3P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-4-fluoro-1H-indazole 518987-94-5P, 4-Chloro-3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-indazole 518987-96-7P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-chloro-1H-indazole 518987-97-8P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-indazol-5-ol 518988-04-0P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid isopropylamide 518988-06-2P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid (2-hydroxy-1,1-dimethylethyl)amide 518988-09-5P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-methyl-1H-pyrazole-4-carboxylic acid cyclopropylamide 518988-20-0P, 3-(5,6-Dimethyl-1H-

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid isobutylamide 518988-21-1P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid (cyclopropylmethyl)amide 518988-22-2P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-methyl-1H-pyrazole-4-carboxylic acid tert-butylamide 518988-23-3P 518988-32-4P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]isobutyramide 518988-33-5P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-methylbutyramide 518988-34-6P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2-phenylacetamide 518988-35-7P, Cyclopropanecarboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-36-8P, Methoxyacetic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-37-9P, Cyclopentanecarboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-38-0P, Trimethylacetic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-39-1P, tert-Butylacetic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-40-4P, Butanoic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-41-5P, Isoxazole-5-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-42-6P, (S)-(+)-2-Methylbutanoic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-43-7P, Cyclopropanecarboxylic acid
[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-44-8P, Piperidine-1-carboxylic acid [3-(6-chloro-5-methoxy-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-45-9P, 3-[3-(6-Chloro-5-methoxy-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-1,1-dimethylurea 518988-48-2P, Cyclopropanecarboxylic acid
[3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-52-8P, Cyclopropanecarboxylic acid [3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-54-0P, 3,5-Dimethylisoxazole-4-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-55-1P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]acetamide 518988-56-2P, Furan-3-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-57-3P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-4-methylbenzamide 518988-67-5P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid isopropylamide 518988-69-7P, Cyclopropyl[3-(5,6-dimethyl-1H-

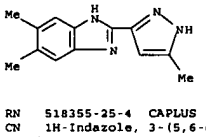
benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]methanone 518988-70-0P, Isopropyl[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]methanone 518988-71-1P, 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]-2,2-dimethylpropan-1-one 518988-72-2P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid methyl ester 518988-88-0P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrano[4,3-c]pyrazole 518988-91-5P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2-morpholinoacetamide 518988-92-6P, 2-Dimethylamino-N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]acetamide 518988-93-7P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
4-yl]-2-piperidin-1-ylacetamide 518988-94-9P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2-(1H-1,2,3,4-tetrazol-1-yl)acetamide 518988-95-0P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]isonicotinamide 518988-96-0P, 2-Cyclopropyl-N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]acetamide 518988-97-1P, 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-methylurea 518988-98-2P, 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-isopropylurea 518988-99-3P, 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-phenylurea 518989-00-9P, 1-Benzyl-3-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]urea 518989-01-0P, Cyclopropanecarboxylic acid
[3-(5-ethoxy-6-ethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-10-1P, Cyclopropanecarboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-13-4P, Tetrahydropyran-4-carboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1H-pyrazole-4-yl]amide 518989-15-6P, Morpholine-4-carboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-17-8P, Piperidine-4-carboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-19-0P, 3-[3-(6-Ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-1,1-diethylurea 518989-22-5P, Morpholine-4-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-ylmethyl]amide 518989-28-1P, Cyclopropanecarboxylic acid [3-(6-chloro-5-methoxy-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-33-8P, Piperidine-1-carboxylic acid [3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-34-9P, 3-[3-(5-Fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-1,1-dimethylurea 518989-36-1P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid diethylamide 518989-37-2P, [3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]pyrrolidin-1-ylmethanone 518989-38-3P, [3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]piperidin-1-ylmethanone 518989-39-4P, [3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]morpholinomethanone 518989-40-7P, 3-(5-Chloro-6-methyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid diethylamide 518989-41-8P, Morpholine-4-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-42-9P, Piperidine-1-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-45-2P, 3-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-1,1-dimethylurea 518989-47-4P,

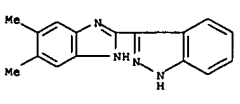
1-Cyclopropyl-3-[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]urea 518989-48-5P, 1-[3-(5-Ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-methylurea 518989-49-6P, 4-Methylpiperazine-1-carboxylic acid
[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-50-9P, Piperidine-1-carboxylic acid [3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-51-0P, 1-[3-(5-Fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-methylurea 518989-52-1P, Morpholine-4-carboxylic acid [3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-53-2P, 4-Methylpiperazine-1-carboxylic acid [3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-55-4P, 1-[3-(5-Chloro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-methylurea 518989-56-5P, 4-Methylpiperazine-1-carboxylic acid

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
2-yl)-1H-pyrazol-4-yl]amide 518989-57-6P, 1-tert-Butyl-3-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]urea 518989-58-7P, 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-ethylurea 518989-59-8P, 4-Methylpiperazine-1-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-60-1P, 1-Cyclopropyl-3-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]urea 518989-61-2P, 3-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-1,1-diethylurea 518989-62-3P,

1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-isobutylurea 518989-63-4P, 1-Cyclopropylmethyl-3-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]urea 518989-64-5P, 3-(5-Ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-indazole-5-carboxylic acid amide dihydrochloride 518990-80-2P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-indazole-5-carbonitrile 518990-81-3P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-indazole-5-carboxylic acid dimethylamide 518990-82-4P, Furan-3-carboxylic acid [3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518990-83-5P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,5,6,7,8-hexahydrocycloheptapyrazole 518990-84-6P, 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 518990-85-7P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid dimethylamide 518990-86-8P, 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]-3-methylbutan-1-one 518990-87-9P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-(propane-2-sulfonyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzimidazoles and analogs and their use as protein kinase inhibitors)
RN 109073-56-5 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

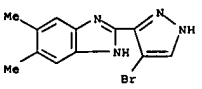


RN 518355-25-4 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

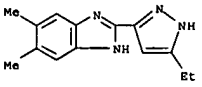


RN 518355-30-1 CAPLUS

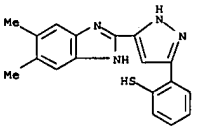
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Benzimidazole, 2-(4-bromo-1H-pyrazol-3-yl)-5,6-dimethyl- (9CI) (CA INDEX NAME)



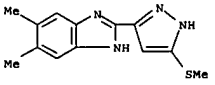
RN 518355-31-2 CAPLUS
CN 1H-Benzimidazole, 2-(5-ethyl-1H-pyrazol-3-yl)-5,6-dimethyl- (9CI) (CA INDEX NAME)



RN 518986-46-4 CAPLUS
CN Benzenethiol, 2-[5-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



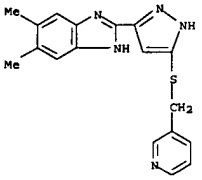
RN 518986-60-2 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-(methylthio)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



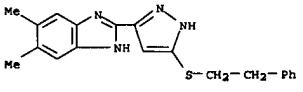
RN 518986-63-5 CAPLUS

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

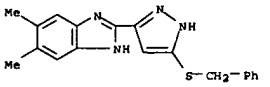
RN 518986-74-8 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(3-pyridinylmethyl)thio]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 518986-78-2 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(2-phenylethyl)thio]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

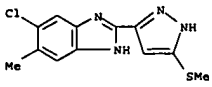


RN 518986-82-8 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(phenylmethyl)thio]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

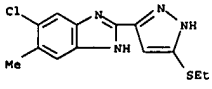


RN 518986-84-0 CAPLUS
CN 1H-Benzimidazole, 5-chloro-6-methyl-2-[5-(4-morpholinyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

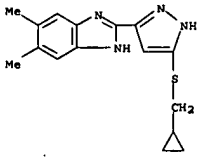
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Benzimidazole, 5-chloro-6-methyl-2-[5-(methylthio)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



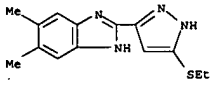
RN 518986-66-8 CAPLUS
CN 1H-Benzimidazole, 5-chloro-2-[5-(ethylthio)-1H-pyrazol-3-yl]-6-methyl- (9CI) (CA INDEX NAME)



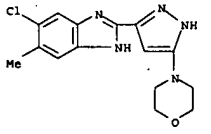
RN 518986-70-4 CAPLUS
CN 1H-Benzimidazole, 2-[5-[(cyclopropylmethyl)thio]-1H-pyrazol-3-yl]-5,6-dimethyl- (9CI) (CA INDEX NAME)



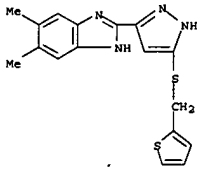
RN 518986-72-6 CAPLUS
CN 1H-Benzimidazole, 2-[5-(ethylthio)-1H-pyrazol-3-yl]-5,6-dimethyl- (9CI) (CA INDEX NAME)



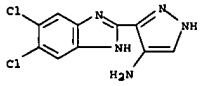
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



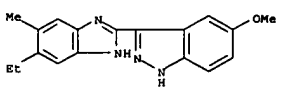
RN 518986-86-2 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(2-thienylmethyl)thio]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 518987-03-6 CAPLUS
CN 1H-Pyrazol-4-amine, 3-(5,6-dichloro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

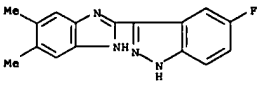


RN 518987-29-6 CAPLUS
CN 1H-Indazole, 3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-5-methoxy- (9CI) (CA INDEX NAME)

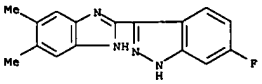


RN 518987-31-0 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-fluoro- (9CI) (CA

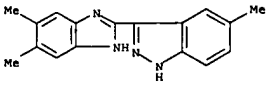
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
INDEX NAME)



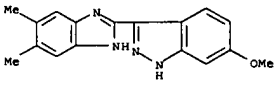
RN 518987-32-1 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-6-fluoro- (9CI) (CA INDEX NAME)



RN 518987-34-3 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-methyl- (9CI) (CA INDEX NAME)

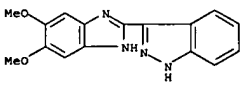


RN 518987-36-5 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-6-methoxy- (9CI) (CA INDEX NAME)

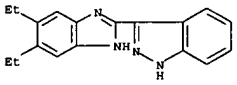


RN 518987-38-7 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-(4-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

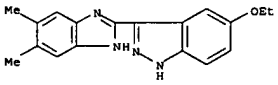
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



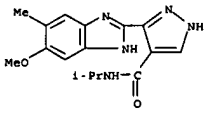
RN 518987-54-7 CAPLUS
CN 1H-Indazole, 3-(5,6-diethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 518987-57-0 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-ethoxy- (9CI) (CA INDEX NAME)

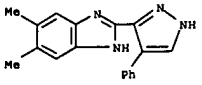


RN 518987-66-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5-methoxy-6-methyl-1H-benzimidazol-2-yl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

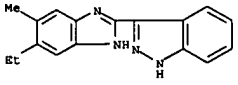


RN 518987-68-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

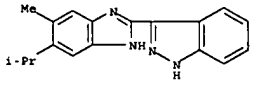
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



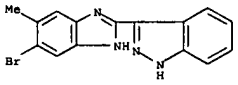
RN 518987-40-1 CAPLUS
CN 1H-Indazole, 3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 518987-41-2 CAPLUS
CN 1H-Indazole, 3-(5-methyl-6-(1-methylethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

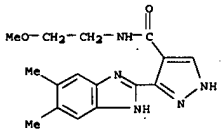


RN 518987-42-3 CAPLUS
CN 1H-Indazole, 3-(5-bromo-6-methyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

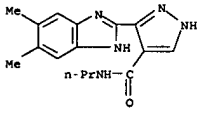


RN 518987-53-6 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethoxy-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

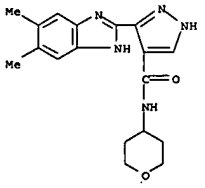
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518987-70-7 CAPLUS
CN 1H-Pyrazole-4-carboxamide,
3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-propyl- (9CI) (CA INDEX NAME)

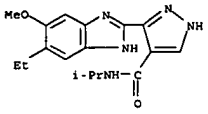


RN 518987-72-9 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

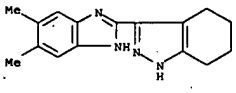


RN 518987-78-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide,
3-(5-ethyl-6-methoxy-1H-benzimidazol-2-yl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

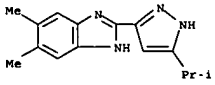
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



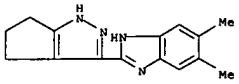
RN 518987-89-8 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-(4,5,6,7-tetrahydro-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)



RN 518987-90-1 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-(1-methylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

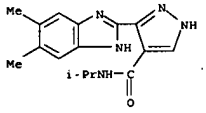


RN 518987-91-2 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-(1,4,5,6-tetrahydro-3-cyclopentapyrazolyl)- (9CI) (CA INDEX NAME)

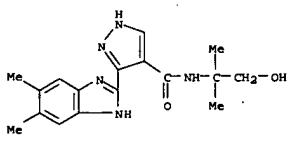


RN 518987-92-3 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4-fluoro- (9CI) (CA INDEX NAME)

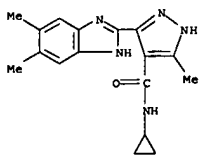
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518988-06-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-(2-hydroxy-1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

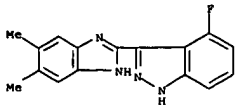


RN 518988-09-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-cyclopropyl-3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-methyl- (9CI) (CA INDEX NAME)

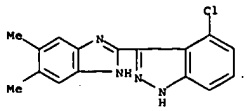


RN 518988-20-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

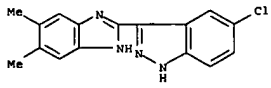
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



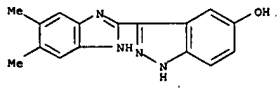
RN 518987-94-5 CAPLUS
CN 1H-Indazole, 4-chloro-3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 518987-96-7 CAPLUS
CN 1H-Indazole, 5-chloro-3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

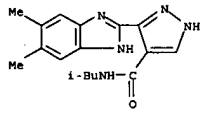


RN 518987-97-8 CAPLUS
CN 1H-Indazol-5-ol, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

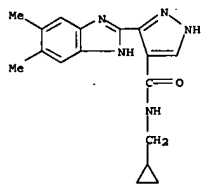


RN 518988-04-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

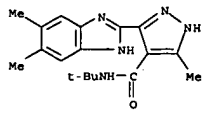
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518988-21-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(cyclopropylmethyl)-3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

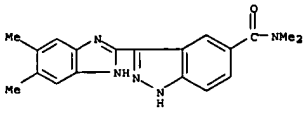


RN 518988-22-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-(1,1-dimethylethyl)-5-methyl- (9CI) (CA INDEX NAME)



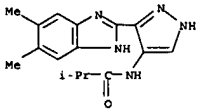
RN 518988-23-3 CAPLUS
CN 1H-Indazole-5-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

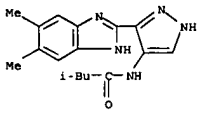


●2 HCl

RN 518988-32-4 CAPLUS
CN Propanamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2-methyl- (9CI) (CA INDEX NAME)

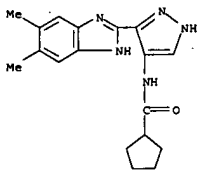


RN 518988-33-5 CAPLUS
CN Butanamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-methyl- (9CI) (CA INDEX NAME)

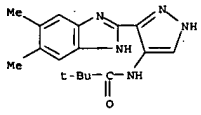


RN 518988-34-6 CAPLUS
CN Benzeneacetamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

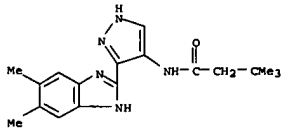
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518988-38-0 CAPLUS
CN Propanamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

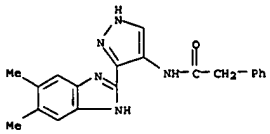


RN 518988-39-1 CAPLUS
CN Butanamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3,3-dimethyl- (9CI) (CA INDEX NAME)

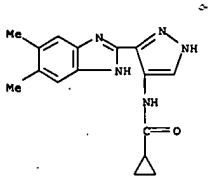


RN 518988-40-4 CAPLUS
CN Butanamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

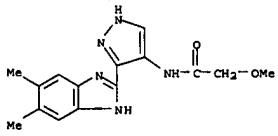
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518988-35-7 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)

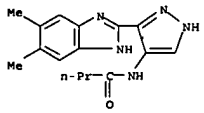


RN 518988-36-8 CAPLUS
CN Acetamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2-methoxy- (9CI) (CA INDEX NAME)

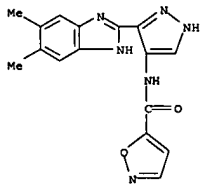


RN 518988-37-9 CAPLUS
CN Cyclopentanecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

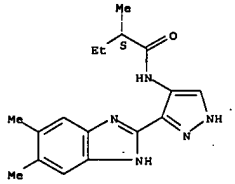


RN 518988-41-5 CAPLUS
CN 5-Isoxazolecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



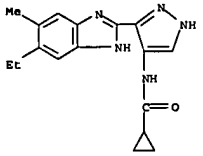
RN 518988-42-6 CAPLUS
CN Butanamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

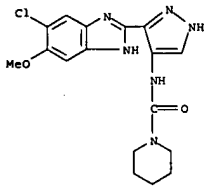


RN 518988-43-7 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

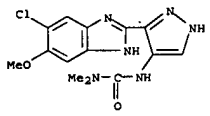
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518988-44-8 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-(5-chloro-6-methoxy-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



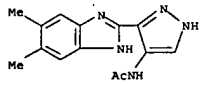
RN 518988-45-9 CAPLUS
CN Urea, N'-[3-(5-chloro-6-methoxy-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



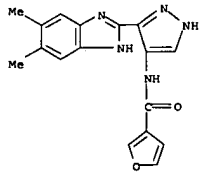
RN 518988-48-2 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

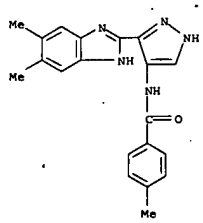
CN Acetamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 518988-56-2 CAPLUS
CN 3-Furancarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

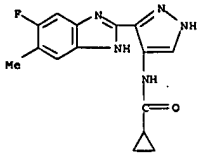


RN 518988-57-3 CAPLUS
CN Benzamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-4-methyl- (9CI) (CA INDEX NAME)

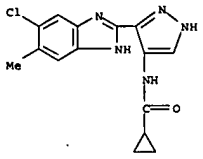


RN 518988-67-5 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydro-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

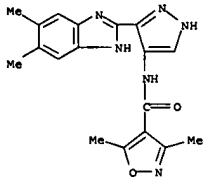
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518988-52-8 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

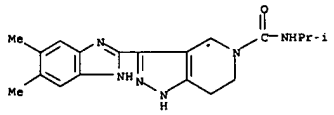


RN 518988-54-0 CAPLUS
CN 4-Isoxazolecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

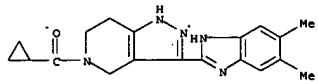


RN 518988-55-1 CAPLUS

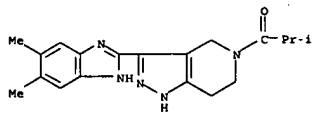
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



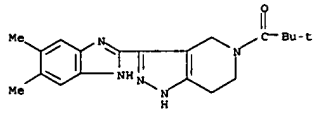
RN 518988-69-7 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 5-(cyclopropylcarbonyl)-3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



RN 518988-70-0 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro-5-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

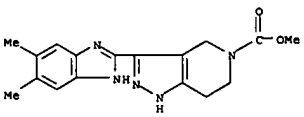


RN 518988-71-1 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-(2,2-dimethyl-1-oxopropyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

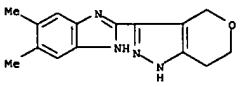


RN 518988-72-2 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)

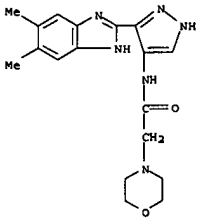
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518988-88-0 CAPLUS
CN Pyrano[4,3-c]pyrazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)

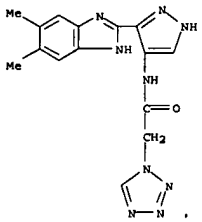


RN 518988-91-5 CAPLUS
CN 4-Morpholineacetamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

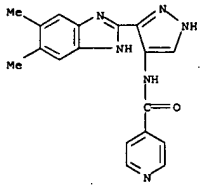


RN 518988-92-6 CAPLUS
CN Acetamide, 2-(dimethylamino)-N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

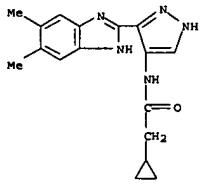
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



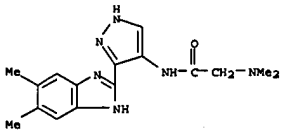
RN 518988-95-9 CAPLUS
CN 4-Pyridinecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



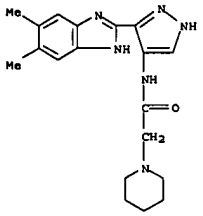
RN 518988-96-0 CAPLUS
CN Cyclopropaneacetamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



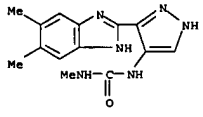
RN 518988-93-7 CAPLUS
CN 1-Piperidineacetamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



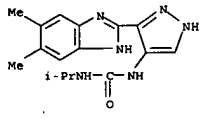
RN 518988-94-8 CAPLUS
CN 1H-Tetrazole-1-acetamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

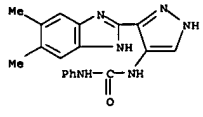
RN 518988-97-1 CAPLUS
CN Urea, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-methyl- (9CI) (CA INDEX NAME)



RN 518988-98-2 CAPLUS
CN Urea, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

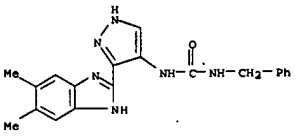


RN 518988-99-3 CAPLUS
CN Urea, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

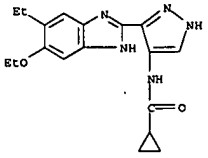


RN 518989-00-9 CAPLUS
CN Urea, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

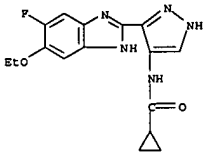
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518989-01-0 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5-ethoxy-6-ethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

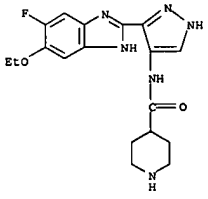


RN 518989-10-1 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

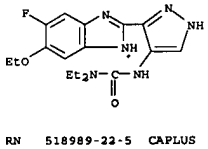


RN 518989-13-4 CAPLUS
CN 2H-Pyran-4-carboxamide, N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]tetrahydro- (9CI) (CA INDEX NAME)

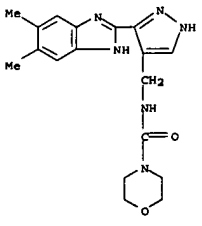
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518989-19-0 CAPLUS
CN Urea, N'-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N,N-diethyl- (9CI) (CA INDEX NAME)

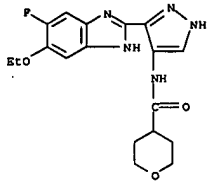


RN 518989-22-5 CAPLUS
CN 4-Morpholinecarboxamide, N-[[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]methyl]- (9CI) (CA INDEX NAME)

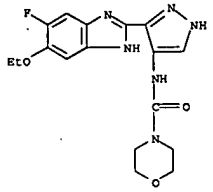


RN 518989-28-1 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5-chloro-6-methoxy-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

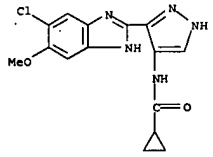


RN 518989-15-6 CAPLUS
CN 4-Morpholinecarboxamide, N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

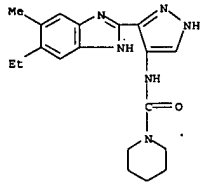


RN 518989-17-8 CAPLUS
CN 4-Piperidinecarboxamide, N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

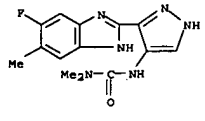
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518989-33-8 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

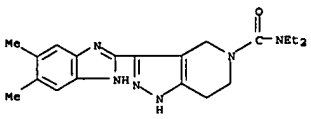


RN 518989-34-9 CAPLUS
CN Urea, N'-[3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

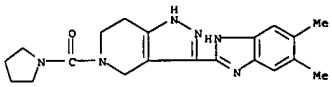


RN 518989-36-1 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N,N-diethyl-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)

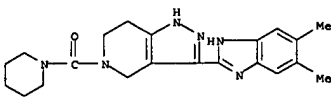
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



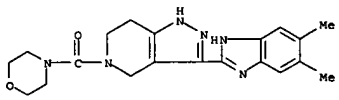
RN 518989-37-2 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro-5-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 518989-38-3 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro-5-(1-piperidinylcarbonyl)- (9CI) (CA INDEX NAME)

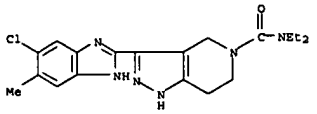


RN 518989-39-4 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro-5-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)

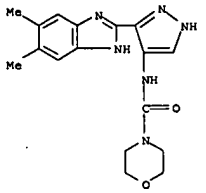


L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

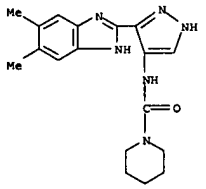
RN 518989-40-7 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-N,N-diethyl-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)



RN 518989-41-8 CAPLUS
CN 4-Morpholinecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

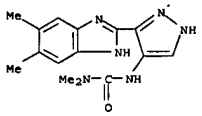


RN 518989-42-9 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

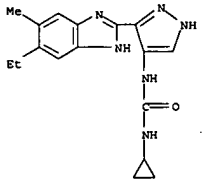


L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

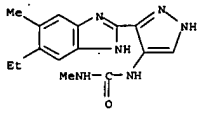
RN 518989-45-2 CAPLUS
CN Urea, N'-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 518989-47-4 CAPLUS
CN Urea, N-cyclopropyl-N'-[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

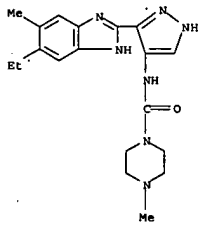


RN 518989-48-5 CAPLUS
CN Urea, N-[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-methyl- (9CI) (CA INDEX NAME)

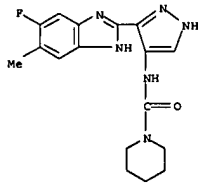


RN 518989-49-6 CAPLUS
CN 1-Piperazinecarboxamide, N-[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-4-methyl- (9CI) (CA INDEX NAME)

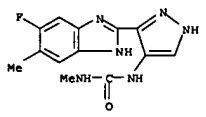
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518989-50-9 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

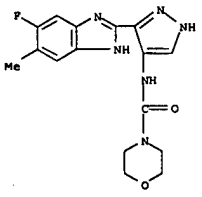


RN 518989-51-0 CAPLUS
CN Urea, N-[3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-methyl- (9CI) (CA INDEX NAME)

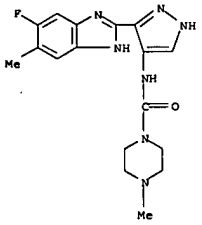


RN 518989-52-1 CAPLUS
CN 4-Morpholinecarboxamide, N-[3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

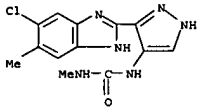
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518989-53-2 CAPLUS
CN 1-Piperazinecarboxamide, N-[3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-4-methyl- (9CI) (CA INDEX NAME)

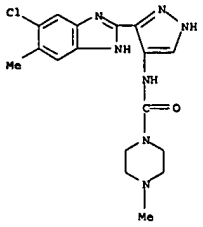


RN 518989-55-4 CAPLUS
CN Urea, N-[3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-methyl- (9CI) (CA INDEX NAME)

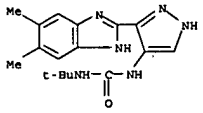


L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

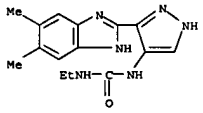
RN 518989-56-5 CAPLUS
CN 1-Piperazinecarboxamide, N-[3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-4-methyl- (9CI) (CA INDEX NAME)



RN 518989-57-6 CAPLUS
CN Urea, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

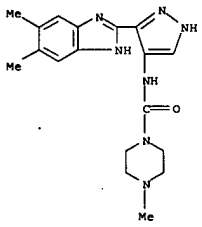


RN 518989-58-7 CAPLUS
CN Urea, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

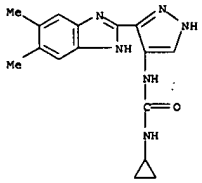


L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

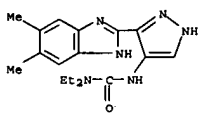
RN 518989-59-8 CAPLUS
CN 1-Piperazinecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-4-methyl- (9CI) (CA INDEX NAME)



RN 518989-60-1 CAPLUS
CN Urea, N-cyclopropyl-N'-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

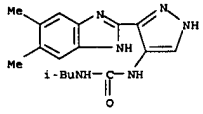


RN 518989-61-2 CAPLUS
CN Urea, N'-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N,N-diethyl- (9CI) (CA INDEX NAME)

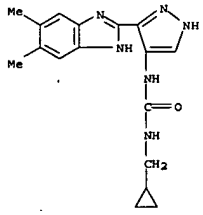


L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

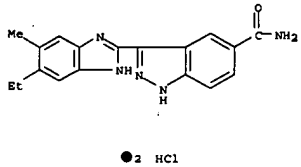
RN 518989-62-3 CAPLUS
CN Urea, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-(2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 518989-63-4 CAPLUS
CN Urea, N-(cyclopropylmethyl)-N'-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



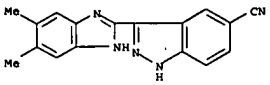
RN 518989-64-5 CAPLUS
CN 1H-Indazole-5-carboxamide, 3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



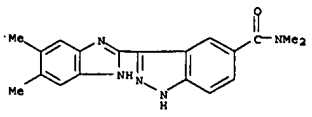
● 2 HCl

RN 518990-80-2 CAPLUS
CN 1H-Indazole-5-carbonitrile, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

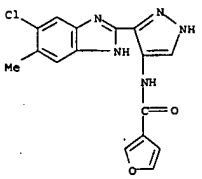
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518990-81-3 CAPLUS
CN 1H-Indazole-5-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

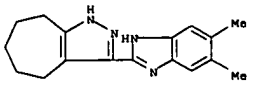


RN 518990-82-4 CAPLUS
CN 3-Furancarboxamide, N-[3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

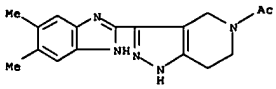


RN 518990-83-5 CAPLUS
CN Cycloheptapyrazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,4,5,6,7,8-hexahydro- (9CI) (CA INDEX NAME)

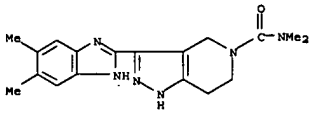
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



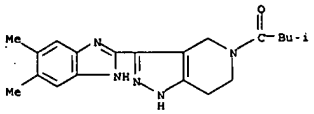
RN 518990-84-6 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



RN 518990-85-7 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydro-N,N-dimethyl- (9CI) (CA INDEX NAME)

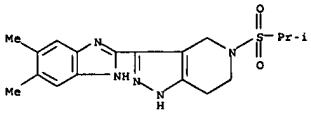


RN 518990-86-8 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro-5-(3-methyl-1-oxobutyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

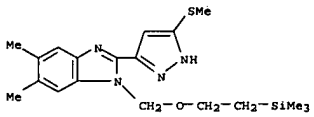
RN 518990-87-9 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro-5-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



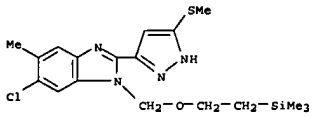
IT **518986-62-4P**, 5,6-Dimethyl-2-(5-methylsulfanyl-1H-pyrazol-3-yl)-1-[(2-trimethylsilanylethoxy)methyl]-1H-benzimidazole **518986-64-6P**, 6-Chloro-5-methyl-2-(5-methylsulfanyl-1H-pyrazol-3-yl)-1-[(2-trimethylsilanylethoxy)methyl]-1H-benzimidazole **518986-67-9P**, 6-Chloro-2-(5-ethylsulfanyl-1H-pyrazol-3-yl)-5-methyl-1-[(2-trimethylsilanylethoxy)methyl]-1H-benzimidazole **518986-71-5P**, 2-(5-Cyclopropylmethylsulfanyl-1H-pyrazol-3-yl)-5,6-dimethyl-1-[(2-trimethylsilanylethoxy)methyl]-1H-benzimidazole **518986-73-7P**, 5,6-Dimethyl-2-(5-ethylsulfanyl-1H-pyrazol-3-yl)-1-[(2-trimethylsilanylethoxy)methyl]-1H-benzimidazole **518986-75-9P**, 5,6-Dimethyl-2-[5-[[(pyridin-3-yl)methyl]sulfanyl]-1H-pyrazol-3-yl]-1-[(2-trimethylsilanylethoxy)methyl]-1H-benzimidazole **518986-79-3P**, 5,6-Dimethyl-2-(5-phenethylsulfanyl-1H-pyrazol-3-yl)-1-[(2-trimethylsilanylethoxy)methyl]-1H-benzimidazole **518986-83-9P**, 2-(5-Benzylsulfanyl-1H-pyrazol-3-yl)-5,6-dimethyl-1-[(2-trimethylsilanylethoxy)methyl]-1H-benzimidazole **518986-85-1P**, 6-Chloro-5-methyl-2-(5-morpholino-1H-pyrazol-3-yl)-1-[(2-trimethylsilanylethoxy)methyl]-1H-benzimidazole **518986-87-3P**, 5,6-Dimethyl-2-[5-(thiophen-2-ylmethylsulfanyl)-1H-pyrazol-3-yl]-1-[(2-trimethylsilanylethoxy)methyl]-1H-benzimidazole **518989-03-2P**, Cyclopropanecarboxylic acid [3-(5-ethoxy-6-ethyl-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-yl]amide **518989-12-3P**, Cyclopropanecarboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-yl]amide **518989-14-5P**, Tetrahydropyran-4-carboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazole-4-yl]amide **518989-16-7P**, Morpholine-4-carboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-yl]amide **518989-18-9P**, Piperidine-4-carboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-yl]amide **518989-20-3P**, 3-[3-(6-Ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-yl]-1,1-diethylurea **518989-23-6P**, Morpholine-4-carboxylic acid (2,4-dimethoxybenzyl)[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-ylmethyl]amide **518990-67-5P**, 3-(5-Ethoxy-6-ethyl-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-ylamine **518990-69-7P**, 6-Ethoxy-5-fluoro-2-[4-amino-1-(tetrahydropyran-2-yl)-1H-pyrazole-3-yl]-1H-benzimidazole **518990-73-3P**, 5-Ethoxy-6-ethyl-2-[4-nitro-1-(tetrahydropyran-2-yl)-1H-pyrazol-3-yl]-1H-benzimidazole **518990-75-5P**, 6-Ethoxy-5-fluoro-2-[4-nitro-1-(tetrahydropyran-2-yl)-1H-pyrazole-3-yl]-1H-benzimidazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzimidazoles and analogs and their use as protein kinase inhibitors)

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

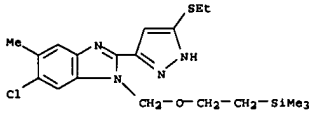
RN 518986-62-4 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-(methylthio)-1H-pyrazol-3-yl]-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)



RN 518986-64-6 CAPLUS
CN 1H-Benzimidazole, 6-chloro-5-methyl-2-[5-(methylthio)-1H-pyrazol-3-yl]-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

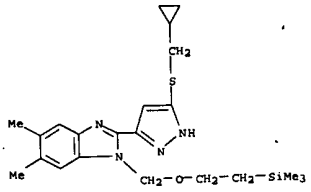


RN 518986-67-9 CAPLUS
CN 1H-Benzimidazole, 6-chloro-2-[5-(ethylthio)-1H-pyrazol-3-yl]-5-methyl-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

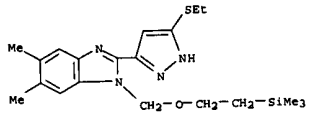


RN 518986-71-5 CAPLUS
CN 1H-Benzimidazole, 2-[5-[(cyclopropylmethyl)thio]-1H-pyrazol-3-yl]-5,6-dimethyl-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

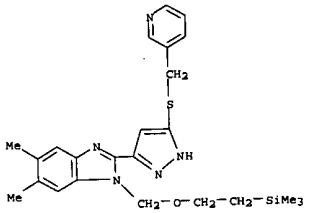
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518986-73-7 CAPLUS
CN 1H-Benzimidazole, 2-[5-(ethylthio)-1H-pyrazol-3-yl]-5,6-dimethyl-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

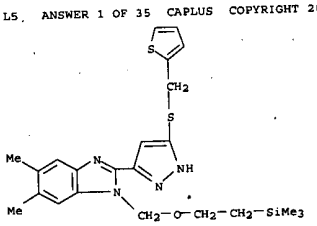


RN 518986-75-9 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(3-pyridinylmethyl)thio]-1H-pyrazol-3-yl]-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

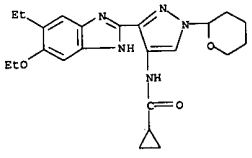


RN 518986-79-3 CAPLUS

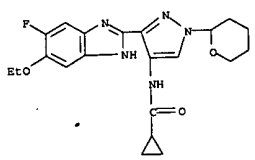
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518989-03-2 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5-ethoxy-6-ethyl-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



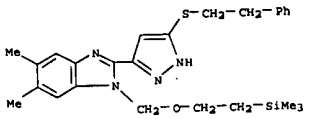
RN 518989-12-3 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

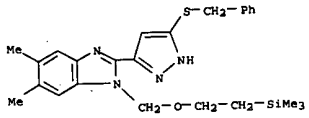


RN 518989-14-5 CAPLUS
CN 2H-Pyran-4-carboxamide, N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl]tetrahydro- (9CI) (CA INDEX NAME)

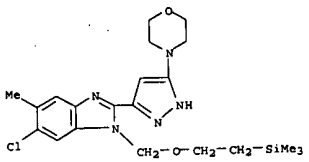
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 518986-83-9 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(phenylmethyl)thio]-1H-pyrazol-3-yl]-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)



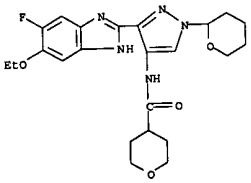


RN 518986-85-1 CAPLUS
CN 1H-Benzimidazole, 6-chloro-5-methyl-2-[5-(4-morpholinyl)-1H-pyrazol-3-yl]-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

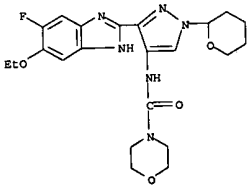


RN 518986-87-3 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(2-thienylmethyl)thio]-1H-pyrazol-3-yl]-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

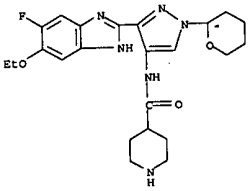
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518989-16-7 CAPLUS
CN 4-Morpholinecarboxamide, N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

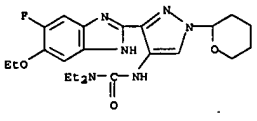


RN 518989-18-9 CAPLUS
CN 4-Piperidinecarboxamide, N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

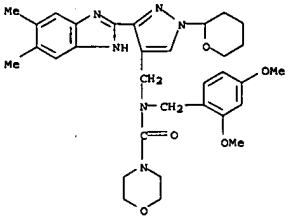


RN 518989-20-3 CAPLUS
CN Urea, N'-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-

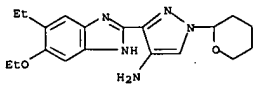
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
pyran-2-yl)-1H-pyrazol-4-yl]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 518989-23-6 CAPLUS
CN 4-Morpholinecarboxamide, N-[(2,4-dimethoxyphenyl)methyl]-N-[[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl]methyl]- (9CI) (CA INDEX NAME)

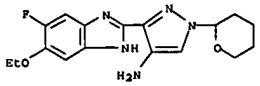


RN 518990-67-5 CAPLUS
CN 1H-Pyrazol-4-amine, 3-(5-ethoxy-6-ethyl-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)- (9CI) (CA INDEX NAME)

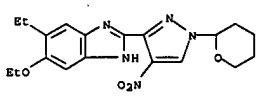


RN 518990-69-7 CAPLUS
CN 1H-Pyrazol-4-amine, 3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)- (9CI) (CA INDEX NAME)

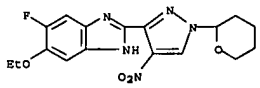
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518990-73-3 CAPLUS
CN 1H-Benzimidazole, 5-ethoxy-6-ethyl-2-[4-nitro-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 518990-75-5 CAPLUS
CN 1H-Benzimidazole, 5-ethoxy-6-fluoro-2-[4-nitro-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

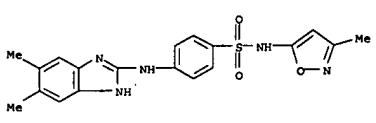


REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:678755 CAPLUS
DOCUMENT NUMBER: 138:170171
TITLE: Antimicrobial activity of some novel thiourea, hydrazine, fused pyrimidine and 2-(4-substituted)anilinobenzoazole derivatives containing sulfonamido moieties
AUTHOR(S): El-Gaby, Mohamed S. A.; Micky, Jehane A.; Taha, Nadia M.; El-Sharief, Marwa A. M. Sh.
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Al-Azhar University at Assiut, Assiut, 71524, Egypt
SOURCE: Journal of the Chinese Chemical Society (Taipei, Taiwan) (2002), 49(3), 407-414
CODEN: JCCTAC; ISSN: 0009-4536
PUBLISHER: Chinese Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Addn. of isothiocyanatosulfonamides, e.g., I (R = Ac), to arom. amines, e.g., 4-aminopyridine, gave 1,3-disubstituted thioureas, e.g., II. Interaction of two mols. of I [R = 5-(3,4-dimethyl)isoxazolyl] with 4-H2NC6H4NH2 gave bisthiourea III. Cyclocondensation of I (R = Ac) with 2-aminobenzoic acid gave thioxoquinazolinones, e.g., IV. Analogous cyclocondensation of I [R = 5-(3,4-dimethyl)isoxazolyl] with 5-amino-1-phenyl-pyrazole-4-carboxylic acid gave thioxopyrazolopyrimidinone V. 2-Anilinobenzoazoles, e.g., VI (X = O, S, NH), were obtained via cyclocondensation of I [R = Ac, 5-(3,4-dimethyl)isoxazolyl] with 1,2-dinucleophiles. Prepd. compds. were tested for antimicrobial activity.
IT 497251-24-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(antimicrobial anilinobenzoazoles prepd. via cyclocondensation of isothiocyanatosulfonamides with dinucleophiles)
RN 497251-24-8 CAPLUS
CN Benzenesulfonamide, 4-[(5,6-dimethyl-1H-benzimidazol-2-yl)amino]-N-(3-methyl-5-isoxazolyl)- (9CI) (CA INDEX NAME)

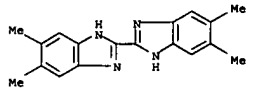


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:555331 CAPLUS
DOCUMENT NUMBER: 137:114253
TITLE: Sunscreen compositions comprising UV filters and non-photosynthetic filamentous bacteria
INVENTOR(S): Forestier, Serge; Fiandino, Cecile
PATENT ASSIGNEE(S): L'oreal, Fr.
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002056858 | A1 | 20020725 | WO 2002-FR77 | 20020110 |
| W: JP, US | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| FR 2819407 | A1 | 20020719 | FR 2001-685 | 20010118 |
| FR 2819407 | B1 | 20030221 | | |

PRIORITY APPLN. INFO.: FR 2001-685 A 20010118
OTHER SOURCE(S): MARPAT 137:114253
AB The invention concerns cosmetic or dermatol. compns. for topical use, in particular for solar protection of the skin and/or the hair, characterized in that they comprise, in a cosmetically acceptable support, at least: (a) an insol. org. UV filter with av. elementary particle size ranging between 10 nm and 5 .mu.m, and (b) at least an ext. of at least a non-photosynthetic filamentous **bacterium**. The invention also concerns their uses for skin and hair protection against UV radiation effects. Prepn. of an aq. ext. of Vitreoscilla filiformis is described.
IT 14468-52-1
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(sunscreen compns. comprising UV filters and non-photosynthetic filamentous **bacteria**)
RN 14468-52-1 CAPLUS
CN 2,2'-Bi-1H-benzimidazole, 5,5',6,6'-tetramethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:554265 CAPLUS
DOCUMENT NUMBER: 137:243205
TITLE: Comparison of the computer programs DEREK and TOPKAT to predict bacterial mutagenicity
AUTHOR(S): Cariello, Neal F.; Wilson, John D.; Britt, Ben H.; Wedd, David J.; Burlinson, Brian; Gombar, Vijay
CORPORATE SOURCE: Safety Assessment, GlaxoSmithKline Inc., Research Triangle Park, NC, 27709, USA
SOURCE: Mutagenesis (2002), 17(4), 321-329
CODEN: MUTAEX; ISSN: 0267-8357
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

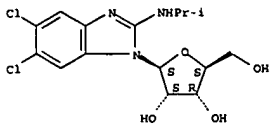
AB The performance of two computer programs, DEREK and TOPKAT, was examd. with regard to predicting the outcome of the Ames bacterial mutagenicity assay. The results of over 400 Ames tests conducted at Glaxo Wellcome (now GlaxoSmithKline) during the last 15 yr on a wide variety of chem. classes were compared with the mutagenicity predictions of both computer programs. DEREK was considered concordant with the Ames assay if (i) the Ames assay was neg. (not mutagenic) and no structural alerts for mutagenicity were identified or (ii) the Ames assay was pos. (mutagenic) and at least one structural alert was identified. Conversely, the DEREK output was considered discordant if (i) the Ames assay was neg. and any structural alert was identified or (ii) the Ames assay was pos. and no structural alert was identified. The overall concordance of the DEREK program with the Ames results was 65% and the overall discordance was 35%, based on over 400 compds. About 23% of the test mols. were outside the permissible limits of the optimum prediction space of TOPKAT. Another 4% of the compds. were either not processable or had indeterminate mutagenicity predictions; these mols. were excluded from the TOPKAT anal. If the TOPKAT probability was (i) .gtoreq.0.7 the mol. was predicted to be mutagenic, (ii) .ltoreq.0.3 the compd. was predicted to be non-mutagenic and (iii) between 0.3 and 0.7 the prediction was considered indeterminate. From over 300 acceptable predictions, the overall TOPKAT concordance was 73% and the overall discordance was 27%. While the overall concordance of the TOPKAT program was higher than DEREK, TOPKAT fared more poorly than DEREK in the crit. Ames-pos. category, where 60% of the compds. were incorrectly predicted by TOPKAT as neg. but were mutagenic in the Ames test. For DEREK, 54% of the Ames-pos. mols. had no structural alerts and were predicted to be non-mutagenic. Alternative methods of analyzing the output of the programs to increase the accuracy with Ames-pos. compds. are discussed.

IT **176161-24-3**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (computer programs DEREK and TOPKAT to predict bacterial mutagenicity)

RN 176161-24-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-N-(1-methylethyl)-1-.beta.-L-ribofuranosyl- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:539502 CAPLUS
DOCUMENT NUMBER: 137:114229
TITLE: Amphiphilic polymer-based photoprotective compositions with at least one monomer having ethylenic unsaturation with a sulfonic group and comprising a hydrophobic part
INVENTOR(S): Boutelet, Karl; Candau, Didier
PATENT ASSIGNEE(S): L'Oreal, Fr.
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

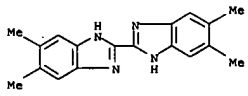
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002055045 | A1 | 20020718 | WO 2002-FR28 | 20020104 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| FR 2819180 | A1 | 20020712 | FR 2001-387 | 20010111 |
| FR 2819180 | B1 | 20030221 | | |

PRIORITY APPLN. INFO.: FR 2001-387 A 20010111
OTHER SOURCE(S): MARPAT 137:114229

AB The invention relates to a cosmetic or dermatol. compn. comprising at least one photoprotective system capable of filtering UV rays and contg. at least one mineral or org. insol. UV filter having a particle size varying between 5 nm and 5 .mu.m, characterized by the fact that it also comprises at least one amphiphilic polymer contg. at least one monomer having ethylenic unsatn. with a sulfonic group, in free form or partially or totally neutralized, and comprising at least one hydrophobic part. The invention also relates to the application of said compns. for the protection of the skin and hair against the effects of UV rays. A polymer was obtained by polymn. of Genapol T-250 methacrylate 10, 2-acrylamido-2-methylpropane sulfonic acid neutralized by ammonia 90, trimethylol propane triacrylate 1.8, dilauryl peroxide 1, and tert-butanol 300 g. An sunscreen contained 2-acrylamido-2-methylpropane sulfonic acid-dodecylacrylamide neutralized with sodium hydroxide 1.5, Uvinul N539 9, Bu methoxydibenzoylmethane 2.5, Drometrizole trisiloxane 0.75, decyl cocoate 9, glycerol 4, propylene glycol 4, NaEDTA 0.1, Mexoryl SX 1.5, triethanolamine 0.25, coated titanium oxide 16.7, preservatives and water q.s. 100 g.

IT **14468-52-1**
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (amphiphilic polymer-based photoprotective compns. with at least one monomer having ethylenic unsatn. with sulfonic group and comprising hydrophobic part)

L5 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 14468-52-1 CAPLUS
CN 2,2'-Bi-1H-benzimidazole, 5,5',6,6'-tetramethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:526050 CAPLUS
DOCUMENT NUMBER: 135:107149
TITLE: Synthesis, **antibacterial** activity and RNA polymerase inhibition of phenylamidine derivs.
INVENTOR(S): Li, Leping; Chen, Xiaoqui; Fan, Pingchen; Mihalic, Jeffrey Thomas; Cutler, Serena
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

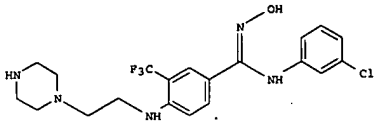
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001051456 | A2 | 20010719 | WO 2001-US1219 | 20010112 |
| WO 2001051456 | A3 | 20011220 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| US 2002045749 | A1 | 20020418 | US 2001-759633 | 20010112 |
| EP 1246795 | A2 | 20021009 | EP 2001-914329 | 20010112 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

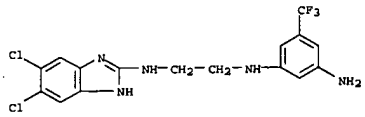
PRIORITY APPLN. INFO.: US 2000-175892P P 20000113
WO 2001-US1219 W 20010112
OTHER SOURCE(S): MARPAT 135:107149
GI



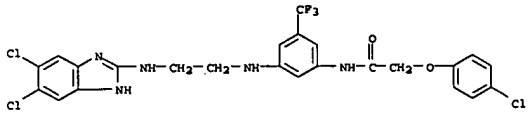
I

AB Synthesis of hydroxyamidines, e.g. (I) and related compds. are disclosed which are suitable as **antibacterial** agents by their inhibition of RNA polymerase. **Antibacterial** activity against S. aureus and E. coli are given.
IT **350488-16-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

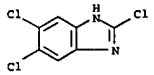
L5 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1,3-Benzenediamine, N-[2-[(5,6-dichloro-1H-benzimidazol-2-yl)amino]ethyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



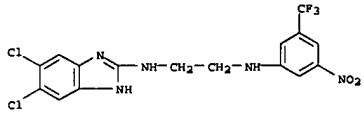
L5 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, **antibacterial** activity and RNA polymerase inhibition of phenyl- and heterocyclylhydroxyamidine derivs.)
RN 350488-16-3 CAPLUS
CN Acetamide, 2-(4-chlorophenoxy)-N-[3-[[2-[(5,6-dichloro-1H-benzimidazol-2-yl)amino]ethyl]amino]-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



IT **16865-11-5**, 2,5,6-Trichlorobenzimidazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis, **antibacterial** activity and RNA polymerase inhibition of phenyl- and heterocyclylhydroxyamidine derivs.)
RN 16865-11-5 CAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro- (9CI) (CA INDEX NAME)

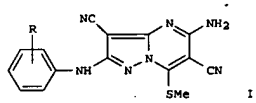


IT **350488-50-5P 350488-51-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis, **antibacterial** activity and RNA polymerase inhibition of phenyl- and heterocyclylhydroxyamidine derivs.)
RN 350488-50-5 CAPLUS
CN 1,2-Ethanediamine, N-(5,6-dichloro-1H-benzimidazol-2-yl)-N'-[3-nitro-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



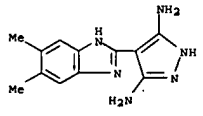
RN 350488-51-6 CAPLUS

L5 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:516900 CAPLUS
DOCUMENT NUMBER: 135:272933
TITLE: Some reactions with ketene dithioacetals. Part I. Synthesis of antimicrobial pyrazolo[1,5-a]pyrimidines via the reaction of ketene dithioacetals and 5-aminopyrazoles
AUTHOR(S): Zaharan, Medhat A.; El-Sharief, Ahmed M. Sh.; El-Gaby, Mohamed S. A.; Ammar, Yousry A.; El-Said, Usama H.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Al-Azhar University, Nasr City, Egypt
SOURCE: Farmaco (2001), 56(4), 277-283
CODEN: FRMCE8; ISSN: 0014-827X
PUBLISHER: Elsevier Science S.A.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:272933
GI



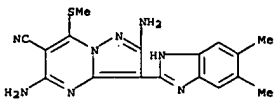
I

AB Pyrazolo[1,5-a]pyrimidines such as I (R = 2-, 4-OEt) were synthesized via the reaction of ketene dithioacetals and 5-aminopyrazoles. The **antibacterial** and antifungal activities of some selected compds. were reported.
IT **134259-21-5P 364043-50-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of antimicrobial pyrazolo[1,5-a]pyrimidines via reaction of ketene dithioacetals with 5-aminopyrazoles)
RN 134259-21-5 CAPLUS
CN 1H-Pyrazole-3,5-diamine, 4-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 364043-50-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2,5-diamino-3-(5,6-dimethyl-1H-benzimidazol-2-yl)-7-(methylthio)- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



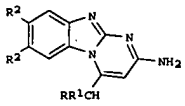
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:112638 CAPLUS
DOCUMENT NUMBER: 134:340472
TITLE: Heterocycles of biological importance. Part 5. The formation of novel biologically active pyrimido[1,2-a]benzimidazoles from allenic nitriles and aminobenzimidazoles
AUTHOR(S): Forche Asobo, Peter; Wahe, Helene; Mbafor, Joseph Tanyi; Nkengfack, Augustin Ephraim; Fomum, Zacharias Tanee; Sopbue, Emmanuel Fondjo; Dopp, Dietrich
CORPORATE SOURCE: Department of Organic Chemistry, University of Yaounde
I, Yaounde, Cameroon
SOURCE: Journal of the Chemical Society, Perkin Transactions 1
(2001), (4), 457-461
CODEN: JCSPCE; ISSN: 1472-7781
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:340472
GI

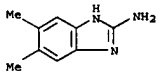


I

AB The reaction of allenic nitriles RR1C:C:CHCN [R = Me, R1 = Et, Pr, iso-Bu; R = R1 = Et; RR1 = (CH2)5] with 2-aminobenzimidazole or 2-amino-5,6-dimethylbenzimidazole gives 2-aminopyrimido[1,2-a]benzimidazoles 5 (shown as I; same R, R1; R2 = H, Me) in very good 71-92% yields. The pharmacol. screening of compds. I [R = Me, R1 = Et, R2 = H 5a, R = R1 = Et, R2 = Me 5d and RR1 = (CH2)5, R2 = H 5i] shows that they possess slight antibiotic and antiarrhythmic properties.

IT 29096-75-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of allenic nitriles with aminobenzimidazoles)

RN 29096-75-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl- (9CI) (CA INDEX NAME)



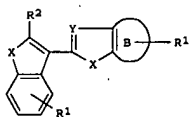
L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:911254 CAPLUS
DOCUMENT NUMBER: 134:71595
TITLE: Preparation of indolylbenzimidazole derivatives as **antibacterials**
INVENTOR(S): Bannister, Thomas D.; Cuny, Gregory D.; Hauske, James R.; Hoemann, Michael Z.; Rossi, Richard F.; Xie, Roger
Leijie
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000078761 | A1 | 20001228 | WO 2000-US17371 | 20000623 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-140570P P 19990623
OTHER SOURCE(S): MARPAT 134:71595
GI



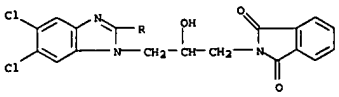
I

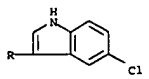
AB The title heteroarom. compds. I [X = NR, O, S; Y = N, NO; B = fused ring; R1 = Me, alkyl, aryl, etc.; R2 = H, heteroalkyl, cycloalkyl, etc.], **antibacterials** or antiinfectives or both, were prepd. E.g., the product resulting from reaction of 5-bromo-3-indolecarboxaldehyde and 4-chloro-o-phenylenediamine was prepd. and tested for **antibacterial** activity.

IT 314248-65-2P 314248-66-3P 314248-68-5P
314248-70-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of indolylbenzimidazole derivs. as **antibacterials**)

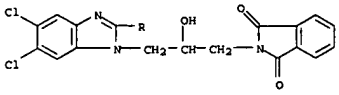
RN 314248-65-2 CAPLUS

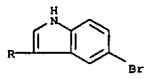
L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[5,6-dichloro-2-(5-chloro-1H-indol-3-yl)-1H-benzimidazol-1-yl]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)





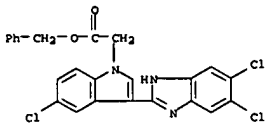
RN 314248-66-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[2-(5-bromo-1H-indol-3-yl)-5,6-dichloro-1H-benzimidazol-1-yl]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)



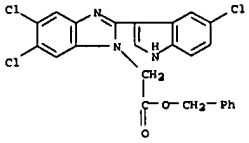


RN 314248-68-5 CAPLUS
CN 1H-Indole-1-acetic acid, 5-chloro-3-(5,6-dichloro-1H-benzimidazol-2-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

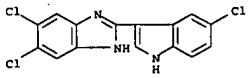
L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 314248-70-9 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(5-chloro-1H-indol-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

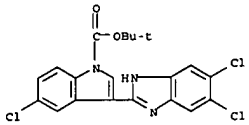


IT **314248-63-0P 314248-64-1P 314248-69-6P 314248-74-3P 314248-75-4P 314248-77-6P 314248-78-7P 314248-79-8P 314248-82-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolylbenzimidazole derivs. as **antibacterials**)
RN 314248-63-0 CAPLUS
CN 1H-Benzimidazole, 5,6-dichloro-2-(5-chloro-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

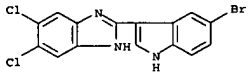


RN 314248-64-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-chloro-3-(5,6-dichloro-1H-benzimidazol-2-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

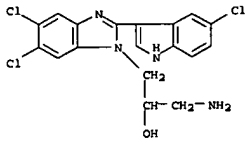
L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



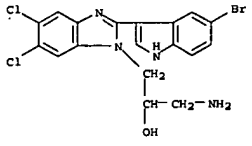
RN 314248-69-6 CAPLUS
CN 1H-Benzimidazole, 2-(5-bromo-1H-indol-3-yl)-5,6-dichloro- (9CI) (CA INDEX NAME)



RN 314248-74-3 CAPLUS
CN 1H-Benzimidazole-1-ethanol, .alpha.-(aminomethyl)-5,6-dichloro-2-(5-chloro-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

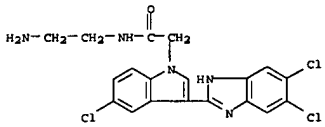


RN 314248-75-4 CAPLUS
CN 1H-Benzimidazole-1-ethanol, .alpha.-(aminomethyl)-2-(5-bromo-1H-indol-3-yl)-5,6-dichloro- (9CI) (CA INDEX NAME)

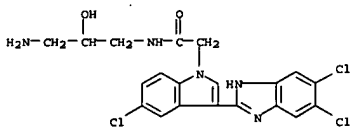


L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

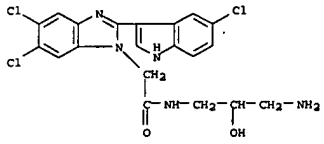
RN 314248-77-6 CAPLUS
CN 1H-Indole-1-acetamide, N-(2-aminoethyl)-5-chloro-3-(5,6-dichloro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 314248-78-7 CAPLUS
CN 1H-Indole-1-acetamide, N-(3-amino-2-hydroxypropyl)-5-chloro-3-(5,6-dichloro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

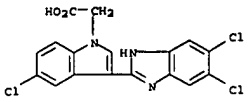


RN 314248-79-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(3-amino-2-hydroxypropyl)-5,6-dichloro-2-(5-chloro-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



RN 314248-82-3 CAPLUS
CN 1H-Indole-1-acetic acid, 5-chloro-3-(5,6-dichloro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
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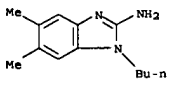
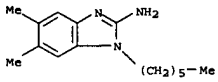
L5 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141211-28-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-hexyl-5,6-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

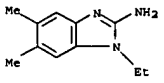
L5 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:544029 CAPLUS
DOCUMENT NUMBER: 133:248277
TITLE: Chromatographic behaviour and lipophilicity of some benzimidazole derivatives
AUTHOR(S): Perisic-Janjic, Nada U.; Podunavac-Kuzmanovic, Sanja O.; Balaz, Jelica S.; Vlaovic, Djordje
CORPORATE SOURCE: Institute of Chemistry, Faculty of Sciences, University of Novi Sad, Novi Sad, 21000, Yugoslavia
SOURCE: Journal of Planar Chromatography--Modern TLC (2000), 13(2), 123-129
CODEN: JPCTE5; ISSN: 0933-4173
PUBLISHER: Research Institute for Medicinal Plants
DOCUMENT TYPE: Journal
LANGUAGE: English

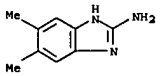
AB The retention behavior of 2-amino-5,6-dimethylbenzimidazoles, 2-aminobenzimidazoles, and 5,6-dimethylbenzimidazoles has been studied on thin layers of rice starch, cellulose, and Aminoplast. The mobile phases used were ammonia-propanol for cellulose and rice starch layers and cyclohexane-acetone-ammonia, for the Aminoplast layer. Detn. of lipophilicity by TLC is mainly based on the linear relationship between RM
values and the concn. of org. solvent in the mobile phase, in accordance with well known TLC equations. Retention consts., RM0, were detd. by extrapolation. Good correlation was found between the retention consts., RM0, and logP, and between RM0 and the antimicrobial activity of the compds. investigated.

IT **15777-04-5 29096-75-1 141211-27-0**
**141211-28-1**
RL: ANT (Analyte); BUU (Biological use, unclassified); PRP (Properties); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(microbicidal activity, TLC, lipophilicity of)

RN 15777-04-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-ethyl-5,6-dimethyl- (9CI) (CA INDEX NAME)



RN 29096-75-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl- (9CI) (CA INDEX NAME)



RN 141211-27-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-butyl-5,6-dimethyl- (9CI) (CA INDEX NAME)

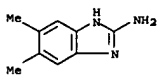
L5 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:782734 CAPLUS
DOCUMENT NUMBER: 132:219397
TITLE: Physicochemical properties and **antibacterial** activity of Cu(II) complexes with some benzimidazole derivatives
AUTHOR(S): Perisic-Janjic, Nada U.; Podunavac-Kuzmanovic, Sanja O.; Balaz, Jelica S.; Vlaovic, Dorde S.
CORPORATE SOURCE: Institute of Chemistry, Faculty of Sciences, Novi Sad,
21000, Yugoslavia
SOURCE: Acta Periodica Technologica (1999), Volume Date 1998-1999, 29-30, 173-181
CODEN: APTEFF; ISSN: 1450-7188
PUBLISHER: University of Novi Sad, Faculty of Technology
DOCUMENT TYPE: Journal
LANGUAGE: English

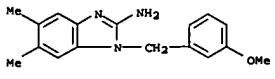
AB Copper (II) complexes with 1-X-5,6-dimethylbenzimidazole, 1-X-2-amino-benzimidazole and 1-X-2-amino-5,6-dimethylbenzimidazole (X=H; -CH2-C6H4-3-OCH3; -CH2-C6H4-3-F) have been prepd. in solns. The complexes
were characterized by electronic absorption spectra (UV/VIS). Two types of complexes were obtained: yellow-green Cu(II) complexes with 5,6-dimethylbenzimidazole derivs. as ligands and orange-brown with 2-amino- and 2-amino-5,6-dimethylbenzimidazole derivs. Compn. of Cu(II) complexes were detd. by spectrophotometric method as metal:ligand = 1:2. The antimicrobial activity of the mentioned complexes were screened against: Erwinia amylovora, Erwinia carotovora subsp. carotovora, Xanthomonas campestris pv. phaseoli and Pseudomonas syringae pv. syringae.
Correlation of structure and antimicrobial activities of tested complexes are discussed.

IT **29096-75-1 141211-29-2 141211-30-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); BIOL (Biological study)
(**antibacterial** activity and physicochem. properties of Cu(II) complexes with some benzimidazole derivs.)

RN 29096-75-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl- (9CI) (CA INDEX NAME)

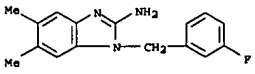


RN 141211-29-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(3-methoxyphenyl)methyl]-5,6-dimethyl- (9CI) (CA INDEX NAME)



L5 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 141211-30-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(3-fluorophenyl)methyl]-5,6-dimethyl- (9CI) (CA INDEX NAME)



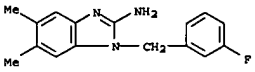
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:403830 CAPLUS
DOCUMENT NUMBER: 131:138407
TITLE: Complexes cobalt(II), zinc(II) and copper(II) with some newly synthesized benzimidazole derivatives and their **antibacterial** activity
AUTHOR(S): Podunavac-Kuzmanovic, S. O.; Leovac, V. M.; Perisic-Janjic, N. U.; Rogan, J.; Balaz, J.
CORPORATE SOURCE: Faculty of Technology, Novi Sad, YU-21000, Yugoslavia
SOURCE: Journal of the Serbian Chemical Society (1999), 64(5-6), 381-388
CODEN: JSCSEN; ISSN: 0352-5139
PUBLISHER: Serbian Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

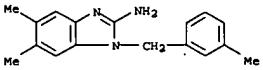
AB The prepn. and properties of some complexes of Co(II), Zn(II) and Cu(II) with several newly synthesized benzimidazole derivs. (L) are reported. The complexes, [MCl2L2] (M = Co(II), Zn(II)) have a tetrahedral structure but that of [CuCl2L(H2O)] is undetd. and possibly intermediate between tetrahedral and square planar. The complexes were characterized by elemental anal., molar cond., magnetic susceptibility measurements, IR and absorption electronic spectra. The **antibacterial** activity of the benzimidazoles and their complexes was evaluated against Erwinia carotovora subsp. carotovora and Erwinia amylovora. The complexes are more toxic than the ligands.

IT **141211-30-5 141211-31-6 141472-83-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(**antibacterial** activity and reaction with transition metal salts)

RN 141211-30-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(3-fluorophenyl)methyl]-5,6-dimethyl- (9CI) (CA INDEX NAME)

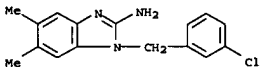


RN 141211-31-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(3-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

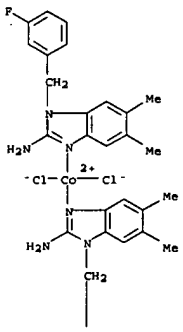
RN 141472-83-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(3-chlorophenyl)methyl]-5,6-dimethyl- (9CI) (CA INDEX NAME)



IT **220336-61-8P 233679-35-1P 233679-38-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **antibacterial** activity of)

RN 220336-61-8 CAPLUS
CN Cobalt, dichlorobis[1-[(3-fluorophenyl)methyl]-5,6-dimethyl-1H-benzimidazol-2-amine-.kappa.N3]-, (T-4)- (9CI) (CA INDEX NAME)

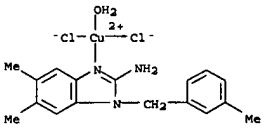
PAGE 1-A



L5 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

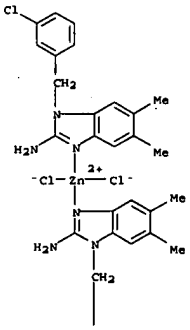
PAGE 2-A

RN 233679-35-1 CAPLUS
CN Copper, aquadichloro(5,6-dimethyl-1-[(3-methylphenyl)methyl]-1H-benzimidazol-2-amine-.kappa.N3]- (9CI) (CA INDEX NAME)



RN 233679-38-4 CAPLUS
CN Zinc, dichlorobis[1-[(3-chlorophenyl)methyl]-5,6-dimethyl-1H-benzimidazol-2-amine-.kappa.N3]-, (T-4)- (9CI) (CA INDEX NAME)

PAGE 1-A



L5 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
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L5 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:19304 CAPLUS
DOCUMENT NUMBER: 128:136130
TITLE: Inhibition of human cytomegalovirus DNA maturation by a benzimidazole ribonucleoside is mediated through the
UL89 gene product
AUTHOR(S): Underwood, Mark R.; Harvey, Robert J.; Stanat, Sylvia C.; Hemphill, Mary Lou; Miller, Teresa; Drach, John C.; Townsend, Leroy B.; Biron, Karen K.
CORPORATE SOURCE: Department of Virology, Glaxo Wellcome Inc., Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Virology (1998), 72(1), 717-725
CODEN: JOVIAM; ISSN: 0022-538X
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

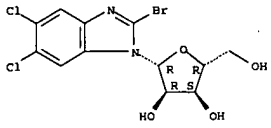
AB 2-Bromo-5,6-dichloro-1-.beta.-D-ribofuranosyl benzimidazole (BDCRB) is a member of a new class of benzimidazole ribonucleosides which inhibit human cytomegalovirus (HCMV) late in the replication cycle without inhibiting viral DNA synthesis. The authors show here that polygenomic concatemeric HCMV DNA does not mature to unit genome length in the presence of BDCRB. To discover the locus of action, virus resistant to BDCRB was selected by serial passage in the presence of the compd. Genetic mapping expts. with BDCRB-resistant virus demonstrated that the resistance phenotype mapped to one amino acid (Asp344Glu; low resistance) or two amino acids (Asp344Glu and Ala355Thr; high resistance) within the product of exon 2 of the HCMV UL89 open reading frame. The HCMV UL89 open reading frame and its homologs are among the most conserved open reading frames in the herpesviruses, and their products have sequence similarities to a known ATP-dependent endonuclease from the double-stranded DNA **bacteriophage** T4. These findings strongly suggest that BDCRB prevents viral DNA maturation by interacting with a UL89 gene product and that the UL89 open reading frame may encode an endonucleolytic subunit of the putative HCMV terminase. Further, since mammalian cell DNA replication does not involve a DNA maturation step, compds. which inhibit viral DNA maturation should be selective and safe.

IT **142356-43-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(inhibition of human cytomegalovirus DNA maturation by benzimidazole ribonucleoside is mediated through UL89 gene product)

RN 142356-43-2 CAPLUS
CN 1H-Benzimidazole, 2-bromo-5,6-dichloro-1-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



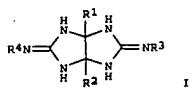
L5 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:772641 CAPLUS
DOCUMENT NUMBER: 128:48222
TITLE: Preparation of diiminoimidazoimidazoles as granulocyte
colony stimulating factor mimetics.
INVENTOR(S): Luengo, Juan I.; Chan, James A.; Breen, Ann L.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Luengo, Juan I.; Chan, James A.; Breen, Ann L.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9744033 | A1 | 19971127 | WO 1997-US8864 | 19970522 |
| W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9732865 | A1 | 19971209 | AU 1997-32865 | 19970522 |
| AU 722453 | B2 | 20000803 | | |
| EP 920314 | A1 | 19990609 | EP 1997-928663 | 19970522 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI | | | | |
| CN 1225013 | A | 19990804 | CN 1997-196426 | 19970522 |
| BR 9709326 | A | 19990810 | BR 1997-9326 | 19970522 |
| NZ 332823 | A | 20000526 | NZ 1997-332823 | 19970522 |
| JP 2000512629 | T2 | 20000926 | JP 1997-542808 | 19970522 |
| NO 9805406 | A | 19981120 | NO 1998-5406 | 19981120 |
| US 5981551 | A | 19991109 | US 1998-194217 | 19981120 |
| KR 2000015881 | A | 20000315 | KR 1998-709432 | 19981121 |

PRIORITY APPLN. INFO.: US 1996-19542P P 19960522
WO 1997-US8864 W 19970522

OTHER SOURCE(S): MARPAT 128:48222
GI



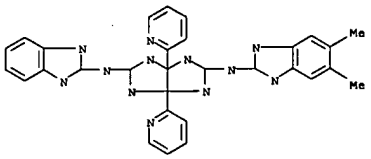
I

AB Title compds. [I; R1-R4 = (substituted) (polycyclic) (heterocyclic) aryl], were prepd. Thus, 2,2'-pyridil and 2-guanidinobenzimidazole were stirred 4 days in MeOH/aq. NaOH to give 72% I (R1, R2 = 2-pyridyl; R3, R4 = benzimidazol-2-yl). The latter showed activation above 150% of control

L5 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
between 1-32 .mu.M in a luciferase assay using NFS60 cells.
IT **199854-64-3P 199854-93-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diiminoimidazoimidazoles as granulocyte colony stimulating
factor mimetics)
RN 199854-64-3 CAPLUS
CN Imidazo[4,5-d]imidazole-2,5-diamine, N-1H-benzimidazol-2-yl-N'-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,3a,4,6a-tetrahydro-3a,6a-di-2-pyridinyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 199854-63-2
CMF C30 H26 N12



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

CM 2

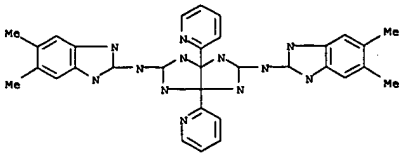
CRN 76-05-1
CMF C2 H F3 O2

RN 199854-93-8 CAPLUS
CN Imidazo[4,5-d]imidazole-2,5-diamine, N,N'-bis(5,6-dimethyl-1H-benzimidazol-2-yl)-1,3a,4,6a-tetrahydro-3a,6a-di-2-pyridinyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

L5 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 199854-92-7
CMF C32 H30 N12

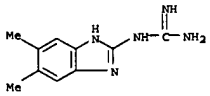


*** FRAGMENT DIAGRAM IS INCOMPLETE ***

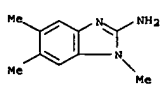
CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT **41927-06-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of diiminoimidazoimidazoles as granulocyte colony stimulating factor mimetics)
RN 41927-06-4 CAPLUS
CN Guanidine, (5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

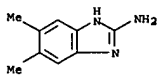


L5 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:406619 CAPLUS
DOCUMENT NUMBER: 125:79097
TITLE: Structural and quantum chemical factors affecting mutagenic potency of aminoimidazo-azaarenes
AUTHOR(S): Hatch, F. T.; Colvin, M. E.; Seidl, E. T.
CORPORATE SOURCE: Lawrence Livermore Natl. Lab., Livermore, CA, USA
SOURCE: Environmental and Molecular Mutagenesis (1996), 27(4), 314-330
CODEN: EMMUEG; ISSN: 0893-6692
PUBLISHER: Wiley-Liss
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A set of 16 mutagenic aminoimidazo-azaarenes, including four that have been isolated from cooked foods and identified as **bacterial** mutagens and rodent carcinogens, was selected from a larger series previously published (1991) for an in-depth structure-activity study using computational methods. Structural features believed to affect mutagenic potency were tabulated. MO energies and other electronic properties of these compds. were calcd. using Hueckel, semiempirical AM1, and ab initio quantum mech. methods. Factor interrelationships were studied by multiple linear regression and canonical correlation analyses. The goal was an improved understanding of the chem. basis of mutagenicity or this class of heterocyclic amines. The major findings were as follows: (1) mutagenic potency is related to the size of the arom. ring system; (2) potency is enhanced by the presence and location of an N-Me group; (3) potency is enhanced by addn. of ring nitrogen atoms in pyridine, quinoline, and quinoxaline configurations; (4) potency is inversely related to the energy of LUMO of the parent amines; (5) potency is directly, though weakly, related to the LUMO energy of the derived nitrenium ions; and (6) the calcd. thermodn. stability of the nitrenium ions (relative to the parent amine) is directly correlated with nitrenium LUMO energy and with the neg. charge on the exocyclic nitrogen atom.
IT **15777-02-3 29096-75-1**
RL: ADV (Adverse effect, including toxicity); PRP (Properties); BIOL (Biological study)
(structural and quantum chem. factors affecting mutagenic potency of aminoimidazo-azaarenes)
RN 15777-02-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,5,6-trimethyl- (9CI) (CA INDEX NAME)

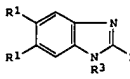


RN 29096-75-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl- (9CI) (CA INDEX NAME)

L5 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



L5 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:247903 CAPLUS
DOCUMENT NUMBER: 116:247903
TITLE: Synthesis, **antibacterial**, and antifungal activities of some new benzimidazoles
AUTHOR(S): Vlaovic, Djordje; Canadanovic-Brunet, Jasna; Balaz, Jelica; Juranic, Ivan; Djokovic, Dejan; Mackenzie, Kenneth
CORPORATE SOURCE: Dep. Chem., McMaster Univ., Hamilton, ON, L8S 4M1, Can.
SOURCE: Bioscience, Biotechnology, and Biochemistry (1992), 56(2), 199-206
CODEN: BBBIEJ; ISSN: 0916-8451
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

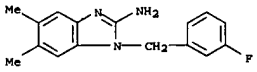


I, $R^2$=$R^3$=$NH_2$
III, $R^2$=$NH_2$
IV, $R^1$=Me, $R^2$=H, $R^3$=$H_2C_6H_4Cl$-4

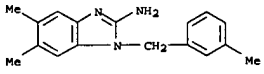
AB 1,2-Diaminobenzimidazoles (I, R1 = H or Me) were synthesized by N-amination of 2-aminobenzimidazoles (II) with hydroxylamine-O-sulfonic acid. Substituted 1-alkyl and 1-alkylarylbenzimidazoles (III, R1 = H or Me, R3 = alkyl, or substituted benzyl) were prepd. from various benzimidazoles by alkylating with the corresponding alkyl halides. As an example, 1-(4-chlorobenzyl)-5,6-dimethylbenzimidazole was N-aminated with O-(mesitylenesulfonyl)hydroxylamine to give 5,6-dimethyl-1-(4-chlorobenzyl)-3-aminobenzimidazole (IV) mesitylenesulfonate. Derivs. of 1,2-(5-nitro-2-furfurylideneamino)benzimidazoles were synthesized by the carbonylamine condensation of 5-nitro-2-furaldehyde with the appropriate I and II and III, resp. An attempt to prep. the deriv. of 3-(5-nitro-2-furfurylidenamino)benzimidazolium mesitylenesulfonate from IV was unsuccessful. The antimicrobial activities of the above compds. were screened against different strains of **bacteria** and fungi. The general structure-activity relationships of tested benzimidazoles were detd.

IT **15777-04-5P 141211-27-0P 141211-28-1P**
**141211-29-2P 141211-30-5P 141211-31-6P**
**141472-56-2P 141472-57-3P 141472-58-4P**
**141473-59-5P 141472-60-8P 141472-64-2P**
**141472-65-3P 141472-66-4P 141472-67-5P**
**141472-68-6P 141472-76-6P 141472-81-3P**
**141472-82-4P 141472-83-5P 141472-84-6P**
**141472-85-7P 141472-86-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

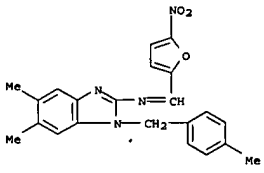
L5 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



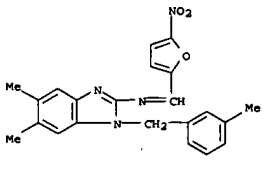
RN 141211-31-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(3-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 141472-56-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(4-methylphenyl)methyl]-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)



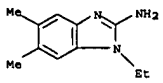
RN 141472-57-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(3-methylphenyl)methyl]-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)



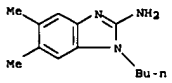
RN 141472-58-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(2-methylphenyl)methyl]-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

L5 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and **bactericidal** and fungicidal activity of, structure in relation to)

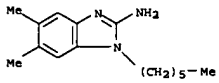
RN 15777-04-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-ethyl-5,6-dimethyl- (9CI) (CA INDEX NAME)



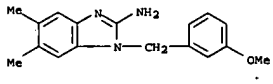
RN 141211-27-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-butyl-5,6-dimethyl- (9CI) (CA INDEX NAME)



RN 141211-28-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-hexyl-5,6-dimethyl- (9CI) (CA INDEX NAME)

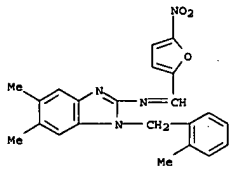


RN 141211-29-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(3-methoxyphenyl)methyl]-5,6-dimethyl- (9CI) (CA INDEX NAME)

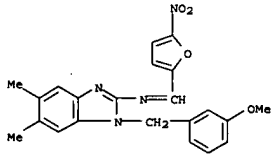


RN 141211-30-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(3-fluorophenyl)methyl]-5,6-dimethyl- (9CI) (CA INDEX NAME)

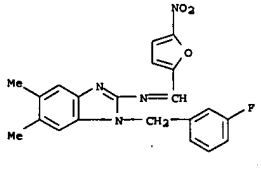
L5 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141472-59-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(3-methoxyphenyl)methyl]-5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

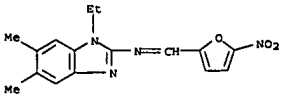


RN 141472-60-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(3-fluorophenyl)methyl]-5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

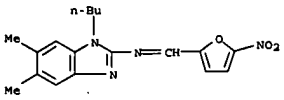


RN 141472-64-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-ethyl-5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

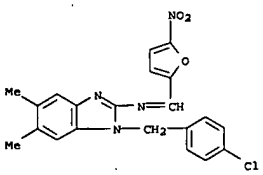
L5 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141472-65-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-butyl-5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

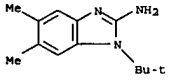


RN 141472-66-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(4-chlorophenyl)methyl]-5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

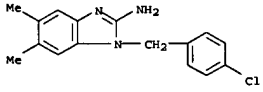


RN 141472-67-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(3-chlorophenyl)methyl]-5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

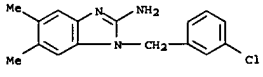
L5 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



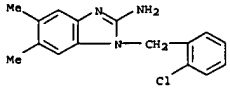
RN 141472-82-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(4-chlorophenyl)methyl]-5,6-dimethyl- (9CI) (CA INDEX NAME)



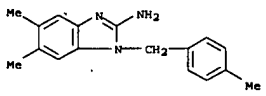
RN 141472-83-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(3-chlorophenyl)methyl]-5,6-dimethyl- (9CI) (CA INDEX NAME)



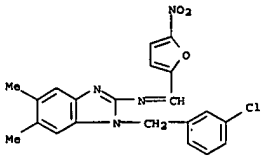
RN 141472-84-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-chlorophenyl)methyl]-5,6-dimethyl- (9CI) (CA INDEX NAME)



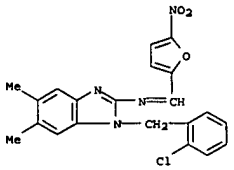
RN 141472-85-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



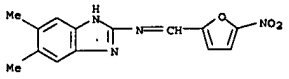
L5 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141472-68-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-chlorophenyl)methyl]-5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

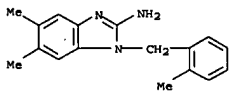


RN 141472-76-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

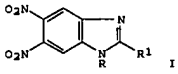


RN 141472-81-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(1,1-dimethylethyl)-5,6-dimethyl- (9CI) (CA INDEX NAME)

L5 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 141472-86-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:429203 CAPLUS
DOCUMENT NUMBER: 115:29203
TITLE: Synthesis and biological activity of 5,6-dinitro derivatives of benzimidazole
AUTHOR(S): Chernova, E. Yu.; Mokrushina, G. A.; Chupakhin, O. N.;
Kotovskaya, S. K.; Il'enko, V. I.; Andreeva, O. T.; Boreko, E. I.; Vladyko, G. V.; Korobchenko, L. V.; et al.
CORPORATE SOURCE: Ural. Politekh. Inst., Sverdlovsk, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1991), 25(1), 50-2
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 115:29203
GI

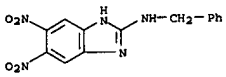


I

AB The title compds. I [R = H.cntdot.HCl, Me; R1 = NH2NH, amino, azolyl (II)] were prepd. from chlorobenzimidazoles I [R1 = Cl (III)]. III in turn, were prepd. by the nitration of 2-chlorobenzimidazole. The antiviral and antimicrobial activity of II were examd.

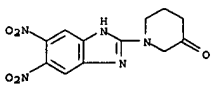
IT **134539-04-1 134539-05-2 134539-06-3 134539-07-4 134539-08-5 134539-09-6 134539-10-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antibacterial activity of)

RN 134539-04-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dinitro-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

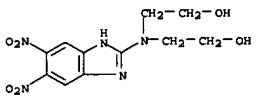


RN 134539-05-2 CAPLUS
CN 1H-Benzimidazole, 5,6-dinitro-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

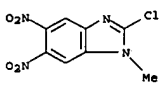


RN 134539-10-9 CAPLUS
CN Ethanol, 2,2'-[(5,6-dinitro-1H-benzimidazol-2-yl)imino]bis- (9CI) (CA INDEX NAME)



IT **2360-36-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and alkylation of)

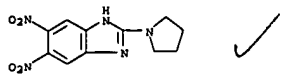
RN 2360-36-3 CAPLUS
CN 1H-Benzimidazole, 2-chloro-1-methyl-5,6-dinitro- (9CI) (CA INDEX NAME)



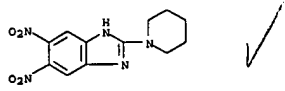
IT **134538-63-9P 134538-69-5P 134538-70-8P 134538-71-9P 134538-73-1P 134539-11-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiviral activity of)

RN 134538-63-9 CAPLUS
CN 1H-Benzimidazole, 2-(4-morpholinyl)-5,6-dinitro-, monohydrochloride (9CI) (CA INDEX NAME)

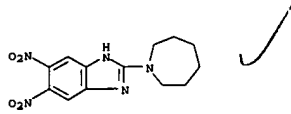
L5 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



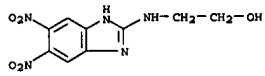
RN 134539-06-3 CAPLUS
CN 1H-Benzimidazole, 5,6-dinitro-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 134539-07-4 CAPLUS
CN 1H-Benzimidazole, 2-(hexahydro-1H-azepin-1-yl)-5,6-dinitro- (9CI) (CA INDEX NAME)

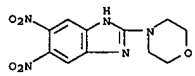


RN 134539-08-5 CAPLUS
CN Ethanol, 2-[(5,6-dinitro-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



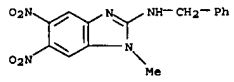
RN 134539-09-6 CAPLUS
CN 3-Piperidinone, 1-(5,6-dinitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

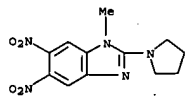


● HCl

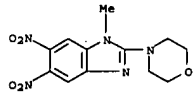
RN 134538-69-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-methyl-5,6-dinitro-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 134538-70-8 CAPLUS
CN 1H-Benzimidazole, 1-methyl-5,6-dinitro-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

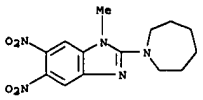


RN 134538-71-9 CAPLUS
CN 1H-Benzimidazole, 1-methyl-2-(4-morpholinyl)-5,6-dinitro- (9CI) (CA INDEX NAME)

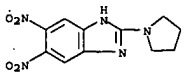


RN 134538-73-1 CAPLUS
CN 1H-Benzimidazole, 2-(hexahydro-1H-azepin-1-yl)-1-methyl-5,6-dinitro- (9CI) (CA INDEX NAME)

L5 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

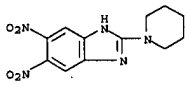


RN 134539-11-0 CAPLUS
CN 1H-Benzimidazole, 5,6-dinitro-2-(1-pyrrolidinyl)-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

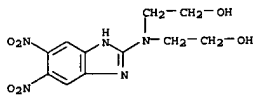
IT **134538-64-0P 134538-65-1P 134538-66-2P**
**134538-67-3P 134538-68-4P 134538-72-0P**
**134538-74-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., **antibacterial** and antiviral activity of)
RN 134538-64-0 CAPLUS
CN 1H-Benzimidazole, 5,6-dinitro-2-(1-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

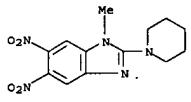
RN 134538-65-1 CAPLUS
CN 1H-Benzimidazole, 2-(hexahydro-1H-azepin-1-yl)-5,6-dinitro-, monohydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

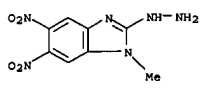


● HCl

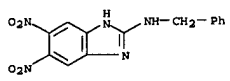
RN 134538-72-0 CAPLUS
CN 1H-Benzimidazole, 1-methyl-5,6-dinitro-2-(1-piperidinyl)- (9CI) (CA INDEX
NAME)



RN 134538-74-2 CAPLUS
CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-methyl-5,6-dinitro-, hydrazone (9CI) (CA INDEX NAME)

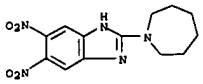


IT **134538-62-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., antiviral and **antibacterial** activity of)
RN 134538-62-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dinitro-N-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



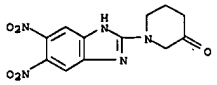
● HCl

L5 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



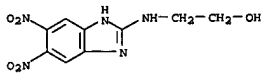
● HCl

RN 134538-66-2 CAPLUS
CN 3-Piperidinone, 1-(5,6-dinitro-1H-benzimidazol-2-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 134538-67-3 CAPLUS
CN Ethanol, 2-[(5,6-dinitro-1H-benzimidazol-2-yl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

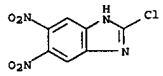


● HCl

RN 134538-68-4 CAPLUS
CN Ethanol, 2,2'-[(5,6-dinitro-1H-benzimidazol-2-yl)imino]bis-, monohydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

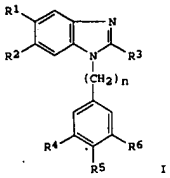
IT **1849-05-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., methylation and alkylation of)
RN 1849-05-4 CAPLUS
CN 1H-Benzimidazole, 2-chloro-5,6-dinitro- (9CI) (CA INDEX NAME)



L5 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:408806 CAPLUS
DOCUMENT NUMBER: 115:8806
TITLE: 1-Phenyl or 1-benzylbenzimidazole derivatives as drugs
INVENTOR(S): Goto, Kiyoto
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 03031264 | A2 | 19910212 | JP 1989-165084 | 19890626 |
| JP 07072181 | B4 | 19950802 | | |

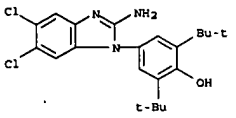
PRIORITY APPLN. INFO.: JP 1989-165084 19890626
OTHER SOURCE(S): MARPAT 115:8806
GI



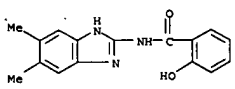
I

AB The title derivs. I [R1, R2 = H, lower alkyl, halo; R3 = lower alkyl, (lower alkyl)phenyl, lower haloalkyl, NH2, lower alkylamino, lower alkanoylamino; R4 = H, lower alkyl, NO2, NH2; R5 = H, OH, OCH2Ph; R6 = H, lower alkyl; n = 0, 1] except I [R1 = R2 = H; R3 = alkyl, (lower alkyl)phenyl, (lower alkyl) amino; R4 = R6 = lower alkyl; R5 = OH; and n = 0], I [R1 = R2 = R4 = R6 = H; R3 = lower alkyl, Ph; R5 = OH; and n = 0], and I [R1 = R2 = H or R1 = R2 = lower alkyl; R3 = H, NH2; R4 = R5 = R6 = H; and n = 1] and their salts are prepd. as **bactericides**, fungicides, virucides, inflammation inhibitors, and rheumatism inhibitors (no data). A THF soln. of 2.1 g 2,6-di-tert-butyl-1,4-benzoquinone and 1.7 g o-C6H4(NH2)2 was treated with [BF3.Et2O] under reflux for 15 h and the resulting reddish purple product in pyridine was treated with (CF3CO)2O at room temp. for 15 h to give a red compd. The red compd. thus obtained was treated with an aq. Na2S2O4 soln. at room temp. for 10 min and the resulting product in AcOH was stirred at 95-100.degree. for 10 min

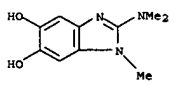
L5 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
to give 4.3 g I (R1 = R2 = H, R3 = CF3, R4 = R6 = CMe3, R5 = OH, n = 0).
IT **134275-11-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as microbicide and inflammation and rheumatism inhibitor)
RN 134275-11-9 CAPLUS
CN Phenol, 4-(2-amino-5,6-dichloro-1H-benzimidazol-1-yl)-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:608216 CAPLUS
DOCUMENT NUMBER: 113:208216
TITLE: Salicylanilide and its heterocyclic analogs. A comparative study of their antimicrobial activity
AUTHOR(S): Daidone, G.; Maggio, Benedetta; Schillaci, D.
CORPORATE SOURCE: Dip. Chim. Tecnol. Farm., Univ. Palermo, Palermo, 90123, Italy
SOURCE: Pharmazie (1990), 45(6), 441-2
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of 18 salicylanilide derivs. were synthesized and tested in vitro against gram-pos. (Staphylococcus aureus) and gram-neg. (Escherichia coli, Pseudomonas aeruginosa) **bacteria** and yeasts (Candida albicans, C. neoformans). The antimicrobial activity varied from moderate to weak for most compds. The MIC values indicated that the N-heterocyclic substitution in the 2-hydroxybenzamide mol. does not offer any advantage for the activities studied if compared with Ph substitution.
IT **123199-80-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antimicrobial activity of)
RN 123199-80-4 CAPLUS
CN Benzamide, N-(5,6-dimethyl-1H-benzimidazol-2-yl)-2-hydroxy- (9CI) (CA INDEX NAME)



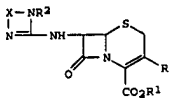
L5 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:48752 CAPLUS
DOCUMENT NUMBER: 108:48752
TITLE: Potentiating specific activity of isoniazid and streptomycin by benzimidazole derivatives
AUTHOR(S): Vishnevskii, B. I.
CORPORATE SOURCE: Leningr. NII Ftiziopul'monol., Leningrad, USSR
SOURCE: Problemy Tuberkuleza (1987), (2), 58-61
CODEN: PRTUAX; ISSN: 0032-9533
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Studies with 9 benzimidazoles in cultures of Mycobacterium tuberculosis showed that these compds. are able to increase the **antibacterial** specific activities of isoniazid (I) and streptomycin (II). The greatest potentiation was seen with 1-methyl-4,7-dimethoxybenzimidazole (III), which lowered the mini inhibitory concn. (MIC) of II 2-fold, the MIC of I 4-8-fold. Studies in mice showed that III is of value in increasing the tuberculostatic activity of I.
IT **112388-47-3**, 1-Methyl-2-dimethylamino-5,6-dihydroxybenzimidazole
RL: BIOL (Biological study)
(tuberculostatic activity of isoniazid and streptomycin potentiation by)
RN 112388-47-3 CAPLUS
CN 1H-Benzimidazole-5,6-diol, 2-(dimethylamino)-1-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:68703 CAPLUS
DOCUMENT NUMBER: 96:68703
TITLE: Cephalosporin derivatives, pharmaceutical compositions
containing them and their intermediates
INVENTOR(S): Jung, Frederic Henri
PATENT ASSIGNEE(S): I.C.I.-Pharma S. A., Fr.
SOURCE: Eur. Pat. Appl., 168 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 31708 | A2 | 19810708 | EP 1980-304674 | 19801222 |
| EP 31708 | A3 | 19820224 | | |
| EP 31708 | B1 | 19840613 | | |
| R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE | | | | |
| FR 2472574 | A1 | 19810703 | FR 1979-31616 | 19791224 |
| AU 8065134 | A1 | 19810702 | AU 1980-65134 | 19801205 |
| AU 544374 | B2 | 19850523 | | |
| FI 8003817 | A | 19810625 | FI 1980-3817 | 19801209 |
| ZA 8007710 | A | 19811125 | ZA 1980-7710 | 19801209 |
| HU 27910 | O | 19831128 | HU 1980-3021 | 19801217 |
| HU 186289 | B | 19850729 | | |
| IL 61775 | A1 | 19840831 | IL 1980-61775 | 19801221 |
| NO 8003903 | A | 19810625 | NO 1980-3903 | 19801222 |
| AT 7918 | E | 19840615 | AT 1980-304674 | 19801222 |
| DK 8005524 | A | 19810625 | DK 1980-5524 | 19801223 |
| DD 155520 | C | 19820616 | DD 1980-226584 | 19801223 |
| SU 1031408 | A3 | 19830723 | SU 1980-3219703 | 19801223 |
| CS 226025 | P | 19840319 | CS 1980-9244 | 19801223 |
| CA 1175805 | A1 | 19841009 | CA 1980-367478 | 19801223 |
| PL 132587 | B1 | 19850330 | PL 1980-228757 | 19801223 |
| PL 133508 | B1 | 19850629 | PL 1980-232847 | 19801223 |
| ES 498157 | A1 | 19811201 | ES 1980-498157 | 19801224 |
| JP 56158787 | A2 | 19811207 | JP 1980-183659 | 19801224 |
| JP 03020398 | B4 | 19910319 | | |
| US 4463173 | A | 19840731 | US 1980-219879 | 19801224 |
| SU 1077573 | A3 | 19840229 | SU 1981-3274748 | 19810424 |
| ES 502352 | A1 | 19820501 | ES 1981-502352 | 19810520 |
| CS 226028 | P | 19840319 | CS 1981-3880 | 19810526 |
| CS 226028 | B2 | 19840319 | | |
| PRIORITY APPLN. INFO.: | | | FR 1979-31616 | 19791224 |
| | | | EP 1980-304674 | 19801222 |

GI

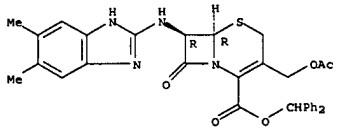


AB Cephalosporins I [R = a substituent customary for cephalosporins; R1 = H, protective group; R2 = H, OH, amino, alkyl, acyl, alkoxy, (un)substituted Ph, phenylalkyl; X = (un)substituted CH2CH2, CH:CH, o-C6H4] were prepd. Thus 7-aminocephalosporanic acid was formylated, esterified, and treated with COCl2 to give benzhydryl 7-isocyanocephalosporanate which was brominated and the dibromomethyleneamino deriv. treated with o-(H2N)2C6H4 and sapond. to give I (X = o-C6H4, R = CH2OAc, R1 = R2 = H, II). II had a
min. inhibitory concn. against Staphylococcus aureus of 2 .mu.g/mL.

IT **79591-91-6P 79592-10-2P 79592-12-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and sapon. of)

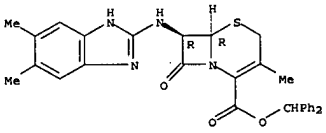
RN 79591-91-6 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[(acetyloxy)methyl]-7-[(5,6-dimethyl-1H-benzimidazol-2-yl)amino]-8-oxo-, diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



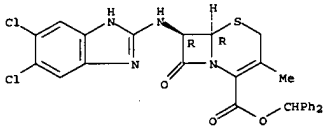
RN 79592-10-2 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[(5,6-dimethyl-1H-benzimidazol-2-yl)amino]-3-methyl-8-oxo-, diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 79592-12-4 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[(5,6-dichloro-1H-benzimidazol-2-yl)amino]-3-methyl-8-oxo-, diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



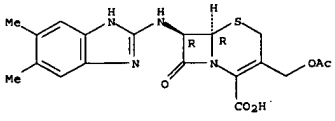
IT **79591-80-3P 79591-98-3P 79592-02-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 79591-80-3 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[(acetyloxy)methyl]-7-[(5,6-dimethyl-1H-benzimidazol-2-yl)amino]-8-oxo-, (6R-trans)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 79591-79-0
CMF C19 H20 N4 O5 S

Absolute stereochemistry.



CM 2

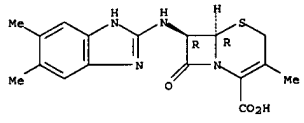
CRN 76-05-1
CMF C2 H F3 O2

RN 79591-98-3 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[(5,6-dimethyl-1H-benzimidazol-2-yl)amino]-3-methyl-8-oxo-, (6R-trans)-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 79591-97-2
CMF C17 H18 N4 O3 S

Absolute stereochemistry.



CM 2

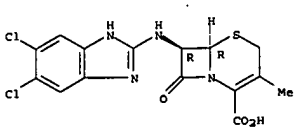
CRN 76-05-1
CMF C2 H F3 O2

RN 79592-02-2 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[(5,6-dichloro-1H-benzimidazol-2-yl)amino]-3-methyl-8-oxo-, (6R-trans)-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 79592-01-1
CMF C15 H12 Cl2 N4 O3 S

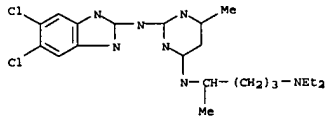
L5 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry.



CM 2

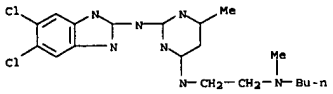
CRN 76-05-1
CMF C2 H F3 O2

L5 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



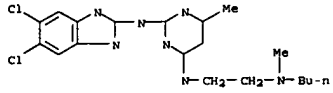
*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L5 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:34952 CAPLUS
DOCUMENT NUMBER: 92:34952
TITLE: Correlation analysis of pyrimidine folic acid antagonists as antibacterial agents. II. Classification by mode of action using discriminant analysis
AUTHOR(S): Smith, Carl C.; Genther, Clara S.; Coats, Eugene A.
CORPORATE SOURCE: Dep. Environ. Health, Univ. Cincinnati, Cincinnati, OH, 45267, USA
SOURCE: European Journal of Medicinal Chemistry (1979), 14(3), 271-6
CODEN: EJMCA5; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The ability of folic acid [59-30-3] or folinic acid [58-05-9] to reverse the inhibitory effect of pyrimidines against Streptococcus faecium, Lactobacillus casei, and Pediococcus cerevisiae was studied. an amino group at the 2-position of the pyrimidine nucleus was related to reversible antifolate action in all 3 organisms Ph or anilino substituents at the 6-position resulted in irreversible **antibacterial** activity against L. casei and P cerevisiae, but was not significant against S. faecium. Discriminant anal. as an adjunct to regression anal. in characterization of structure-activity relations of pyrimidines in quant. terms is discussed.
IT **42388-78-3 42388-88-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(**bactericidal** activity of, folate reversal of, structure in relation to)
RN 42388-78-3 CAPLUS
CN 2,4-Pyrimidinediamine, N4-[2-(butylmethylamino)ethyl]-N2-(5,6-dichloro-1H-benzimidazol-2-yl)-6-methyl- (9CI) (CA INDEX NAME)



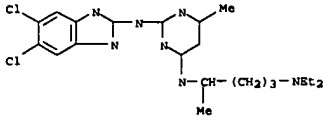
*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RN 42388-88-5 CAPLUS
CN 2,4-Pyrimidinediamine, N2-(5,6-dichloro-1H-benzimidazol-2-yl)-N4-[4-(diethylamino)-1-methylbutyl]-6-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:34951 CAPLUS
DOCUMENT NUMBER: 92:34951
TITLE: Correlation analysis of pyrimidine folic acid antagonists as **antibacterial** agents. I
AUTHOR(S): Coats, Eugene A.; Genther, Clara S.; Smith, Carl C.
CORPORATE SOURCE: Coll. Pharm., Univ. Cincinnati, Cincinnati, OH, 45267, USA
SOURCE: European Journal of Medicinal Chemistry (1979), 14(3), 261-70
CODEN: EJMCA5; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The activities of 175 pyrimidines as inhibitors of Streptococcus faecium, Lactobacillus casei, and Pediococcus cerevisiae are reported. In addn., the mode of action according to the ability of folic acid [59-30-3] or folinic acid [58-05-9] to reverse the inhibitory effect of the pyrimidines was detd. The 2,4-diamino substituent pattern appeared to be the dominant but not the sole factor controlling mode of action. Quant. structure-activity relations using regression anal., substituent consts., and indicator variables were developed in an effort to delineate influences on potency and to quant. differences between the test systems. Although arom. and(or) lipophilic substituents at the 5 position of 2,4-diaminopyrimidines enhanced folate reversible inhibition against all 3 systems the derived equations quant. establish differences in and limitations on the extent of this effect.
IT **42388-78-3 42388-88-5 42389-03-7 42389-09-3 42389-23-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(**bactericidal** activity of, structure in relation to)
RN 42388-78-3 CAPLUS
CN 2,4-Pyrimidinediamine, N4-[2-(butylmethylamino)ethyl]-N2-(5,6-dichloro-1H-benzimidazol-2-yl)-6-methyl- (9CI) (CA INDEX NAME)

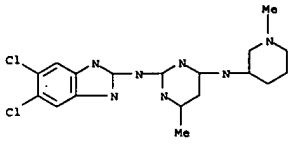


*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RN 42388-88-5 CAPLUS
CN 2,4-Pyrimidinediamine, N2-(5,6-dichloro-1H-benzimidazol-2-yl)-N4-[4-(diethylamino)-1-methylbutyl]-6-methyl- (9CI) (CA INDEX NAME)

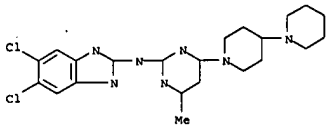
L5 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RN 42389-03-7 CAPLUS
CN 2,4-Pyrimidinediamine,
N2-(5,6-dichloro-1H-benzimidazol-2-yl)-6-methyl-N4-
(1-methyl-3-piperidinyl)- (9CI) (CA INDEX NAME)

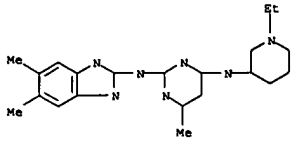


*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RN 42389-09-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-(6-[1,4'-bipiperidin]-1'-yl-4-methyl-2-
pyrimidinyl)-5,6-dichloro- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RN 42389-23-1 CAPLUS
CN 2,4-Pyrimidinediamine,
N2-(5,6-dimethyl-1H-benzimidazol-2-yl)-N4-(1-ethyl-
3-piperidinyl)-6-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



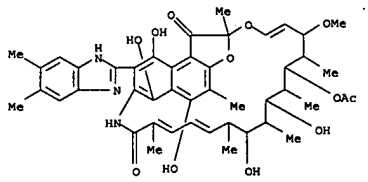
*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L5 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1973:505309 CAPLUS
DOCUMENT NUMBER: 79:105309
TITLE: Pharmaceutical 3-(2-imidazolyl)rifamycins SV
INVENTOR(S): Maggi, Nicola; Cricchio, Renato
PATENT ASSIGNEE(S): Gruppo Lepetit S.p.A.
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 2301766 | A1 | 19730726 | DE 1973-2301766 | 19730115 |
| DE 2301766 | B2 | 19800228 | | |
| DE 2301766 | C3 | 19801120 | | |
| ZA 7208306 | A | 19730725 | ZA 1972-8306 | 19721123 |
| GB 1388880 | A | 19750326 | GB 1972-59832 | 19721228 |
| AU 7351099 | A1 | 19740718 | AU 1973-51099 | 19730115 |
| CH 564554 | A | 19750731 | CH 1973-528 | 19730115 |
| NL 7300610 | A | 19730723 | NL 1973-610 | 19730116 |
| NL 159388 | B | 19790215 | | |
| DD 103236 | C | 19740112 | DD 1973-168274 | 19730116 |
| SU 444372 | D | 19740925 | SU 1973-1872137 | 19730117 |
| AT 320855 | B | 19750310 | AT 1973-371 | 19730117 |
| JP 48080600 | A2 | 19731029 | JP 1973-8230 | 19730118 |
| JP 51008959 | B4 | 19760322 | | |
| FR 2181676 | A1 | 19731207 | FR 1973-1784 | 19730118 |
| HU 165387 | P | 19740828 | HU 1973-LE675 | 19730118 |
| ES 410755 | A1 | 19760101 | ES 1973-410755 | 19730118 |
| SE 383152 | B | 19760301 | SE 1973-711 | 19730118 |
| CA 991636 | A1 | 19760622 | CA 1973-161561 | 19730118 |
| DK 135995 | B | 19770725 | DK 1973-281 | 19730118 |
| BE 794298 | A1 | 19730516 | BE 1973-126676 | 19730119 |

PRIORITY APPLN. INFO.: IT 1972-19525 19720119
GI For diagram(s), see printed CA Issue.
AB Nine rifamycins SV's (I) (R = 2-benzimidazolyl, substituted 2-benzimidazolyls, 4,5-dihydro-7H-acenaphth 4,5-d imidazol-8-yl, 1,9-dihydrofluoreno 2,3-d imidazol-2-yl, 6,11-dioxo-6,11-dihydroanthra 1,2-d imidazol-2-yl) and 25-deacetyl-3-(2-benzimidazolyl)rifamycin SV, useful as **antibacterial** and antileukemic agents and neoplasm inhibitors, were prepd. by reaction of the 3-formylrifamycin SV with 1,2-diamines. Thus, a mixt of 2.7 g 3-formylrifamycin SV, 0.33 g o-phenylenediamine and THF was stirred 30 min at 0-5.degree. to give 80% 3-(2-benzimidazolyl)rifamycin SV.
IT **49670-55-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 49670-55-5 CAPLUS
CN Rifamycin, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



L5 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1973:136284 CAPLUS
DOCUMENT NUMBER: 78:136284
TITLE: Fungicidal 2-(5-nitro-2-thiazolyl)benzimidazoles
INVENTOR(S): Strehlke, Peter; Redmann, Ulrich
PATENT ASSIGNEE(S): Schering A.-G.
SOURCE: Ger. Offen., 15 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

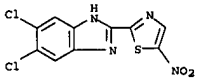
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 2142585 | A1 | 19730222 | DE 1971-2142585 | 19710820 |
| CH 566998 | A | 19750930 | CH 1972-6270 | 19720427 |
| DD 100243 | C | 19730912 | DD 1972-163350 | 19720601 |
| AT 312601 | B | 19740110 | AT 1972-5162 | 19720615 |
| HU 163798 | P | 19731027 | HU 1972-SE399 | 19720622 |
| BE 785367 | A1 | 19721227 | BE 1972-119107 | 19720623 |
| FR 2150297 | A1 | 19730406 | FR 1972-22736 | 19720623 |
| US 3819642 | A | 19740625 | US 1972-265468 | 19720623 |
| NL 7208799 | A | 19730222 | NL 1972-8799 | 19720626 |
| GB 1400602 | A | 19750716 | GB 1972-29825 | 19720626 |
| IL 39839 | A1 | 19751125 | IL 1972-39839 | 19720706 |
| SU 461505 | D | 19750225 | SU 1972-1813903 | 19720721 |
| ES 405439 | A1 | 19750701 | ES 1972-405439 | 19720802 |
| AU 7245754 | A1 | 19740221 | AU 1972-45754 | 19720818 |
| CA 988090 | A1 | 19760427 | CA 1972-149735 | 19720818 |
| JP 48029772 | A2 | 19730419 | JP 1972-83551 | 19720821 |

PRIORITY APPLN. INFO.: DE 1971-2142585 19710820

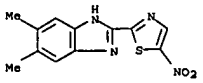
AB Eleven title compd. [I, Rn = H, 5-Me, 5-MeO, 5,6-Me2, 5-Cl, 5,6-Cl2, 5-NO2, or 5(6)-CF3; R1 = H, Me, CH2CH2OH, CH2CH2NMe2, CH2CH2Ph, or Ph], used as fungicides (esp. against Candida albicans and dermatophytes) and useful as **bactericides** an dprotozoicides, were prepd. by reaction of o-phenylenediamines with the thiazoles II [R2 = C(:NH)OEt (III), CHO, or CN). Thus, 1 g III and 540 mg o-(H2N)2C6H4 was refluxed in MeOH contg. HCl for 1 hr to give 900 mg I (Rn = R1 = H).

IT **41625-79-0P 41689-35-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 41625-79-0 CAPLUS
CN 1H-Benzimidazole, 5,6-dichloro-2-(5-nitro-2-thiazolyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 41689-35-4 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-(5-nitro-2-thiazolyl)- (9CI) (CA INDEX NAME)

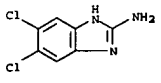


L5 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:413898 CAPLUS
DOCUMENT NUMBER: 77:13898
TITLE: Application of the Del Re method to molecules of biological interest. V. Structure-activity relations
AUTHOR(S): Carbo, Ramon; Martin, Miguel; Riera, Jose M.
CORPORATE SOURCE: Secc. Quim. Cuantica, Inst. Quim. Sarria, Barcelona, Spain
SOURCE: Afinidad (1971), 28(292), 1289-96
CODEN: AFINAE; ISSN: 0001-9704
DOCUMENT TYPE: Journal
LANGUAGE: Spanish

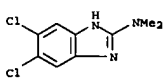
AB Using the Del Re method, values for log C/T, where T is the wt. of the tumor in a treated rat and C the wt. in a control rat, were obtained for 16 antitumor Schiff bases and compared with expt. values. The .sigma. Del Re charges and mol. energies were used to calc. the inhibitory activity of benzimidazole [51-17-2] and 22 derivs. in normetanephrine [97-31-4] methylation, the Escherichia coli **antibacterial** activity of 12 tetracyclines, and the antihypertensive activity of 34 benzodithiazines. Correlation with expt. values indicated that the simple Del Re method is adequate for obtaining a priori the biol. activity of new compds.

IT **18672-03-2 29096-73-9 29096-75-1**
**29096-77-3 30486-77-2 30486-78-3**
**30486-79-4 30486-89-6 30486-90-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(biol. activity of, Del Re method of calcn. for)

RN 18672-03-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro- (9CI) (CA INDEX NAME)

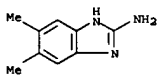


RN 29096-73-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-N,N-dimethyl- (9CI) (CA INDEX NAME)

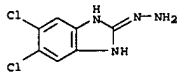


RN 29096-75-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl- (9CI) (CA INDEX NAME)

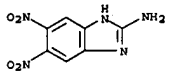
L5 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



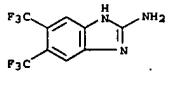
RN 29096-77-3 CAPLUS
CN 2H-Benzimidazol-2-one, 5,6-dichloro-1,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)



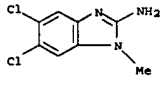
RN 30486-77-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dinitro- (9CI) (CA INDEX NAME)



RN 30486-78-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

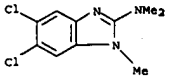


RN 30486-79-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-1-methyl- (9CI) (CA INDEX NAME)

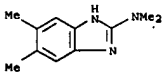


RN 30486-89-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-N,N,1-trimethyl- (9CI) (CA INDEX NAME)

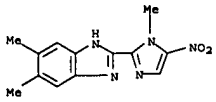
L5 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



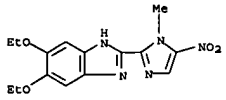
RN 30486-90-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N,N,5,6-tetramethyl- (9CI) (CA INDEX NAME)



L5 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1971:141632 CAPLUS
DOCUMENT NUMBER: 74:141632
TITLE: 5-Nitro-imidazoles substituted in 2-position by heterocyclic ring-systems
AUTHOR(S): Rufer, Clemens; Kessler, Hans J.; Schroeder, Eberhard
CORPORATE SOURCE: Hauptlab., Schering A.-G., Berlin, Fed. Rep. Ger.
SOURCE: Progr. Antimicrob. Anticancer Chemother., Proc. Int. Congr. Chemother., 6th (1970), Meeting Date 1969, Volume 1, 145-8. Univ. Park Press: Baltimore, Md. CODEN: 22PYAN
DOCUMENT TYPE: Conference
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 2-(5-Nitroimidazol-2-yl)benzimidazoles (I) and 3-[[(5-nitroimidazol-2-yl)methylene]amino]-2-oxazolidinones (II) were prepd. (In I, Y = O, S, NH, or substituted N; R = Me, Et, or Bu; R1 = H, Cl, Me, OMe, OEt, or NO2; R2 = H, Cl, Me, or OEt. In II, R = Me, Et, or Bu; R1 = substituted N or substituted S.) Most I were prepd. from Et 1-methyl-5-nitroimidazole-2-carboximidate and o-phenylenediamines. II were prepd. by condensation of 3-amino-2-oxazolidinones with 5-nitroimidazole-2-carboxaldehydes. Min. inhibitory concns. (.gamma./-ml) for I against Trichomonas vaginalis in vitro were 0.02-6.25 and for II 0.05-0.39.
IT **30164-15-9P 30164-16-0P 32063-54-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 30164-15-9 CAPLUS
CN Benzimidazole, 5,6-dimethyl-2-(1-methyl-5-nitroimidazol-2-yl)- (8CI) (CA INDEX NAME)

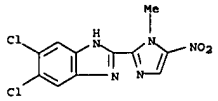


RN 30164-16-0 CAPLUS
CN Benzimidazole, 5,6-diethoxy-2-(1-methyl-5-nitroimidazol-2-yl)- (8CI) (CA INDEX NAME)



RN 32063-54-0 CAPLUS

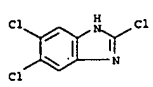
L5 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Benzimidazole, 5,6-dichloro-2-(1-methyl-5-nitroimidazol-2-yl)- (8CI) (CA INDEX NAME)



L5 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1971:52481 CAPLUS
DOCUMENT NUMBER: 74:52481
TITLE: Microbiocidal polychlorobenzimidazoles
INVENTOR(S): Wenger, Thomas; Weiss, Anton G.
PATENT ASSIGNEE(S): Agripat S. A.
SOURCE: Ger. Offen., 22 pp. CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 2020090 | A | 19701105 | DE 1970-2020090 | 19700424 |
| DE 2020090 | C3 | 19790913 | | |
| DE 2020090 | B2 | 19790111 | | |
| DK 127625 | B | 19731210 | DK 1970-1978 | 19700420 |
| SE 367943 | B | 19740617 | SE 1970-5374 | 19700420 |
| RO 61088 | P | 19761115 | RO 1970-63149 | 19700421 |
| BE 749512 | A | 19701026 | BE 1970-749512 | 19700424 |
| NL 7006028 | A | 19701027 | NL 1970-6028 | 19700424 |
| FR 2040228 | A5 | 19710122 | FR 1970-15046 | 19700424 |
| FR 2040228 | B1 | 19730810 | | |
| ES 379017 | A1 | 19720801 | ES 1970-379017 | 19700424 |
| GB 1306098 | A | 19730207 | GB 1970-19407 | 19700424 |
| JP 48014927 | B4 | 19730511 | JP 1970-35081 | 19700424 |
| AT 308287 | B | 19730625 | AT 1970-3762 | 19700424 |
| IL 34378 | A1 | 19730629 | IL 1970-34378 | 19700424 |
| CS 152356 | P | 19731219 | CS 1970-2880 | 19700424 |
| CA 945895 | A1 | 19740423 | CA 1970-81017 | 19700424 |
| PL 80654 | P | 19750830 | PL 1970-140246 | 19700424 |

PRIORITY APPLN. INFO.: CH 1969-6318 19690425
AB The microbicidal title compds. were prepd. and used for cellulose preservation. Thus, Cl was introduced into 2,5-di-chlorobenzimidazole and FeCl3 in HOAc at 20.degree., the mixt. was heated to 40-50.degree., NaOAc was added, Cl was introduced, and the process was repeated to give a mixt. contg. 42.6, 29.7 and 27.7% resp. of 2,5,6-trichloro-, 2,4,5,6-tetrachloro- and 2,4,5,6,7-penta-chlorobenzimidazoles. This mixt. was added to a com. disperse dye based on poly(vinyl acetate)-Et acrylate copolymer in 1:1 DMF-MeOCH2CH2OH and H2O. Coatings from this mixt. on filter paper were resistant to various microorganisms, e.g. Aspergillus niger and Candida albicans.
IT **16865-11-5**
RL: BIOL (Biological study)
(**bactericidal** and fungicidal coatings contg.)
RN 16865-11-5 CAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro- (9CI) (CA INDEX NAME)

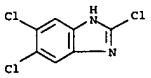


L5 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

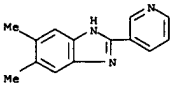
L5 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1971:12129 CAPLUS
DOCUMENT NUMBER: 74:12129
TITLE: Combating microorganisme which damage and ruin nontextile organic material
INVENTOR(S): Wenger, Thomas; Weiss, Anton G.
PATENT ASSIGNEE(S): Agripat S. A.
SOURCE: Patentschrift (Switz.), 8 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CH 494533 | A | 19700815 | CH 1969-494533 | 19690425 |
| PRIORITY APPLN. INFO.: | | | CH 1970-9795 | 19690425 |

AB Benzimidazoles with ring Cl substituents were used as protective agents. Thus, 2-chlorobenzimidazole was chlorinated to give a mixt. of 2,5,6-trichloro-, 2,4,5,6-tetrachloro-, and 2,4,5,6,7-pentachlorobenzimidazole contg. 59.12% Cl and m. 212-214.degree..
IT **16865-11-5**
RL: BIOL (Biological study)
(**bactericides** and fungicides)
RN 16865-11-5 CAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro- (9CI) (CA INDEX NAME)

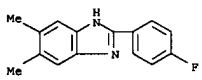


L5 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1969:87668 CAPLUS
DOCUMENT NUMBER: 70:87668
TITLE: Synthesis and biological activity of new benzimidazoles and naphthimidazoles
AUTHOR(S): Ridi, Mario; Lazzi, L.; Corti, P.
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Siena, Siena, Italy
SOURCE: Bollettino Chimico Farmaceutico (1968), 107(11), 667-74
CODEN: BCFAAI; ISSN: 0006-6648
DOCUMENT TYPE: Journal
LANGUAGE: Italian
GI For diagram(s), see printed CA Issue.
AB Equimol. amts. of 2,3-dimethyl-1-phenyl-5-keto-3-pyrazoline-4-carboxaldehyde (I) and 1-hydrazinophthalazine-HCl were boiled with NaHCO3 in EtOH 15 min. to give the phthalazin-1-ylhydrazone of 2,3-dimethyl-5-oxo-1-phenyl-3-pyrazoline-4-carboxaldehyde, m. 236.degree..
2,6-Dimethyl-1-phenyl-3-oxo-4-(2,2,2-trichloro-1-hydroxyethyl)-4-pyrazoline, m. 195.degree., was prepd. by the method of Ridi and Checchi (1953). N-[4-(2,3-Dimethyl-5-oxo-1-phenyl-3-pyrazolinomethylene)]-o-phenylenediamine, m. 205.degree., and its 4(or 5)-chloro deriv. m. 210.degree., 4(or 5)-methoxy derivs., m. 180.degree., and 4,5-dimethyl deriv., m. 175.degree., were prepd. I (2.16 g.) was refluxed with 1.42 g.
3,4-(H2N)2C6H3Cl in 40 ml. PhNO2 20 min. to give II [R = Cl, R1 = H R2 = 2,3-dimethyl-5-oxo-3-pyrazolin-1-yl-(Z)] m. 259.degree.. Also prepd. were
the following II (R, R1 R2 and m.p. given) (for R or R2 = H, R2 and R, resp., are equivocal): H, H, Z, 280.degree.; Me, Me, Z, 260.degree.; OMe, H, Z, 241.degree.; Me, Me, 4-FC6H4, 219.degree.; Me, Me, 3-FC6H4, 248.degree.; Me, Me, 2-FC6H4, 204.degree.; H, Cl, 4-FC6H4 (IV), 223.degree.; H, H, 4-FC6H4 (V), 257.degree.; H, OMe, 4-FC6H4, 195.degree.:
OMe, H, pyridin-3-yl(Y), 185.degree.; H, H, Y, 289.degree.; Me, Me, Y, 252.degree.; and Cl, H, Y, 242.degree. (VI). The following III were also prepd. (R and m.p. given): Z, 270.degree.; 2,5-dimethyl-3-oxo-4-pyrazolidin-1-yl, 259.degree.. V was active against the influenza virus and VI against the rhino virus, in vitro. IV showed antiparasitic action against Hymenolepis nana and **antibacterial** effect against Mycobacterium smegmatis, Bacillus subtilis, and Sarcina lutea and antifungal effect against Trichophyton mentagrophytes, Blastomyces dermatitidis and Candida albicans.
IT **6507-10-4P 20100-23-6P 21627-64-5P**
**21627-69-0P 21627-70-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 6507-10-4 CAPLUS
CN Benzimidazole, 5,6-dimethyl-2-(3-pyridyl)- (7CI, 8CI) (CA INDEX NAME)

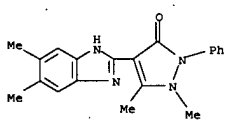


RN 20100-23-6 CAPLUS

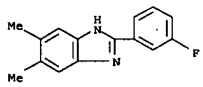
L5 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Benzimidazole, 2-(4-fluorophenyl)-5,6-dimethyl- (9CI) (CA INDEX NAME)



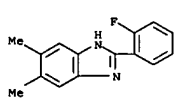
RN 21627-64-5 CAPLUS
CN Antipyrine, 4-(5,6-dimethyl-2-benzimidazolyl)- (8CI) (CA INDEX NAME)



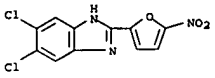
RN 21627-69-0 CAPLUS
CN Benzimidazole, 2-(m-fluorophenyl)-5,6-dimethyl- (8CI) (CA INDEX NAME)



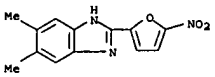
RN 21627-70-3 CAPLUS
CN Benzimidazole, 2-(o-fluorophenyl)-5,6-dimethyl- (8CI) (CA INDEX NAME)



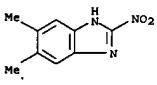
L5 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1966:499309 CAPLUS
DOCUMENT NUMBER: 65:99309
ORIGINAL REFERENCE NO.: 65:18575f-g
TITLE: Substituted 2-(5-nitro-2-furyl)benzimidazoles
AUTHOR(S): Bavin, P.M. G.
CORPORATE SOURCE: Smith Kline & French Labs. Ltd., Garden City, UK
SOURCE: Journal of Medicinal Chemistry (1966), 9(5), 788-90
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB A series of 11 title compds. (I) was prepd. and evaluated for antitrichomonal activity against Trichomonas foetus in vitro and in vivo (mouse). Most of the compds. were more active than 1-(2-hydroxyethyl)-2-methyl-5-nitroimidazole in vitro but showed only comparable activities against subcutaneous infection is then mouse. All compds. were inactive against Eimeria tenella in 3-4- week-old chicks.
IT **6534-44-7**, Benzimidazole, 5,6-dichloro-2-(5-nitro-2-furyl)-
**10443-01-3**, Benzimidazole, 5,6-dimethyl-2-(5-nitro-2-furyl)-
(prepn. of)
RN 6534-44-7 CAPLUS
CN 1H-Benzimidazole, 5,6-dichloro-2-(5-nitro-2-furanyl)- (9CI) (CA INDEX NAME)



RN 10443-01-3 CAPLUS
CN Benzimidazole, 5,6-dimethyl-2-(5-nitro-2-furyl)- (7CI, 8CI) (CA INDEX NAME)



L5 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
similarly during 12 hrs. the 4,5-dimethyl deriv. of II, which was sublimed at 100-10.degree./ 0.05 mm. 2-Aminobenzimidazole (13.3 g.) in 110 cc. 1.0N H2SO4 and 25 g. CuSO4.5H2O treated dropwise with stirring during 55 min. with 34.5 g. NaNO2 in 100 cc. H2O at 0.degree., stirred 18 hrs. at room temp., treated dropwise with cooling and stirring with 33 cc. 18N H2SO4, stirred 1.5 hrs. at room temp., and extd. with 1000 cc. Et2O yielded 2-nitrobenzimidazole (IV), m. 261-2.degree. (decompn.). IV (3.22 g.) in 10 cc. 2.5N NaOH and 20 cc. H2O treated dropwise with stirring at 55.degree. with 3.0 cc. Me2SO4, stirred 1.5 hrs. at room temp., and kept 12 hrs. gave the 1-Me deriv. of IV, m. 166-8.degree. (aq. EtOH). 2-Amino-5,6-dimethylbenzimidazole (16.1 g.) in 100 cc. 1.0N H2SO4 and 25 g. CuSO4.5H2O treated dropwise with stirring at 0.degree. with 34.5 g. NaNO2 in 100 cc. H2O gave similarly the 5,6-dimethyl deriv. of IV, m. 244-5.degree. (aq. EtOH). Examples for the formulation of IV and some of its derivs. in tablets, capsules, suppositories, and injection solns. are given.
IT **5709-69-3**, Benzimidazole, 5,6-dimethyl-2-nitro-
(prepn. of)
RN 5709-69-3 CAPLUS
CN Benzimidazole, 5,6-dimethyl-2-nitro- (7CI, 8CI) (CA INDEX NAME)

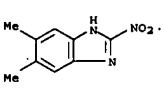


L5 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1966:473537 CAPLUS
DOCUMENT NUMBER: 65:73537
ORIGINAL REFERENCE NO.: 65:13725b-g
TITLE: 2-Nitroimidazoles
PATENT ASSIGNEE(S): F. Hoffmann-La Roche & Co., A.-G.
SOURCE: 17 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

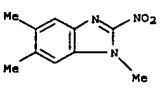
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| NL 6501946 | | 19660518 | NL | |

PRIORITY APPLN. INFO.: US 19641117
AB Substituted 2-nitroimidazoles were prepd. for use against protozoa, bacteria, and pathogenic fungi. 2-(p-Bromobenzeneazo)imidazole (50 g.) in EtOH hydrogenated over Raney Ni, and the red-brown oily product treated in 75 cc. H2O with 10 cc. concd. H2SO4 and then 12 hrs. with 400 cc. abs. MeOH yielded crude 2-aminoimidazole sulfate (I), m. 255.degree., beginning to decomp. at 278.degree., which recrystd. from boiling 3:1 H2O-EtOH gave I, m. 280.degree. (decompn.). H2NCH2CH(OEt)2 (100 g.) and 162 cc. H2O treated 48 hrs. at room temp. with methylisourea sulfate and evapd., and the viscous oily residue crystd. from 1100 cc. Me2CO yielded N-(2,2-diethoxyethyl)guanidine sulfate, m. 150-3.degree. (MeOH-Me2CO); a 76.6-g. portion added during 15 min. with stirring into 750 cc. boiling H2O and 4.8 cc. concd. H2SO4 and refluxed 15 min. gave I, m. 280.degree. (decompn.) (H2O). I (15.7 g.), 41 g. NaNO2, and 297 g. CuSO4.5H2O in 18,000 cc. H2O kept 16 hrs. at room temp., adjusted with dil. HNO3 to about pH 2.0, and extd. with AcOEt yielded the yellow 2-nitroimidazole (II) which was sublimed at 175.degree./0.5-1.0 mm. I (660 mg.), 1.6 g. NaNO2, and 40 cc. H2O kept 1 hr. at room temp. gave similarly II. 2-(p-Bromobenzeneazo)-4-methylimidazole (8.58 g.) in 200 cc. EtOH hydrogenated 4 hrs. at 14-21 atm./50.degree. over 2 g. Raney Ni, and the crude product in H2O neutralized with 2.7 cc. 12N H2SO4 gave the 4-Me deriv. (III) of I, m. 229-31.degree. (1:10 H2O-EtOH); a 0.146-g. portion in I cc. H2O treated 21 hrs. at room temp. with 2.5 g. CuSO4.5H2O and 0.35 g. NaNO2 in 360 cc. H2O and adjusted with 1.5 cc. dil. HCl to pH 2.0 gave III. I (6.7 g.), 12.7 g. CuSO4.5H2O, and 460 cc. 12N H2SO4 treated at -20.degree. with 69 g. NaNO2 in 80 cc. H2O (introduced under the surface of the mixt.), kept 24 hrs. at room temp., and adjusted with concd. NH4OH to pH 0.5 gave II. CuSO4.5H2O (150 g.) in 2000 cc. H2O and then 79.2 g. I in 1000 cc. H2O added at 0.degree. to 1600 cc. 12N H2SO4, cooled to -20.degree., treated (under the surface) with 828 g. NaNO2 in 3000 cc. H2O during 1 hr., kept 40 hrs. at room temp., adjusted at -10.degree. to pH 1.0 with about 5000 cc. concd. NH4OH, and stirred 1-2 hrs. at 0.degree. yielded II, m. 289.degree. (decompn.). 1-Methyl-2-aminoimidazole-HCl (6.7 g.), 12.5 g. CuSO4.5H2O, and 800 cc. 12N H2SO4 treated at -20.degree. with 69 g. NaNO2 in 160 cc. H2O and kept 40 hrs. at room temp. yielded 1-Me deriv. of II, m. 102-3.degree. (isoPrOH). 4,5-Dimethyl deriv. of I gave

L5 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1966:473427 CAPLUS
DOCUMENT NUMBER: 65:73427
ORIGINAL REFERENCE NO.: 65:13687d-e
TITLE: Studies in the Nitroimidazole series. I. Synthesis of azomycin and related compounds
AUTHOR(S): Beaman, Alden G.; Tautz, William; Gabriel, Thomas; Keller, Oscar; Loome, Voldemar; Duschinsky, Robert
CORPORATE SOURCE: Hoffmann-La Roche Res. Div., Nutley, NJ
SOURCE: Antimicrobial Agents and Chemotherapy (1961-70) (1965)
469-77
CODEN: AACHAX; ISSN: 0074-9923
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Azomycin (2-nitroimidazole) was synthesized in 50% yield by treatment of 2-aminoimidazole with HONO in the presence of CuSO4 (Jones and Robins, CA 55, 559c). Synthetic azomycin was identified with natural azomycin by mixed m.p., by Pka, by uv and ir and by its in vitro anti-bacterial spectrum against 19 microorganisms. The method was also applied to the prepn. of alkyl-2-aminoimidazoles and to 2-aminobenzimidazoles. The resulting 2-nitro compds. were then alkylated in the 1-position. When treated with alkali, the 1-alkyl-2-nitroimidazoles were more stable than the 1-alkyl-2-nitrobenzimidazoles which were transformed into 2-benzimidazolinones. The compds. were tested against microbiol. by agar diffusion-cup plate employing a complex nitrogenous medium. Growth inhibition characteristics for the various compds. a no. of bacteria were given.
IT **5709-69-3**, Benzimidazole, 5,6-dimethyl-2-nitro- **5709-70-6**, Benzimidazole, 1,5,6-trimethyl-2-nitro- **10045-41-7**, Benzimidazole, 5,6-dichloro-2-nitro- **10045-44-0**, Benzimidazole, 1-ethyl-5,6-dimethyl-2-nitro-
(prepn. of)
RN 5709-69-3 CAPLUS
CN Benzimidazole, 5,6-dimethyl-2-nitro- (7CI, 8CI) (CA INDEX NAME)

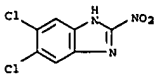


RN 5709-70-6 CAPLUS
CN Benzimidazole, 1,5,6-trimethyl-2-nitro- (7CI, 8CI) (CA INDEX NAME)

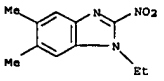


RN 10045-41-7 CAPLUS
CN Benzimidazole, 5,6-dichloro-2-nitro- (7CI, 8CI) (CA INDEX NAME)

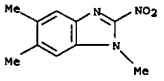
L5 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 10045-44-0 CAPLUS
CN Benzimidazole, 1-ethyl-5,6-dimethyl-2-nitro- (7CI, 8CI) (CA INDEX NAME)



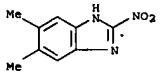
L5 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Benzimidazole, 1,5,6-trimethyl-2-nitro- (7CI, 8CI) (CA INDEX NAME)



L5 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1966:104269 CAPLUS
DOCUMENT NUMBER: 64:104269
ORIGINAL REFERENCE NO.: 64:19630a-d
TITLE: Nitroimidazoles
INVENTOR(S): Fitzmaurice, Colin
PATENT ASSIGNEE(S): Benger Laboratories Ltd.
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| GB 1026631 | | 19660420 | GB . | 19610626 |

GI For diagram(s), see printed CA Issue.
AB Nitroimidazole derivs. (I) in which R = H or an alkyl group with 1-3 C atoms and X = an alkoxy or acyloxy group with 1-4 C atoms are prepd. to be used in pharmaceutical prepns. for the treatment of protozoal infections. Thus, 10 g. 4-nitroimidazole (II) and 12 ml. ClCH2OMe was heated 3 hrs. in a sealed tube at 100.degree., the cooled soln. was taken up in H2O, made alk. with Na2CO3, and extd. with CHCl3 to give 6.3 g. I (R = H, X = OMe), m. 66.5-67.degree. (C6H6). In the same way, 2.5 g. 2-methyl-4-nitroimidazole, and 5 ml. ClCH2OMe gave 1.4 g. I (R = Me, X = OMe), m. 71.5-2.5.degree. (Et2O). II (1.7 g.) and 4 ml. ClCH2OAc was heated 1 hr. at 140.degree., the mixt. was cooled overnight, treated with H2O and Na2CO3, and extd. with CHCl3. The residual oil of the evapd. (in vacuo) CHCl3 ext. was triturated with Et2O and the ppt. recrystd. from EtOAc, giving 1.5 g. I (R = H, X = CH2OAc) (III), m. 83.5-4.5.degree.. III, m. 88-8.5.degree., was also prepd. in 6.2-g. yield by refluxing 5 g. II, 5 ml. AcOCH2Cl, and 3.5 g. K2CO3 4 hrs. in 50 ml. Me2CO, evapg. the filtered soln. in vacuo, and extg. the residue with EtOAc, boiling the ext. with C, and pptg. it with petroleum ether. II (6 g.) and 7.5 ml. EtCO2CH2Cl (IV) was refluxed 3 hrs. and cooled overnight, the excess IV was distd. in vacuo, and the residue treated in H2O with Na2CO3, extd. with CHCl3, giving I (R = H, X = EtCO2CH2), m. 61-2.degree. (Et2O-petroleum ether).
IT **5709-69-3**, Benzimidazole, 5,6-dimethyl-2-nitro- **5709-70-6**
, Benzimidazole, 1,5,6-trimethyl-2-nitro-
(prepn. of)
RN 5709-69-3 CAPLUS
CN Benzimidazole, 5,6-dimethyl-2-nitro- (7CI, 8CI) (CA INDEX NAME)

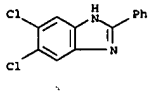


RN 5709-70-6 CAPLUS

L5 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1958:62906 CAPLUS
DOCUMENT NUMBER: 52:62906
ORIGINAL REFERENCE NO.: 52:11348e-g
TITLE: Insecticides and disinfectants
INVENTOR(S): Jerchel, Dietrich
PATENT ASSIGNEE(S): C. H. Boehringer Sohn
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 888032 | | 19530827 | DE | |

AB Halogenated 2-arylbenzimidazoles contg. at least 2 halogen atoms and, possibly, hydroxyl groups, are valuable insecticides and disinfectants of low toxicity. N1 can be substituted with alkyl, aralkyl, or aryl groups. To obtain the products, substituted .omicron.-phenylenediamines are treated with a substituted BzH in the presence of a dehydrogenating agent.
The following substances have been synthesized (yields and m.p. given): 2-(2-hydroxy-3,5-dichlorophenyl)benzimidazole (I) 85%, 299-300.degree.; 2-(2,4-dichlorophenyl)-4,6-dichlorobenzimidazole, 80%, 160-1.degree.; 2-(2-hydroxy-3,5-dichlorophenyl)-4,6-dichlorobenzimidazole (II), 65%, 231-2.degree.; 1-methyl-2-(2,4-dichlorophenyl)-4,6-dichlorobenzimidazole, 90%, 186-7.degree.; 1-methyl-2-(2-hydroxy-3,5-dichlorophenyl)-4,6-dichlorobenzimidazole, 85%, 276-8.degree.; 1-benzyl-2-(2-hydroxy-3,5-dichlorophenyl)-4,6-dichlorobenzimidazole, 73%; 191-2.degree.; and 5,6-dichloro-2-phenylbenzimidazole (III), 60%, 145.degree.. The fungicidal and **bactericidal** action of the compds. has been tested. Inhibits completely the growth of Staphylococcus in a diln. of 1:17,000 and II in a diln. of 1:805,000. Thus, 10 ml. of a 1% soln. of II at pH 8.5 is dild. with 1 l. H2O to give an excellent disinfectant, and 1 g. III per 3-10 l. H2O gives an effective spraying fungicide.
IT **90300-22-4**, Benzimidazole, 5,6-dichloro-2-phenyl-
(prepn. of)
RN 90300-22-4 CAPLUS
CN 1H-Benzimidazole, 5,6-dichloro-2-phenyl- (9CI) (CA INDEX NAME)



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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 164.29 | 313.05 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -22.79 | -22.79 |

STN INTERNATIONAL LOGOFF AT 15:37:58 ON 24 JUN 2003

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L1 STRUCTURE UPLOADED

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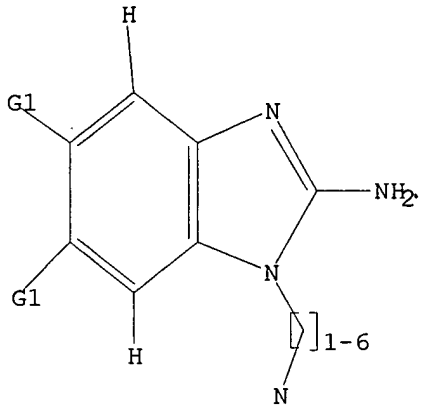


G1 H,O,N,CF3,CCl3,CBr3,NH,NH2,NO2,X,Ak

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 240 TO ITERATE

100.0% PROCESSED 240 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3871 TO 5729
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

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FULL SEARCH INITIATED 13:51:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5042 TO ITERATE

100.0% PROCESSED 5042 ITERATIONS 69 ANSWERS
SEARCH TIME: 00.00.01

L3 69 SEA SSS FUL L1

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COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 148.15 148.36

Habte 6/24/2003

FILE 'CAPLUS' ENTERED AT 13:51:30 ON 24 JUN 2003


FILE COVERS 1907 - 24 Jun 2003 VOL 138 ISS 26
FILE LAST UPDATED: 23 Jun 2003 (20030623/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 40 L3

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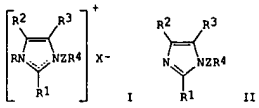
L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:58776 CAPLUS
DOCUMENT NUMBER: 92:58776
TITLE: Imidazolium halides
INVENTOR(S): Ikura, Katsuyata; Katsuura, Kiyoshi; Mizuno, Masami; Nishibe, Tadayuki
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

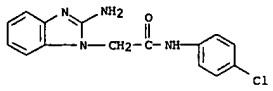
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 54079278 | A2 | 19790625 | JP 1977-145101 | 19771205 |
| JP 61000830 | B4 | 19860111 | | |

PRIORITY APPLN. INFO.: JP 1977-145101 19771205
GI

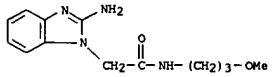


AB Sixty-six imidazolium halides I [R = alkyl, cycloalkyl; Z = alkylene; R1 = H, alkyl, NH2; R2, R3 = H; R2, R3, and the imidazole ring may form a benzimidazole ring; X = halo; R4 = R5CO (R5 = NH2, alkylamino, etc.), R7C6H4C(:NOR6) (R6 = H, alkylcarbamoyl, etc.; R7 = H, halo)] were prepd., e.g., by reaction of RX with II. Antibacterial data were given against Phytophthora capsici, Helminthosporium maydis, Venturia inaequalis, Escherichia coli, Staphlococcus aureus, Candida albicans, and Trichophyton mentagrophytes. Thus, a mixt. of 1.7 g II (R1 = R2 = R3 = H, R4 = 2,4-Cl2C6H3NHCO, Z = CH2) and 1.5 g n-C11H23Br in PhMe was refluxed 17 h to give 46.6% I (R = n-C11H23, R1 = R2 = R3 = H, R4 = 2,4-Cl2C6H3NHCO, Z = CH2, X = Br).
IT **72502-59-1 72502-61-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)
RN 72502-59-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 72502-61-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)

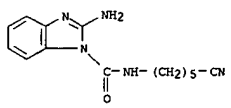


L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:501614 CAPLUS
DOCUMENT NUMBER: 77:101614
TITLE: Biocidal N-(.omega.-cyanoalkyl)carbamoylbenzimidazoles
INVENTOR(S): Daum, Werner; Scheinpflug, Hans; Frohberger, Paul Ernst; Grewe, Ferdinand
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

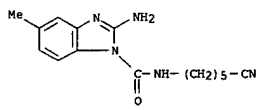
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 3673210 | A | 19720627 | US 1969-880399 | 19691126 |
| DE 1812005 | A | 19700618 | DE 1968-1812005 | 19681130 |
| US 3794728 | A | 19740226 | US 1971-206180 | 19711208 |
| US 3864490 | A | 19750204 | US 1973-392833 | 19730829 |

PRIORITY APPLN. INFO.: DE 1968-1812005 19681130
DE 1968-1812000 19681130
US 1969-880399 19691126
US 1971-206180 19711208

GI For diagram(s), see printed CA Issue.
AB Eight title compds. I (R = CO2Et, CO2Me, H; R1 = H, Me; n = 11, 5) were prepd. by treating an alkyl N-(benzimidazol-2-yl)carbamate with an .omega.-isocyanato-alkanoic acid nitrile. I exhibit strong. effective fungitoxic and antibacterial activity.
IT **28559-06-0P 32987-23-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 28559-06-0 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)- (9CI) (CA INDEX NAME)



RN 32987-23-8 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)-5-methyl- (9CI) (CA INDEX NAME)



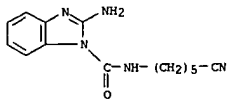
L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS (Continued)

L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1971:436053 CAPLUS
DOCUMENT NUMBER: 75:36053
TITLE: Pesticidal .omega.-cyanoalkylcarbamylbenzimidazoles
INVENTOR(S): Daum, Werner; Scheinpflug, Hans; Fronberger, Paul E.; Grewe, Ferdinand
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Brit., 8 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

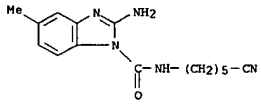
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| GB 1228108 | A | 19710415 | GB 1969-1228108 | 19691119 |
| DE 1812005 | A | 19700618 | DE 1968-1812005 | 19681130 |
| US 3864490 | A | 19750204 | US 1973-392833 | 19730829 |
| PRIORITY APPLN. INFO.: | | | DE 1968-1812005 | 19681130 |
| | | | DE 1968-1812000 | 19681130 |
| | | | US 1971-206180 | 19711208 |

GI For diagram(s), see printed CA Issue.
AB The title compds. (I) are prepd. Thus, to a cooled, stirred mixt. of 302 g ClCO2Et with a soln. of 2 moles PhCH2SC(:NH)NH2.-HCl in 800 ml H2O and 200 ml MeCN, are added 25% aq. NaOH at .ltoreq.25.degree. until the pH reaches 8, stirring continued 80 min, 1.5 l. H2O added, the sepd. org. phase, after addn. of 0.5 l. H2O, 216 g o-C6H4(NH2)2, and 180 g HOAc heated to 80-90.degree. 15 min, kept 2 hr at 80-90.degree., cooled, the aq. phase sepd., and the paste-like product stirred with H2O, and then iso-PrOH to give 82% II. A mixt. of 10 g CN(CH2)11NCO, b0.1 124-6.5.degree., [obtained from CN(CH2)11NH2 and COCl2 in PhCl, 2 hr at 120.degree.] and 10 ml Me2CO is added to 1 ml of a mixt. of 7.7 g II, 30 ml dry Me2CO, and 0.1 ml picoline, the mixt. stirred 2 hr at 40.degree., kept 18 hr at 23.degree., and dild. with 40 ml Me2CO, adding ligroine and drying the crystals at 40.degree./0.1 mm to give 13.5 g I (n = 11; R = CO2Et, R1 = H). Values otherwise exemplified in I are: n = 5; R = H, COEt, CO2Me; R1 = 5-Me, 6-Me. I exhibit fungitoxic, antibacterial, insecticidal, acaricidal and ovicidal properties. They are systemically effective, and are more fungitoxically effective than N-trichloromethylthiotetrahydrophthalamide.
IT **28559-06-0P 32987-23-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 28559-06-0 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 32987-23-8 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)-5-methyl- (9CI) (CA INDEX NAME)

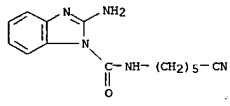


L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:456097 CAPLUS
DOCUMENT NUMBER: 73:56097
TITLE: Pesticidal 1-[-cyanoalkylcarbamoyl]-2-aminobenzimidazoles
INVENTOR(S): Daum, Werner; Scheinpflug, Hans; Frohberger, Paul E.; Grewe, Ferdinand
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

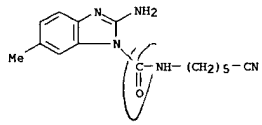
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 1812005 | A | 19700618 | DE 1968-1812005 | 19681130 |
| CH 520470 | A | 19720515 | CH 1969-520470 | 19691105 |
| GB 1228108 | A | 19710415 | GB 1969-1228108 | 19691119 |
| RO 56183 | P | 19750115 | RO 1969-61620 | 19691120 |
| FI 52718 | B | 19770801 | FI 1969-3360 | 19691120 |
| CS 157077 | P | 19740823 | CS 1969-7731 | 19691124 |
| DK 123821 | B | 19720807 | DK 1969-6260 | 19691125 |
| SU 365887 | D | 19730108 | SU 1969-1380755 | 19691125 |
| SU 416915 | D | 19740225 | SU 1969-1420140 | 19691125 |
| US 3673210 | A | 19720627 | US 1969-880399 | 19691126 |
| AT 301260 | B | 19720825 | AT 1969-11090 | 19691127 |
| BE 742394 | A | 19700528 | BE 1969-742394 | 19691128 |
| NL 6917947 | A | 19700602 | NL 1969-17947 | 19691128 |
| ES 374005 | A1 | 19720301 | ES 1969-374005 | 19691128 |
| NO 124257 | B | 19720327 | NO 1969-4712 | 19691128 |
| SE 349805 | B | 19721009 | SE 1969-16432 | 19691128 |
| JP 48016919 | B4 | 19730525 | JP 1969-95631 | 19691129 |
| JP 48028053 | B4 | 19730829 | JP 1969-95632 | 19691129 |
| FR 2024970 | A5 | 19700903 | FR 1969-41396 | 19691201 |
| US 3794728 | A | 19740226 | US 1971-206180 | 19711208 |
| US 3864490 | A | 19750204 | US 1973-392833 | 19730829 |
| JP 51000116 | B4 | 19760105 | JP 1973-130850 | 19731122 |
| PRIORITY APPLN. INFO.: | | | DE 1968-1812000 | 19681130 |
| | | | DE 1968-1812005 | 19681130 |
| | | | US 1969-880399 | 19691126 |
| | | | US 1971-206180 | 19711208 |

GI For diagram(s), see printed CA Issue.
AB The fungitoxic, antibacterial, insecticidal, acaricidal, and ovicidal title compds. (I) were prepd. Thus, heating 7.7 g II and 10 g OCN(CH2)11CN in 30 ml Me2CO and 0.1 ml picoline 2 hr at 40.degree. gave 13.5 g I (R = CO2Et, R1 = R2 = H, n = 11). Similarly prepd. were I (R, R1, R2, and n given): CO2Me, H, H, 5; CO2Et, H, H, 5; CO2Me, H, Me, 5; CO2Me, Me, H, 5; EtCO, H, H, 5; H, H, H, 5.
IT **28559-06-0P 28559-07-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 28559-06-0 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS (Continued)



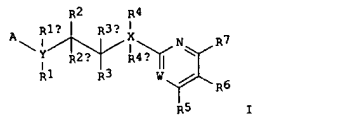
RN 28559-07-1 CAPLUS
CN 1-Benzimidazolecarboxamide, 2-amino-N-(5-cyanopentyl)-6-methyl- (8CI) (CA INDEX NAME)



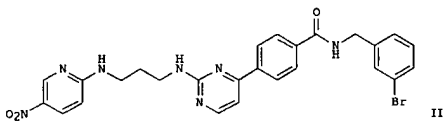
L6 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:814853 CAPLUS
DOCUMENT NUMBER: 137:325431
TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manjo; Levine, Barry H.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. 6,417,185.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2002156087 | A1 | 20021024 | US 2001-949035 | 20010906 |
| US 6417185 | B1 | 20020709 | US 1999-336038 | 19990618 |
| PRIORITY APPLN. INFO.: | | | US 1999-336038 A2 | 19990618 |
| | | | US 2000-230480P P | 20000906 |
| | | | US 1998-89978P P | 19980619 |

OTHER SOURCE(S): MARPAT 137:325431
GI



I



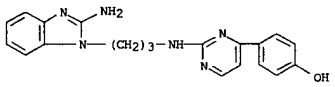
II

AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo,

L6 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.beta. in a cell free assay with IC50 values of < 1 .mu.M. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT **403807-06-7**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 403807-06-7 CAPLUS
CN Phenol, 4-[2-[[3-(2-amino-1H-benzimidazol-1-yl)propyl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:256239 CAPLUS
DOCUMENT NUMBER: 136:289365
TITLE: **Benzimidazole** compounds and methods for use thereof in the treatment of cancer or viral infections
INVENTOR(S): Quada, James C., Jr.; Agyin, Joseph K.; Camden, James Berger
PATENT ASSIGNEE(S): Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

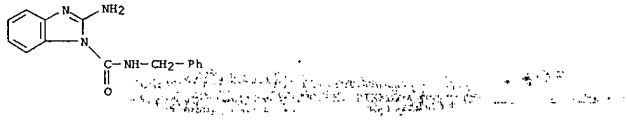
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002026716 | A2 | 20020404 | WO 2001-US29261 | 20010919 |
| WO 2002026716 | A3 | 20020711 | | |
| W: | AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 6380232 | B1 | 20020430 | US 2000-670170 | 20000926 |
| US 6407105 | B1 | 20020618 | US 2000-670169 | 20000926 |
| US 6462062 | B1 | 20021008 | US 2000-670168 | 20000926 |
| US 2002193609 | A1 | 20021219 | US 2002-132545 | 20020425 |
| US 2003100592 | A1 | 20030529 | US 2002-267051 | 20021008 |
| PRIORITY APPLN. INFO.: | | | US 2000-670166 A | 20000926 |
| | | | US 2000-670168 A | 20000926 |
| | | | US 2000-670169 A | 20000926 |
| | | | US 2000-670170 A | 20000926 |

OTHER SOURCE(S): MARPAT 136:289365
AB **Benzimidazole** derivs. and salts and prodrugs thereof are disclosed, together with methods for the treatment of cancers or viral infections in warm blooded animals by administration of these compds. Such compds. may be used in combination with a chemotherapeutic agent and/or a potentiator. 2-Aminobenzimidazole was reacted with benzyl isocyanate to give a product that inhibited murine melanoma and human colon carcinoma with IC50s of 73.5 and 66.0 .mu.M, resp.

IT **406932-10-3P**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(murine melanoma and human colon carcinoma and tubulin polymn. inhibition with; **benzimidazole** compds. and methods for use thereof in treatment of cancer or viral infections)

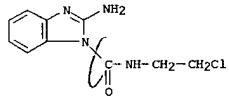
RN 406932-10-3 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

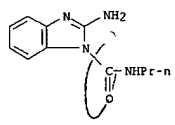


IT **406932-09-0 406932-12-5**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(murine melanoma and human colon carcinoma and tubulin polymn. inhibition with; **benzimidazole** compds. and methods for use thereof in treatment of cancer or viral infections)

RN 406932-09-0 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(2-chloroethyl)- (9CI) (CA INDEX NAME)



RN 406932-12-5 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-propyl- (9CI) (CA INDEX NAME)

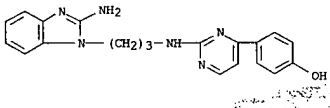


L6 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:185092 CAPLUS
DOCUMENT NUMBER: 136:247598
TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

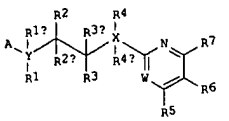
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002020495 | A2 | 20020314 | WO 2001-US42081 | 20010906 |
| WO 2002020495 | A3 | 20020620 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001095026 | A5 | 20020322 | AU 2001-95026 | 20010906 |
| EP 1317433 | A2 | 20030611 | EP 2001-975734 | 20010906 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

PRIORITY APPLN. INFO.: US 2000-230480P P 20000906
WO 2001-US42081 W 20010906
OTHER SOURCE(S): MARPAT 136:247598
GI

L6 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



L6 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



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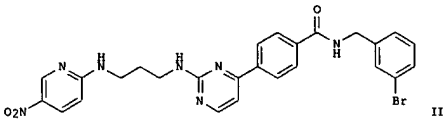


II

AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.beta. in a cell free assay with IC50 values of < 1 .mu.M. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

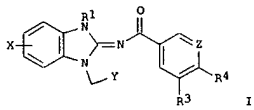
IT **403807-06-7**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 403807-06-7 CAPLUS
CN Phenol, 4-[2-[[3-(2-amino-1H-benzimidazol-1-yl)propyl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:713323 CAPLUS
DOCUMENT NUMBER: 135:272957
TITLE: Preparation of 2-acylaminobenzimidazoles for treating glaucoma
INVENTOR(S): Rusinko, Andrew; Hellberg, Mark R.; Namil, Abdelmuola
PATENT ASSIGNEE(S): Alcon Universal Ltd., Switz.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001070705 | A1 | 20010927 | WO 2000-US31260 | 20001114 |
| W: AU, BR, CA, CN, JP, MX, PL, US, ZA | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |

PRIORITY APPLN. INFO.: US 2000-190280P P 20000317
OTHER SOURCE(S): MARPAT 135:272957
GI

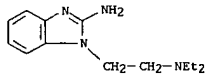


I

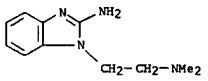
AB Title compds. (I; X = H, F, Cl, Br, cyano, alkyl, CF3, COR1, OR1, etc.; R-R2 = H, alkyl; Y = CH2NRR2, CHR1NRR2; Z = CH, N; R3 = H, F, Cl, Br, OR1, cyano, alkyl, CF3; R4 = H, alkyl, F, Cl, Br, iodo, CF3; when X = H, then Y .noteq. CH2NEt2), were prepd. Thus, 1-(2-tert-butoxycarbonylaminopropyl)-2-aminobenzimidazole hydrobromide (prepn. given) was stirred with Et3N and 4-methylbenzoyl chloride in CH2Cl2 at 0.degree. to room temp. overnight to give a residue which was treated with CF3CO2H to give 1-(2-aminopropyl)-2-(4-methylbenzamido)**benzimidazole**. 1-(N,N-dimethylaminoethyl)-2-(4-methylbenzamido)**benzimidazole** (prepn. given) showed 5-HT2 receptor binding activity with IC50 = 330 nM. I drug formulations were given.

IT **38652-79-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of acylaminobenzimidazoles for treating glaucoma)

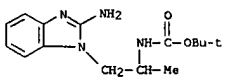
RN 38652-79-8 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
IT **38652-78-7P 362600-31-5P 362600-32-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of acylaminobenzimidazoles for treating glaucoma)
RN 38652-78-7 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

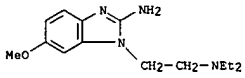


RN 362600-31-5 CAPLUS
CN Carbamic acid, [2-(2-amino-1H-benzimidazol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

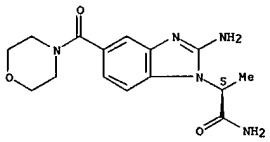
RN 362600-32-6 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl-6-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:222231 CAPLUS
DOCUMENT NUMBER: 135:61273
TITLE: Solid phase synthesis of chiral 2-amino-**benzimidazoles**
AUTHOR(S): Lee, J.; Doucette, A.; Wilson, N. S.; Lord, J.
CORPORATE SOURCE: Research & Development Center, Boehringer Ingelheim Pharmaceuticals, Inc., Ridgefield, CT, 06877, USA
SOURCE: Tetrahedron Letters (2001), 42(14), 2635-2638
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:61273
AB A multi-step solid-phase synthesis of 2-aminobenzimidazoles is described. The reaction sequence incorporates optically active .alpha.-amino acids to afford enantiomerically pure 2-aminobenzimidazoles with a chiral center adjacent to one of the heterocyclic nitrogens. This solid-phase methodol. was further extended to prep. other chiral heterocyclic compds. such as benzimidazolones.
IT **345891-02-3P 345891-03-4P 345891-04-5P 345891-05-6P 345891-06-7P 345891-07-8P 345891-08-9P 345891-09-0P 345891-10-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis of chiral benzimidazolamines)
RN 345891-02-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-.alpha.-methyl-5-(4-morpholinylcarbonyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

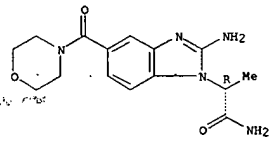
Absolute stereochemistry.



RN 345891-03-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-.alpha.-methyl-5-(4-morpholinylcarbonyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

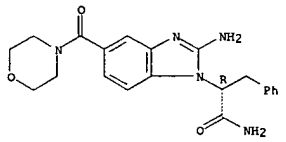
Absolute stereochemistry.

L6 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



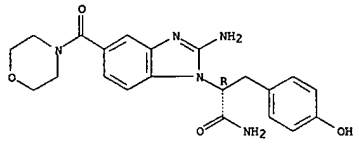
RN 345891-04-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-5-(4-morpholinylcarbonyl)-.alpha.-(phenylmethyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 345891-05-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-.alpha.-[(4-hydroxyphenyl)methyl]-5-(4-morpholinylcarbonyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

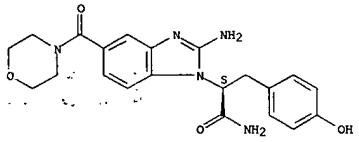
Absolute stereochemistry.



RN 345891-06-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-.alpha.-[(4-hydroxyphenyl)methyl]-5-(4-morpholinylcarbonyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

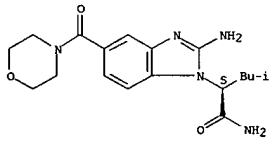
Absolute stereochemistry.

L6 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



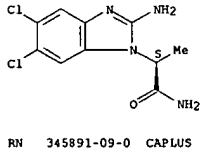
RN 345891-07-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-.alpha.-(2-methylpropyl)-5-(4-morpholinylcarbonyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 345891-08-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-5,6-dichloro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

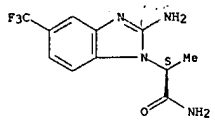
Absolute stereochemistry.



RN 345891-09-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-.alpha.-methyl-5-(trifluoromethyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

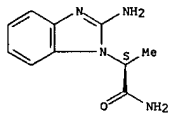
Absolute stereochemistry.

L6 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



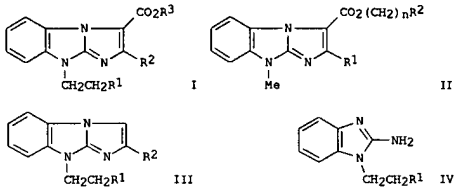
RN 345891-10-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



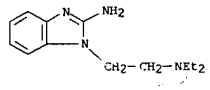
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:124779 CAPLUS
DOCUMENT NUMBER: 132:265148
TITLE: Synthesis and study of the hypotensive and antiarrhythmic activity of 2,9-disubstituted 3-alkoxycarbonylimidazo[1,2-a]**benzimidazoles**
AUTHOR(S): Anisimova, V. A.; Kuz'menko, T. A.; Spasov, A. A.; Bocharova, I. A.; Orobinskaya, T. A.
CORPORATE SOURCE: Research Institute of Physical and Organic Chemistry, Rostov State University, Rostov-on-Don, Russia
SOURCE: Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (1999), 33(7), 361-365
CODEN: PCJOAU; ISSN: 0091-150X
PUBLISHER: Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:265148
GI



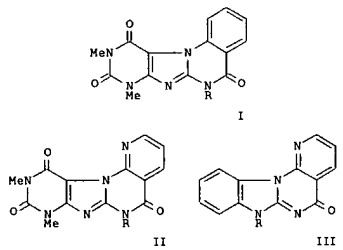
AB A series of 3-(alkoxycarbonyl)imidazo[1,2-a]**benzimidazoles**, in which (dialkylamino)alkyl groups were introduced either at the 9-position of the tricyclic nucleus, e.g., I (R1 = Et2N, piperidino, morpholino; R2 = Me, Ph, 1-naphthyl; R3 = Me, Et), or at the alkoxycarbonyl group, e.g., II (n = 2, 3; R1 = Me, Ph; R2 = Et2N, piperidino, morpholino, Me2N), were prepd. from the corresponding 2,9-disubstituted imidazo[1,2-a] **benzimidazoles** III and 1-[(dialkylamino)alkyl]-2-aminobenzimidazoles IV. The hypotensive and antiarrhythmic activities of these compds. were also studied. The effects of the most active compds., I (R1 = morpholino, R2 = R3 = Me) and II (R1 = Me; R2 = Et2N, morpholino), exceed that of the ref. drug dibazole.
IT **38652-79-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and study of the hypotensive and antiarrhythmic activity of 2,9-disubstituted 3-(alkoxycarbonyl)imidazo[1,2-a] **benzimidazoles**)
RN 38652-79-8 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



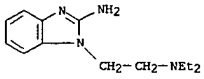
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:687755 CAPLUS
DOCUMENT NUMBER: 130:38239
TITLE: Synthesis, DNA binding and in vitro antiproliferative activity of purinoquinazoline, pyridopyrimidopurine and pyridopyrimidobenzimidazole derivatives as potential antitumor agents
AUTHOR(S): Da Settimo, Antonio; Da Settimo, Federico; Marini, Anna Maria; Primofiore, Giampaolo; Salerno, Silvia; Viola, Giampietro; Dalla Via, Lisa; Magno, Sebastiano Marciani
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Pisa, Pisa, 56126, Italy
SOURCE: European Journal of Medicinal Chemistry (1998), 33(9), 685-696
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

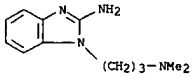


AB In the search for new antitumor agents, 8,10-dimethylpurino[7,8-a]quinazoline-5,9,11(6H,8H,10H)-triones I [R1 = (CH2)2NMe2, (CH2)3NMe2, (CH2)2NEt2, (CH2)3NEt3], 8,10-dimethylpyrido[2',3':4,5]pyrimido[1,2-f]purine-5,9,11(6H,8H,10H)-triones II [R2 = (CH2)2NMe2, (CH2)3NMe2, (CH2)2NEt2, (CH2)3NEt3], and 5,7-dihydro-5-oxopyrido[3',2':5,6]pyrimido[1,2-a]**benzimidazoles** III [R3 = (CH2)3NMe2, (CH2)2NEt2, (CH2)3NEt3], a series of new planar heteropolycyclic compds., were synthesized. The approach to understanding their structure-activity relationship involved a physico-chem. investigation of the binding process of these mols. to DNA, considered to be an important target for drug action, and an examn. of their biol. activity. Thermodn. parameters of the DNA binding process, intrinsic binding const. and exclusion parameter were detd. The mode of interaction was addnl. investigated by means of linear flow dichroism studies. Evaluation of the biol. activity included cell growth inhibition in human tumoral cell lines and the ability to induce DNA cleavage in the presence of eukaryotic topoisomerase II. Only compds. of the purinoquinazoline series I, which are able to form a complex with DNA and to inhibit the topoisomerase II, show

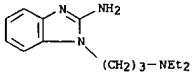
L6 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
antiproliferative activity.
IT **38652-79-8P 38652-80-1P 92494-07-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., DNA binding and antiproliferative activity of purinoquinazoline, pyridopyrimidopurine and pyridopyrimidobenzimidazole derivs.)
RN 38652-79-8 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 38652-80-1 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

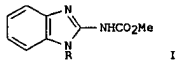


RN 92494-07-0 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



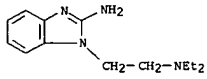
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:805073 CAPLUS
DOCUMENT NUMBER: 128:88799
TITLE: Investigations of unsaturated azoles. 15. Synthesis and reactions of acylated **benzimidazoles**
AUTHOR(S): Popov, I. I.; Zubenko, A. A.
CORPORATE SOURCE: Rostov State University, Rostov-on-Don, 344090, Russia
SOURCE: Chemistry of Heterocyclic Compounds (New York)(Translation of Khimiya Geterotsiklicheskikh Soedinenii) (1997), 33(3), 293-299
CODEN: CHCCAL; ISSN: 0009-3122
PUBLISHER: Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Prepn. and reactions of acylated **benzimidazoles** have been studied. E.g., acylation of 1-alkyl-2-aminobenzimidazoles with ClCO2Me under phase transfer conditions gave Me carbamates I (R = Me, Et).
IT **38652-79-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and reactions of acylated **benzimidazoles**)
RN 38652-79-8 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)

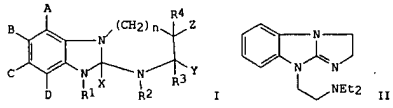


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:245099 CAPLUS
DOCUMENT NUMBER: 120:245099
TITLE: **Benzimidazole** derivatives and analogs with antidiabetic and platelet antiaggregant activity, and their preparation and pharmaceutical compositions
INVENTOR(S): Anisimova, Vera Alekseevna; Levchenko, Margarita Valentinovna; Korochina, Tatyana Borisovna; Spasov, Alexander Alexeyevich; Kovalev, Sergei Gennadyevich; Dudchenko, Galina Petrovna
PATENT ASSIGNEE(S): Adir et Cie., Fr.
SOURCE: Eur. Pat. Appl., 66 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 571253 | A1 | 19931124 | EP 1993-401239 | 19930514 |
| EP 571253 | B1 | 19981104 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| FR 2691462 | A1 | 19931126 | FR 1992-6036 | 19920519 |
| FR 2691462 | B1 | 19950609 | | |
| FR 2694293 | A1 | 19940204 | FR 1992-9488 | 19920731 |
| FR 2694293 | B1 | 19941007 | | |
| AT 172975 | E | 19981115 | AT 1993-401239 | 19930514 |
| ES 2126636 | T3 | 19990401 | ES 1993-401239 | 19930514 |
| CA 2096475 | AA | 19931120 | CA 1993-2096475 | 19930518 |
| AU 9338608 | A1 | 19931125 | AU 1993-38608 | 19930518 |
| AU 656466 | B2 | 19950202 | | |
| JP 06087859 | A2 | 19940329 | JP 1993-151016 | 19930518 |
| JP 2506263 | B2 | 19960612 | | |
| US 5623073 | A | 19970422 | US 1993-63531 | 19930518 |
| ZA 9303509 | A | 19931210 | ZA 1993-3509 | 19930519 |
| US 5639756 | A | 19970617 | US 1994-330903 | 19941028 |
| PRIORITY APPLN. INFO.: | | | FR 1992-6036 | 19920519 |
| | | | FR 1992-9488 | 19920731 |

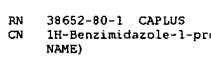
OTHER SOURCE(S): MARPAT 120:245099
GI



I II

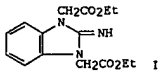
AB Members of claimed title compds. I [n = 0, 1; A, B, C, D = H, halo, alkyl, alkoxy, OH, CF3, hydroxyalkyl; Y, Z = H; or YZ = bond; XR1 or XR2 = bond, and other group (R1 or R2) = (un)substituted aminoalkyl, acroylalkyl, acrylhydroxyalkyl, phenylalkyl, naphthylalkyl; R3 = H, alkyl, (un)substituted Ph, naphthyl, heteroaryl; R4 = H, (un)substituted

L6 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
aminoalkyl, aminoalkoxycarbonyl, aroyl, heteroaroyl; with many addnl. dependencies and provisos] were prepd. in 71 synthetic examples, mostly as salts, with the corresponding specific free bases also claimed. For example, 2-amino-1-[2-(diethylamino)ethyl]**benzimidazole** underwent N-alkylation at the 3-position by ClCH2CH2OH (90% yield), and treatment of the resulting alc. with SOCl2 gave the chloroethyl imine 1-[2-(diethylamino)ethyl]-2-imino-3-(2-chloroethyl)**benzimidazole** -2HCl (100%). Cyclization of the latter as the free base in xylene (92%) gave title compd. II, isolated as the di-HCl salt. Tests in rats showed I to have hypoglycemic activity comparable to glicluzide, lasting more than 12 h. I showed ID50 of < 10-4 M for inhibition of ADP-induced aggregation of rabbit platelets in vitro, but showed no significant antihypertensive effects in rats. Acute oral toxicity in mice was also said to be very low.
IT **38652-79-8 38652-80-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation of, in prepn. of imidazobenzimidazole antidiabetics)
RN 38652-79-8 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



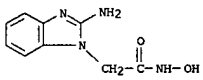
RN 38652-80-1 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:182981 CAPLUS
DOCUMENT NUMBER: 118:182981
TITLE: Synthesis and anti-inflammatory properties of 2-aminobenzimidazole derivatives
AUTHOR(S): Da Settimo, Antonio; Primofiore, Giampaolo; Da Settimo, Federico; Marini, Anna Maria
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Pisa, Pisa, 56126, Italy
SOURCE: Farmaco (1992), 47(10), 1293-313
CODEN: FRMCE8; ISSN: 0014-827X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



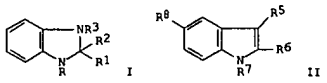
I

AB Several 1-alkyl or 1-aralkyl substituted 2-aminobenzimidazole derivs., bearing an acetic or acetohydroxamic group at 3-position, were synthesized. Some of these products were tested for their anti-inflammatory and analgesic properties. These compds. exhibited an anti-inflammatory activity lower than that of ref. drug indomethacin. I showed the highest efficacy, but not in a dose-related manner. Only 2 compds. exhibited some analgesic activity, but at a very high dose.
IT **146821-53-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and inflammation inhibiting activity of)
RN 146821-53-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



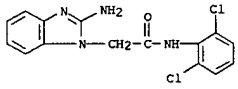
● HCl

L6 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:449510 CAPLUS
DOCUMENT NUMBER: 115:49510
TITLE: Synthesis and antihypertensive activity of some 2-aminobenzimidazole and indole derivatives
AUTHOR(S): Da Settimo, Antonio; Marini, Anna Maria; Primofiore, Giampaolo; Subissi, Alessandro
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, 56100, Italy
SOURCE: Farmaco (1991), 46(2), 357-67
CODEN: FRMCE8; ISSN: 0014-827X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



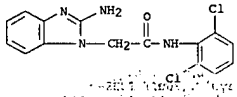
I II

AB Aminobenzimidazole derivs. I [R = H, CH2Ph, Me, CH2C6H4Cl-4, R1 = NHCOCOR4, R2R3 = bond, R4 = 2,6-dichloroanilino (throughout); R = H, CH2Ph, Me, CH2C6H4Cl-4, R1R2 = NH, R3 = CH2COR4] and indole derivs. II (R5 = COCOR4, R6,R7 = H, Me, R8 = H, Br, Cl, NO2, OMe; R5 = CH2COR4, R6 = R7 = R8 = H) were prepd. and some were tested for antihypertensive activity. Thus, indol-3-ylacetyl chloride condensed with 2,6-dichloroaniline to give II (R5 = CH2COR4, R6 = R7 = R8 = H). None of the compds. tested showed appreciable antihypertensive activity.
IT **134937-73-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antihypertensive activity of)
RN 134937-73-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)



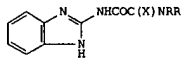
IT **134937-77-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 134937-77-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(2,6-dichlorophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



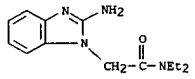
● HCl

L6 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:62000 CAPLUS
DOCUMENT NUMBER: 114:62000
TITLE: Synthesis, antilipidemic and platelet antiaggregatory activity of 2-aminobenzimidazole amide derivatives
AUTHOR(S): Caroti, P.; Ceccotti, C.; Da Settimo, F.; Primofiore, G.; Franzone, J. S.; Reboani, M. C.; Cravanzola, C.
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, Italy
SOURCE: Farmaco (1989), 44(3), 227-55
CODEN: FRMCE8; ISSN: 0014-827X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:62000
GI

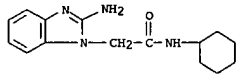


I

AB The synthesis and preliminary pharmacol. evaluation of title compds. (e.g., I, X = O, H2; NRR = NEt2, pyrrolidino, piperidino, morpholino) from 2-aminobenzimidazole and related compds. are reported. None of these compds. showed antilipidemic or platelet aggregation inhibiting activity comparable to that of drugs used in therapy.
IT **131705-48-1P 131705-78-7P 131705-79-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 131705-48-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)

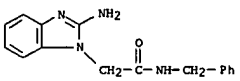


RN 131705-78-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-cyclohexyl- (9CI) (CA INDEX NAME)

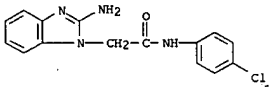


RN 131705-79-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

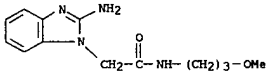
L6 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



L6 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 72502-61-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)

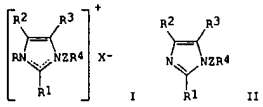


L6 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:58776 CAPLUS
DOCUMENT NUMBER: 92:58776
TITLE: Imidazolium halides
INVENTOR(S): Ikura, Katsuyata; Katsuura, Kiyoshi; Mizuno, Masami; Nishibe, Tadayuki
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 54079278 | A2 | 19790625 | JP 1977-145101 | 19771205 |
| JP 61000830 | B4 | 19860111 | | |

PRIORITY APPLN. INFO.: JP 1977-145101 19771205
GI



AB Sixty-six imidazolium halides I [R = alkyl, cycloalkyl; Z = alkylene; R1 = H, alkyl, NH2; R2, R3 = H; R2, R3, and the imidazole ring may form a **benzimidazole** ring; X = halo; R4 = R5CO (R5 = NH2, alkylamino, etc.), R7C6H4C(:NOR6) (R6 = H, alkylcarbamoyl, etc.; R7 = H, halo)] were prepd., e.g., by reaction of RX with II. Antibacterial data were given against Phytophthora capsici, Helminthosporium maydis, Venturia inaequalis, Escherichia coli, Staphlococcus aureus, Candida albicans, and Trichophyton mentagrophytes. Thus, a mixt. of 1.7 g II (R1 = R2 = R3 = H, R4 = 2,4-Cl2C6H3NHCO, Z = CH2) and 1.5 g n-C11H23Br in PhMe was refluxed 17 h to give 46.6% I (R = n-C11H23, R1 = R2 = R3 = H, R4 = 2,4-Cl2C6H3NHCO, Z = CH2, X = Br).

IT **72502-59-1 72502-61-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)

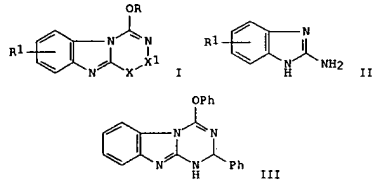
RN 72502-59-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:42000 CAPLUS
DOCUMENT NUMBER: 92:42000
TITLE: Triazino[1,2-a]**benzimidazoles**
INVENTOR(S): Martin, Dieter; Graubaum, Heinz
PATENT ASSIGNEE(S): Ger. Dem. Rep.
SOURCE: Ger. (East), 9 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DD 136499 | Z | 19790711 | DD 1978-205304 | 19780511 |

PRIORITY APPLN. INFO.: DD 1978-205304 19780511
GI

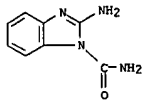


AB Triazinobezimidazoles I [R = H, alkyl, (un)substituted aryl; R1 = H, halo, alkyl; X-X1 = NHCR2R3 or N:CR2 [R2, R3 = H, alkyl, (un)substituted aryl]], useful as plant protective agents (no data), were prepd. by treating ROCN [R = alkyl, (un)substituted aryl] with a **benzimidazole** II and an aldehyde or ketone in the presence of a base or acid anhydride. Thus, II (R = H) in THF was treated with PhOCN at 0.degree., EtOH, PhCHO, and piperidine added, and the mixt. boiled 1 h to give 82% III.

IT **72413-39-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with acetone)

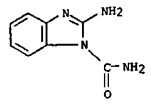
RN 72413-39-9 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-ar-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

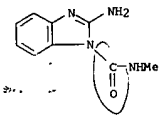


D1-Me

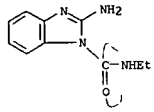
IT **72431-61-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with aldehydes and ketones)
RN 72431-61-9 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino- (9CI) (CA INDEX NAME)



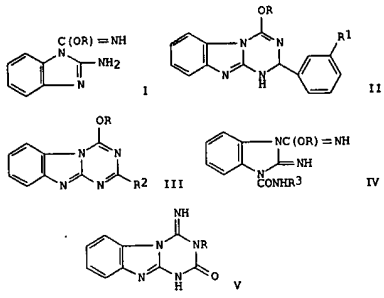
L6 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 71809-64-8 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-ethyl- (9CI) (CA INDEX NAME)



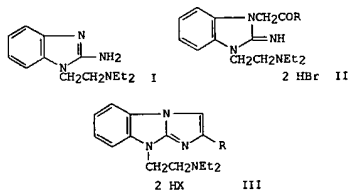
L6 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:611370 CAPLUS
DOCUMENT NUMBER: 91:211370
TITLE: Cyanic acid esters. 27. Triazinobenzimidazoles from 2-aminobenzimidazol-1-imidic acid esters and carbonyl-analogous compounds
AUTHOR(S): Martin, Dieter; Graubaum, Heinz
CORPORATE SOURCE: Zentralinst. Org. Chem., DAW, Berlin, DDR-1199, Ger. Dem. Rep.
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1979), 321(3), 379-86
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



AB **Benzimidazole** I undergoes cyclocondensation with arom. aldehydes, carboxylic acid anhydrides, and arom. isocyanates. Thus, treating I with 3-R1C6H4CHO gave triazinobenzimidazoles II (R = Ph, Et, p-tolyl; R1 = H, Cl, NO2), whereas use of (R2CO)2O gave III (R = Ph, p-tolyl, 4-ClC6H4; R2 = Me, Ph). Isocyanates gave ureas IV (R = Ph, p-tolyl, 4-MeOC6H4, Cl3CCH2; R3 = Me, Et, Bu), whose heating caused acyl migration and cyclocondensation to give V.

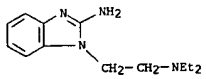
IT **21035-29-0P 71809-64-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., rearrangement, and cyclocondensation with isocyanates)
RN 21035-29-0 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:611327 CAPLUS
DOCUMENT NUMBER: 91:211327
TITLE: Synthesis and pharmacological properties of some disubstituted imidazo[1,2-a]**benzimidazole** derivatives
AUTHOR(S): Kovalev, G. V.; Anisimova, V. A.; Simonov, A. M.; Gofman, S. M.; Petrov, V. I.; Tyurenkov, I. N.; Fomin, Yu. K.
CORPORATE SOURCE: Nauchno-Issled. Inst. Fiz. Org. Khim., Rostov-on-Don, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1979), 13(8), 57-62
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



AB Treatment of aminobenzimidazole I with BrCH2COR (R = p-BrC6H4, 1-naphthyl, Me3C, p-MeOC6H4) gave 85-90% imine II, which were cyclized to give 90-7% imidazoimidazoles III (X = Cl). III (R = Ph, X = Br, NO3, 1/2 SO4) were prepd. similarly. III, and 1-methyl-2-phenyl- (IV) and 1-methyl-2-phenyl-2,3-dihydroimidazo[1,2-a] benzimaidazole (V) were tested for their hypotensive, adrenoblocking, antispasmodic, muscle relaxant, antihistaminic and antiphlogistic activity; their effect on the heart and central nervous system was also investigated. III showed adrenoblocking activity. IV and V had weak hypotensive activity but did not have a depressive effect on the central and periferal receptors. The tested compds. did not have antispasmodic activity, muscle relaxant activity, analgesic or antihistaminic activity.

IT **38652-79-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with bromoacetophenones)
RN 38652-79-8 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



L6 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

L6 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:575347 CAPLUS
DOCUMENT NUMBER: 91:175347
TITLE: **Benzimidazoles**
PATENT ASSIGNEE(S): Chinoin Gyogyszer es Vegyeszeti Termekek Gyara Rt., Hung.
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 54070273 | A2 | 19790605 | JP 1978-130944 | 19781024 |
| HU 19698 | O | 19810428 | HU 1977-CI1780 | 19771025 |
| HU 177582 | P | 19811128 | | |
| AT 7807383 | A | 19811215 | AT 1978-7383 | 19781013 |
| AT 367753 | B | 19820726 | | |
| DD 139428 | C | 19800102 | DD 1978-208585 | 19781020 |
| DD 145692 | C | 19810107 | DD 1978-215301 | 19781020 |
| FR 2407206 | A1 | 19790525 | FR 1978-30069 | 19781023 |
| FR 2407206 | B1 | 19830513 | | |
| CS 207687 | P | 19810831 | CS 1978-6907 | 19781024 |
| CH 639375 | A | 19831115 | CH 1978-10985 | 19781024 |
| SU 1148553 | A3 | 19850330 | SU 1978-2677206 | 19781024 |
| GB 2007210 | A1 | 19790516 | GB 1978-41901 | 19781025 |
| GB 2007210 | B2 | 19820526 | | |
| AT 8104561 | A | 19840415 | AT 1981-4561 | 19811027 |
| AT 376356 | B | 19841112 | | |

PRIORITY APPLN. INFO.: HU 1977-CI1780 19771025
AT 1978-7383 19781013

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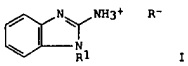


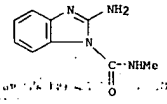
I

AB Agricultural fungicidal **benzimidazoles** I [R1 = H, C(:Z)NHR2 (Z = O, S; R2 = C1-4 alkyl, (substituted) Ph), R- = inorg. or org. anion] were prepd., e.g., by treating 2-aminobenzimidazole (II) salts with a reagent contg. a C(:Z)NHR2 group. Thus, stirring II HCl salt in Me2CO-H2O with BuNCO 3 h gave I (R = Cl, R1 = CONHBu).

IT **70665-71-3P 71614-18-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and fungicidal activity of)

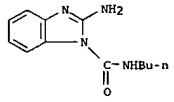
RN 70665-71-3 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



● HCl

RN 71614-18-1 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-butyl-, monohydrochloride (9CI) (CA INDEX NAME)



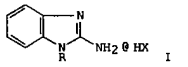
● HCl

L6 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:439484 CAPLUS
DOCUMENT NUMBER: 91:39484
TITLE: Fungicidal **benzimidazole** derivatives
PATENT ASSIGNEE(S): Chinoin Gyogyszer es Vegyeszeti Termekek Gyara Rt., Hung.
SOURCE: Belg., 18 pp.
CODEN: BEXXAL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| BE 871525 | A1 | 19790215 | BE 1978-191332 | 19781025 |
| HU 19698 | O | 19810428 | HU 1977-CI1780 | 19771025 |
| HU 177582 | P | 19811128 | | |
| AT 7807383 | A | 19811215 | AT 1978-7383 | 19781013 |
| AT 367753 | B | 19820726 | | |
| DD 139428 | C | 19800102 | DD 1978-208585 | 19781020 |
| DD 145692 | C | 19810107 | DD 1978-215301 | 19781020 |
| FR 2407206 | A1 | 19790525 | FR 1978-30069 | 19781023 |
| FR 2407206 | B1 | 19830513 | | |
| CS 207687 | P | 19810831 | CS 1978-6907 | 19781024 |
| CH 639375 | A | 19831115 | CH 1978-10985 | 19781024 |
| SU 1148553 | A3 | 19850330 | SU 1978-2677206 | 19781024 |
| GB 2007210 | A1 | 19790516 | GB 1978-41901 | 19781025 |
| GB 2007210 | B2 | 19820526 | | |
| AT 8104561 | A | 19840415 | AT 1981-4561 | 19811027 |
| AT 376356 | B | 19841112 | | |

PRIORITY APPLN. INFO.: HU 1977-CI1780 19771025
AT 1978-7383 19781013

GI



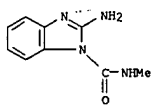
I

AB Aminobenzimidazole salts I (R = H, CONHR1, CSNHR1; R1 = C1-4 alkyl, optionally substituted Ph; X = anion) were prepd. Thus, 2-aminobenzimidazole was converted to its hydrochloride and treated with BuNCO to give I (R = CONHBu, X = Cl), which inhibited the growth of Fusarium graminearum at 100 ppm.

IT **70665-71-3P 70665-73-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and fungicidal activity of)

RN 70665-71-3 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

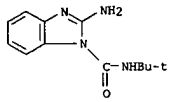


● HCl

RN 70665-73-5 CAPLUS
CN Benzoic acid, 2-hydroxy-, compd. with 2-amino-N-(1,1-dimethylethyl)-1H-benzimidazole-1-carboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70665-72-4
CMF C12 H16 N4 O



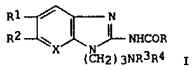
CM 2

CRN 69-72-7
CMF C7 H6 O3

L6 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:171452 CAPLUS
DOCUMENT NUMBER: 86:171452
TITLE: Antiinflammatory 1-[3-(dialkylamino)propyl]-2-acylaminobenzimidazoles and 2-acylamino-3-[3-dialkylamino)propyl]imidazo[4,5-b]pyridines
INVENTOR(S): Kadin, Saul B.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

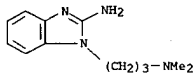
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4002623 | A | 19770111 | US 1974-495375 | 19740807 |

PRIORITY APPLN. INFO.: US 1974-495375 19740807
GI



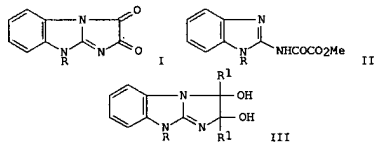
I

AB The title compds. I (R = Ph, substituted phenyl, styryl, CH2OMe, CH2CMe3, 2-furyl; R1 = H, CF3, Cl, Me, OMe, SO2NMe2; R2 = H, Me, Cl; NR3R4 = NMe2, morpholino, 4-methylpiperazino, 4-benzylpiperazino, piperazino, piperidino; X = CH, N) (114 compds.) were prepd. and have antiinflammatory activity. Thus, 2-ClC6H4NO2 was treated with 1-(3-aminopropyl)-4-methylpiperazine, and the nitro group reduced, the amine cyclized with BrCN and acylated to give I (R = 3,4-Cl2C6H3, R1 = R2 = H, NR3R4 = 4-methylpiperazino) which at 10 mg/kg orally in rats gave 32% inhibition of adjuvant arthritis.
IT **38652-80-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and acylation of)
RN 38652-80-1 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)



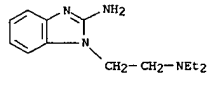
L6 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

L6 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:72521 CAPLUS
DOCUMENT NUMBER: 86:72521
TITLE: Synthesis and transformations of 2,3-dioxo-2,3-dihydroimidazo[1,2-a]**benzimidazole** derivatives
AUTHOR(S): Simonov, A. M.; Koshchienko, Yu. V.; Suvorova, G. M.; Tertov, B. A.; Malysheva, E. N.
CORPORATE SOURCE: Rostov. Gos. Univ., Rostov, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1976), (10), 1391-5.
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

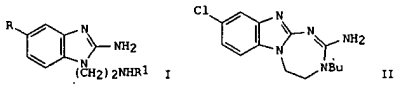


I II III

AB Imidazobenzimidazoles I (R = Me, Et, PhCH2, Et2NCH2CH2) were obtained in 46-90% yields by treatment of 1-alkyl-2-aminobenzimidazoles with (COCl)2 followed by cyclization in the presence of Et3N. I (R = Me, Et, PhCH2) were also obtained in 20-7% yields by thermal cyclization of II. Redn. of I (R = Me, PhCH2) by LiAlH4 gave, 60 and 70%, resp., of the corresponding diols III (R1 = H). Grignard reaction of I with EtBr gave 52% III (R1 = Et).
IT **38652-79-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with oxalyl chloride)
RN 38652-79-8 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



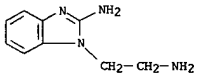
L6 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1976:478096 CAPLUS
DOCUMENT NUMBER: 85:78096
TITLE: Condensed 1,3,5-triazepines. III. Derivatives of 4,5-dihydro-[1,3,5]triazepino[1,2-a]**benzimidazole**
AUTHOR(S): Agai, B.; Doleschall, G.; Hornyak, G.; Lempert, K.; Simig, G.
CORPORATE SOURCE: Dep. Org. Chem., Tech. Univ., Budapest, Hung.
SOURCE: Tetrahedron (1976), 32(7), 839-42
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The **benzimidazoles** I (R = H, Cl, R1 = Bu; R = R1 = H) were obtained either from 4,2-R(O2N)C6H3NH(CH2)2OH (R = H, Cl) or 2-O2NC6H4NH(CH2)2NH2 in 4 steps. Using suitable Cl components, I underwent ring closure to give derivs. of the 4,5-dihydro-[1,3,5]-triazepino[1,2-a]**benzimidazole** ring system. Thus, refluxing I (R = Cl, R1 = Bu) with BrCN for 1 hr in EtOH gave, after work up, 51.5% II.

IT **60078-77-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cycloaddn. reaction with carbonyldiimidazole)

RN 60078-77-5 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino- (9CI) (CA INDEX NAME)



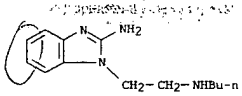
IT **60078-74-2P 60078-75-3P 60078-76-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 60078-74-2 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N-butyl-5-chloro- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

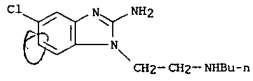
IT **60078-73-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with carbon disulfide and thiocarbonyldiimidazole)

RN 60078-73-1 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N-butyl- (9CI) (CA INDEX NAME)



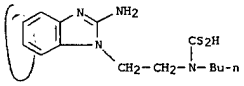
IT **60078-56-0P 60078-59-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 60078-56-0 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N-butyl-5-chloro-, dihydrobromide (9CI) (CA INDEX NAME)

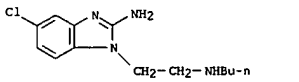


●2 HBr

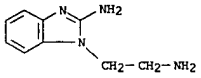
RN 60078-59-3 CAPLUS
CN Carbamodithioic acid, [2-(2-amino-1H-benzimidazol-1-yl)ethyl]butyl- (9CI) (CA INDEX NAME)



L6 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

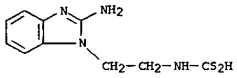


RN 60078-75-3 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-, dihydrobromide (9CI) (CA INDEX NAME)



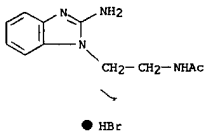
●2 HBr

RN 60078-76-4 CAPLUS
CN Carbamodithioic acid, [(2-amino-1H-benzimidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



IT **60078-66-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deacetylation of)

RN 60078-66-2 CAPLUS
CN Acetamide, N-[2-(2-amino-1H-benzimidazol-1-yl)ethyl]-, monohydrobromide (9CI) (CA INDEX NAME)

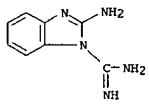


● HBr

L6 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:140018 CAPLUS
DOCUMENT NUMBER: 82:140018
TITLE: Cyclization reactions of 2-aminobenzimidazoles to s-trizino[1,2-a]**benzimidazoles**
AUTHOR(S): Augustin, M.; Kuppe, K. R.
CORPORATE SOURCE: Sekt. Chem., Martin-Luther-Univ. Halle-Wittenberg, Halle/Saale, Ger. Dem. Rep.
SOURCE: Tetrahedron (1974), 30(18), 3533-8
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB 2-Aminobenzimidazolyl-1-phenylimidate (I) and the -1-amidines II (R1, R2 = H, alkyl), prepd. from 2-aminobenzimidazole and 1-cyano-2-aminobenzimidazole (III) resp., with arom. aldehydes or acids gave 1,2-dihydro-2-aryl-s-triazino[1,2-.alpha.]**benzimidazoles** or 2-aryl-s-triazino[1,2-.alpha.]**benzimidazoles**. Thus, II (R1 = R2 = H) and p-O2NC6H4CO2H gave 87% IV. III with isocyanates or azomethines gave tetrahydro-s-triazino[1,2-.alpha.]**benzimidazoles**.

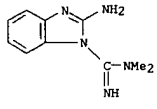
IT **55179-83-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation with arom. acids and aldehydes, triazinobenzimidazoles by)

RN 55179-83-4 CAPLUS
CN 1H-Benzimidazole-1-carboximidamide, 2-amino- (9CI) (CA INDEX NAME)



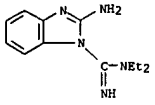
IT **55179-90-3P 55179-91-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with arom. acids, triazinobenzimidazoles by)

RN 55179-90-3 CAPLUS
CN 1H-Benzimidazole-1-carboximidamide, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)



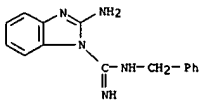
RN 55179-91-4 CAPLUS
CN 1H-Benzimidazole-1-carboximidamide, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

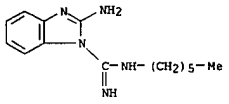


IT **55179-92-5P 55179-93-6P 55179-94-7P**
**55179-95-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

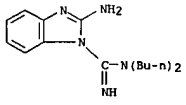
RN 55179-92-5 CAPLUS
CN 1H-Benzimidazole-1-carboximidamide, 2-amino-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 55179-93-6 CAPLUS
CN 1H-Benzimidazole-1-carboximidamide, 2-amino-N-hexyl- (9CI) (CA INDEX NAME)

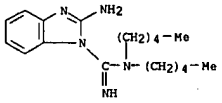


RN 55179-94-7 CAPLUS
CN 1H-Benzimidazole-1-carboximidamide, 2-amino-N,N-dibutyl- (9CI) (CA INDEX NAME)



L6 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 55179-95-8 CAPLUS
CN 1H-Benzimidazole-1-carboximidamide, 2-amino-N,N-dipentyl- (9CI) (CA INDEX NAME)



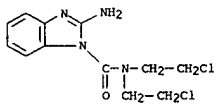
L6 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1973:478753 CAPLUS
DOCUMENT NUMBER: 79:78753
TITLE: Potential nitrogen mustard transport forms. II. Bis(.beta.-chloroethyl)carbamoyl derivatives of benzimidazolone benzimidazolthiones, and benzimidazolonimides
AUTHOR(S): Schulze, W.; Letsch, G.
CORPORATE SOURCE: Zentralinst. Mikrobiol. Exp. Ther., Dtsch. Akad. Wiss., Jena, Ger. Dem. Rep.
SOURCE: Pharmazie (1973), 28(6), 367-71
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German

AB (ClCH2CH2)2NCOCl (I) reacts with benzimidazolone in the presence of NaH to give 1,3-bis[bis(.beta.-chloroethyl)carbamoyl]benzimidazolone. I reacts with 5-nitrobenzimidazole to give a mixt. 1,3-bis[bis(.beta.-chloroethyl)carbamoyl]-5-nitrobenzimidazole and 1-[bis(.beta.-chloroethyl)carbamoyl]-5(or 6)-nitrobenzimidazolone. When **benzimidazolethione** is first acylated at the S, ring closure can follow producing 2-oxo-3-[.beta.-chloroethyl]-2,3,4,5-tetrahydrobenzimidazo[2,1-b]-1,3,6-thiadiazepine. 2-Aminobenzimidazole is converted by reaction with 1 equiv. I into 5-oxo-4-[.beta.-chloroethyl]-2,3,4,5-tetrahydro-1H-benzimidazo[2,1-b]-1,3,5-triazepine. 2-Anilinobenzimidazole reacts with I to produce 5-oxo-4-[.beta.-chloroethyl]-1-phenyl-2,3,4,5-tetrahydro-1H-benzimidazo[2,1-b]-1,3,5-triazepine.

IT **49608-69-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 49608-69-7 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N,N-bis(2-chloroethyl)- (9CI) (CA INDEX NAME)



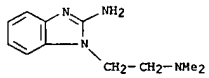
L6 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1972:560002 CAPLUS
DOCUMENT NUMBER: 77:160002
TITLE: Heterocyclic compounds. 10. Synthesis of some imidazo[1,2-a]**benzimidazoles** with potent analgetic activities
AUTHOR(S): Ogura, Haruo; Takayanagi, Hiroaki; Yamazaki, Yukio; Yonezawa, Shoichi; Takagi, Hirumu; Kobayashi, Shinsaku; Kamioka, Toshiharu; Kamoshita, Katuo
CORPORATE SOURCE: Sch. Pharm. Sci., Kitasato Univ., Tokyo, Japan
SOURCE: Journal of Medicinal Chemistry (1972), 15(9), 923-6
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

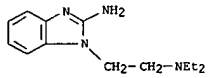
AB The most potent analgetic of a series of imidazo[1,2-a] **benzimidazoles** synthesized was 2-(p-bromphenyl)-9-[3-(dimethylamino)propyl]-9H-imidazo[1,2-a]**benzimidazole** (I) [36994-25-9], which had oral ED50 and LD50 values in mice of 6 and 1,100 mg/kg, resp. To synthesize I, 2-aminobenzimidazole was reacted with p-bromophenyl Me ketone in MeOH and the product 1-phenacylbenzimidazole sepd. from the 1,3-bis(phenacyl)**benzimidazole** by fractional crystn. The product was then cyclized in NaOH to the imidazobenzimidazole, which was treated with NaNH2 in liq. NH3 and then with 3-(dimethylamino)propyl chloride in dry toluene to yield I.

IT **38652-78-7P 38652-79-8P 38652-80-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 38652-78-7 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

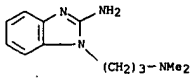


RN 38652-79-8 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 38652-80-1 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



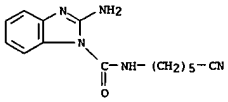
L6 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1972:501614 CAPLUS
DOCUMENT NUMBER: 77:101614
TITLE: Biocidal N-(.omega.-cyanoalkyl)carbamoylbenzimidazoles
INVENTOR(S): Daum, Werner; Scheinpflug, Hans; Frohberger, Paul Ernst; Grewe, Ferdinand
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 3673210 | A | 19720627 | US 1969-880399 | 19691126 |
| DE 1812005 | A | 19700618 | DE 1968-1812005 | 19681130 |
| US 3794728 | A | 19740226 | US 1971-206180 | 19711208 |
| US 3864490 | A | 19750204 | US 1973-392833 | 19730829 |

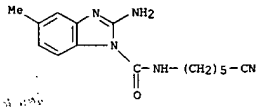
PRIORITY APPLN. INFO.: DE 1968-1812005 19681130
DE 1968-1812000 19681130
US 1969-880399 19691126
US 1971-206180 19711208

GI For diagram(s), see printed CA Issue.
AB Eight title compds. I (R = CO2Et, CO2Me, H; R1 = H, Me; n = 11, 5) were prepd. by treating an alkyl N-(benzimidazol-2-yl)carbamate with an .omega.-isocyanato-alkanoic acid nitrile. I exhibit strong. effective fungitoxic and antibacterial activity.
IT **28559-06-0P 32987-23-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 28559-06-0 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)- (9CI) (CA INDEX NAME)



RN 32987-23-8 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)-5-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



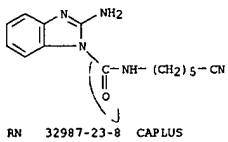
L6 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1971:436053 CAPLUS
DOCUMENT NUMBER: 75:36053
TITLE: Pesticidal .omega.-cyanoalkylcarbamylbenzimidazoles
INVENTOR(S): Daum, Werner; Scheinpflug, Hans; Fronberger, Paul E.; Grewe, Ferdinand
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Brit., 8 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| GB 1228108 | A | 19710415 | GB 1969-1228108 | 19691119 |
| DE 1812005 | A | 19700618 | DE 1968-1812005 | 19681130 |
| US 3864490 | A | 19750204 | US 1973-392833 | 19730829 |

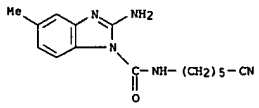
PRIORITY APPLN. INFO.: DE 1968-1812005 19681130
DE 1968-1812000 19681130
US 1971-206180 19711208

GI For diagram(s), see printed CA Issue.
AB The title compds. (I) are prepd. Thus, to a cooled, stirred mixt. of 302 g ClCO2Et with a soln. of 2 moles PhCH2SC(:NH)NH2.-HCl in 800 ml H2O and 200 ml MeCN, are added 25% aq. NaOH at .ltoreq.25.degree. until the pH reaches 8, stirring continued 80 min, 1.5 l. H2O added, the sepd. org. phase, after addn. of 0.5 l. H2O, 216 g o-C6H4(NH2)2, and 180 g HOAc heated to 80-90.degree. 15 min, kept 2 hr at 80-90.degree., cooled, the aq. phase sepd., and the paste-like product stirred with H2O, and then iso-PrOH to give 82% II. A mixt. of 10 g CN(CH2)11NCO, b0.1 124-6.5.degree., [obtained from CN(CH2)11NH2 and COCl2 in PhCl, 2 hr at 120.degree.] and 10 ml Me2CO is added to 1 ml of a mixt. of 7.7 g II, 30 ml dry Me2CO, and 0.1 ml picoline, the mixt. stirred 2 hr at 40.degree., kept 18 hr at 23.degree., and dild. with 40 ml Me2CO, adding ligroine and drying the crystals at 40.degree./0.1 mm to give 13.5 g I (n = 11; R = CO2Et, R1 = H). Values otherwise exemplified in I are: n = 5; R = H, COEt, CO2Me; R1 = 5-Me, 6-Me. I exhibit fungitoxic, antibacterial, insecticidal, acaricidal and ovicidal properties. They are systemically effective, and are more fungitoxically effective than N-trichloromethylthiotetrahydrophthalamide.
IT **28559-06-0P 32987-23-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 28559-06-0 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)- (9CI) (CA INDEX NAME)



RN 32987-23-8 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)-5-methyl- (9CI)

L6 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
(CA INDEX NAME)



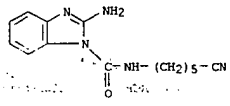
L6 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:456097 CAPLUS
DOCUMENT NUMBER: 73:56097
TITLE: Pesticidal 1-[-cyanoalkylcarbamoyl]-2-aminobenzimidazoles
INVENTOR(S): Daum, Werner; Scheinpflug, Hans; Frohberger, Paul E.; Grewe, Ferdinand
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 1812005 | A | 19700618 | DE 1968-1812005 | 19681130 |
| CH 520470 | A | 19720515 | CH 1969-520470 | 19691105 |
| GB 1228108 | A | 19710415 | GB 1969-1228108 | 19691119 |
| RO 56183 | P | 19750115 | RO 1969-61620 | 19691120 |
| FI 52718 | B | 19770801 | FI 1969-3360 | 19691120 |
| CS 157077 | P | 19740823 | CS 1969-7731 | 19691124 |
| DK 123821 | B | 19720807 | DK 1969-6260 | 19691125 |
| SU 365887 | D | 19730108 | SU 1969-1380755 | 19691125 |
| SU 416915 | D | 19740225 | SU 1969-1420140 | 19691125 |
| US 3673210 | A | 19720627 | US 1969-880399 | 19691126 |
| AT 301260 | B | 19720825 | AT 1969-11090 | 19691127 |
| BE 742394 | A | 19700528 | BE 1969-742394 | 19691128 |
| NL 6917947 | A | 19700602 | NL 1969-17947 | 19691128 |
| ES 374005 | A1 | 19720301 | ES 1969-374005 | 19691128 |
| NO 124257 | B | 19720327 | NO 1969-4712 | 19691128 |
| SE 349805 | B | 19721009 | SE 1969-16432 | 19691128 |
| JP 48016919 | B4 | 19730525 | JP 1969-95631 | 19691129 |
| JP 48028053 | B4 | 19730829 | JP 1969-95632 | 19691129 |
| FR 2024970 | A5 | 19700903 | FR 1969-41396 | 19691201 |
| US 3794728 | A | 19740226 | US 1971-206180 | 19711208 |
| US 3864490 | A | 19750204 | US 1973-392833 | 19730829 |
| JP 51000116 | B4 | 19760105 | JP 1973-130850 | 19731122 |

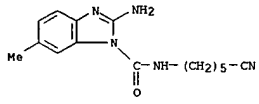
PRIORITY APPLN. INFO.: DE 1968-1812000 19681130
DE 1968-1812005 19681130
US 1969-880399 19691126
US 1971-206180 19711208

GI For diagram(s), see printed CA Issue.
AB The fungitoxic, antibacterial, insecticidal, acaricidal, and ovicidal title compds. (I) were prepd. Thus, heating 7.7 g II and 10 g OCN(CH2)11CN in 30 ml Me2CO and 0.1 ml picoline 2 hr at 40.degree. gave 13.5 g I (R = CO2Et, R1 = R2 = H, n = 11). Similarly prepd. were I (R, R1, R2, and n given): CO2Me, H, H, 5; CO2Et, H, H, 5; CO2Me, H, Me, 5; CO2Me, Me, H, 5; EtCO, H, H, 5; H, H, H, 5.
IT **28559-06-0P 28559-07-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 28559-06-0 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 28559-07-1 CAPLUS
CN 1-Benzimidazolecarboxamide, 2-amino-N-(5-cyanopentyl)-6-methyl- (8CI) (CA INDEX NAME)

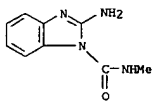


L6 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1969:11697 CAPLUS
DOCUMENT NUMBER: 70:11697
TITLE: Benzimidazolyl ureas
INVENTOR(S): Hoover, John R. E.; Stedman, Robert J.
PATENT ASSIGNEE(S): Smith Kline and French Laboratories
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

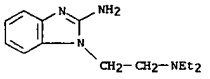
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 3399212 | A | 19680827 | US 1966-578512 | 19660912 |

PRIORITY APPLN. INFO.: AU 1966-5600 19660516

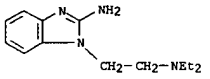
GI For diagram(s), see printed CA Issue.
AB The title compds. (I) are prepd. by reaction of 2-aminobenzimidazole (II) or substituted II with a substituted isocyanate in a solvent at steam bath temp. or, for disubstituted ureas, by treating Et 2-benzimidazolylthiolocarbamate with an amine. Thus, to a cooled soln. of 26.6 g. II in 160 ml. dry pyridine is added dropwise an equimolar amt. of MeNCO and the mixt. stirred on a steam bath for 1 hr. to give I (R = Me, X = R1 = H), m. 324.degree. (decompn.). Similarly were prepd. the following I (R, R1, X, and m.p. given): Et, H, H, >300.degree.; Pr, H, H, >300.degree.; iso-Pr, H, H, >300.degree.; Me, Me, H, 250-2.degree. (decompn.); Me, H, 5(6)Bu; 231-2.degree.; cyclopropyl, H, H, >300.degree.. Also prepd. is 2-amino-1-(N-methylcarbamoyl)**benzimidazole**. The compds. are anthelmintic agents.
IT **21035-29-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 21035-29-0 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1964:60870 CAPLUS
DOCUMENT NUMBER: 60:60870
ORIGINAL REFERENCE NO.: 60:10670e-g
TITLE: Action of sodium amide on N-alkylbenzimidazoles substituted in position 5
AUTHOR(S): Lomakin, A. N.
SOURCE: Materialy 4-oi [Chetvertoi] Nauchn. Konf. Aspirantov (Rostov-on-Don: Rostovsk. Univ.) Sb. (1962) 108-10
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB Direct amination of 1-R-5-R'-substituted **benzimidazoles** with NaNH2 in xylene gave the following I (R, R', and m.p. given): Me, EtO, 215-16.5.degree. (HCl salt m. 223.4-4.4.degree.); Et, EtO (II), 183-3.5.degree.; Me, PhCH2O, 214-15.5.degree.; Et, PhCH2O, 190-1.degree.; Et2NCH2CH2, H, 125-6.degree. (di-HCl salt m. 126-7.degree.); Et2N(CH2)3, H, 146-7.degree.. Refluxing II with HBr gave 2-amino-1-ethyl-5-hydroxybenzimidazole, m. 190-1.degree..4 Fusion of the O-Na deriv. of 5-hydroxy-1-ethylbenzimidazole with NH2Na at 190-200.degree. gave an unknown substance, m. 274-5.degree.. The 2-aminobenzimidazoles display significant hypotensive activity.
IT **38652-79-8**, **Benzimidazole**, 2-amino-1-[2-(diethylamino)ethyl]- **92423-53-5**, **Benzimidazole**, 2-amino-1-[2-(diethylamino)ethyl]-, dihydrochloride **92494-07-0**, **Benzimidazole**, 2-amino-1-[3-(diethylamino)propyl]-
(prepn. of)
RN 38652-79-8 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



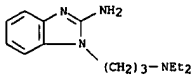
RN 92423-53-5 CAPLUS
CN Benzimidazole, 2-amino-1-[2-(diethylamino)ethyl]-, dihydrochloride (7CI) (CA INDEX NAME)



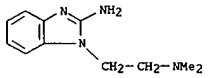
●2 HCl

RN 92494-07-0 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX

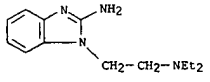
L6 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
NAME)



L6 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1964:52716 CAPLUS
DOCUMENT NUMBER: 60:52716
ORIGINAL REFERENCE NO.: 60:9262g-h,9263a
TITLE: Nitration of 2-amino-1-alkylbenzimidazoles and 2-imino-1,3-dialkylbenzimidazolines
AUTHOR(S): Yutilov, Yu. M.
SOURCE: Materialy 4-oi [Chetvertoi] Nauchn. Konf. Aspirantov. (Rostov-on-Don: Rostovsk. Univ.) Sb. (1962) 110-12
From: Ref. Zh., Khim. 1963, Abstr. No. 142h207.
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB **Benzimidazoles** (I) (R = Me, Et) (Ia and Ib, resp.) are nitrated easily in concd. H2SO4 even at -5.degree. to give the 5,6-dinitro derivs. of Ia and Ib, m. 335.degree. (HCONMe2), and 240.degree. (aq. alc.), resp. From 1,3-dimethyl- and 1,3-diethyl-2-iminobenzimidazolines (II, R = Me and Et) (III and IV, resp.) under the same conditions, apparently the 5-nitro deriv. of III, m. 241.degree. (aq. alc.), the 5,6-dinitro deriv. of III, m. 260.degree. (HCONMe2), and the 5,6-dinitro deriv. of IV, m. 161.degree. (from aq. alc.), are obtained. Ultraviolet spectra curves of the prepd. compds. are presented.
IT **38652-78-7**, **Benzimidazole**, 2-amino-1-[2-(dimethylamino)ethyl]- **38652-79-8**, **Benzimidazole**, 2-amino-1-[2-(diethylamino)ethyl]- **38652-80-1**, **Benzimidazole**, 2-amino-1-[3-(dimethylamino)propyl]- **92423-53-5**, **Benzimidazole**, 2-amino-1-[2-(diethylamino)ethyl]-, dihydrochloride
(prepn. of)
RN 38652-78-7 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

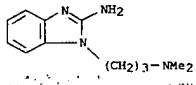


RN 38652-79-8 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)

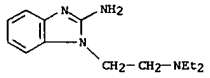


RN 38652-80-1 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

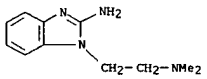


RN 92423-53-5 CAPLUS
CN Benzimidazole, 2-amino-1-[2-(diethylamino)ethyl]-, dihydrochloride (7CI) (CA INDEX NAME)

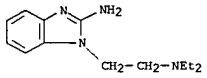


●2 HCl

L6 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1964:52715 CAPLUS
DOCUMENT NUMBER: 60:52715
ORIGINAL REFERENCE NO.: 60:9262f-g
TITLE: 2-Amino-1-dialkylaminoalkylbenzimidazoles
AUTHOR(S): Simonov, A. M.; Belous, A. A.; Lomakin, A. N.; Anisimova, V. A.
CORPORATE SOURCE: State Univ., Rostov
SOURCE: Zhurnal Vsesoyuznogo Khimicheskogo Obshchestva im. D. I. Mendeleeva (1963), 8(6), 712
CODEN: ZVKOA6; ISSN: 0373-0247
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB **Benzimidazole** treated with the appropriate dialkylaminoalkyl chlorides gave the 1-dialkylaminoalkyl derivs. which heated in xylene with NaNH2 gave the following I (R, n, % yield, and m.p. given): Et, 2, 40, 136-7.degree. (di-HC salt m. 219-20.degree.); Me, 2, --, 150-50.5.degree.; Me, 3, --, m. 148-9.degree..
IT **38652-78-7, Benzimidazole**, 2-amino-1-[2-(dimethylamino)ethyl]- **38652-79-8, Benzimidazole**, 2-amino-1-[2-(diethylamino)ethyl]- **38652-80-1, Benzimidazole**, 2-amino-1-[3-(dimethylamino)propyl]- **92423-53-5, Benzimidazole**, 2-amino-1-[2-(diethylamino)ethyl]-, dihydrochloride
(prepn. of)
RN 38652-78-7 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

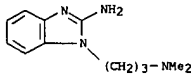



RN 38652-79-8 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)

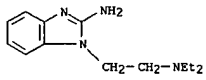



RN 38652-80-1 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

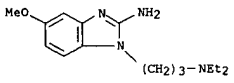



RN 92423-53-5 CAPLUS
CN Benzimidazole, 2-amino-1-[2-(diethylamino)ethyl]-, dihydrochloride (7CI) (CA INDEX NAME)




●2 HCl

L6 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1960:128884 CAPLUS
DOCUMENT NUMBER: 54:128884
ORIGINAL REFERENCE NO.: 54:24677i,24678a-b
TITLE: **Benzimidazole** derivatives. IV. Compounds of 2-aminobenzimidazole series
AUTHOR(S): Simonov, A. M.; Vitkevich, N. D.
CORPORATE SOURCE: State Univ., Rostov-on-Don
SOURCE: Zhurnal Obshchei Khimii (1960), 30, 590-2
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 46, 498c; 54, 11002h. Heating NaNH2 (from 0.45 g. Na) and 2 g. 1-methylbenzimidazole in xylene 3-4 hrs. at 130.degree. gave after an aq. treatment 52% 2-amino-1-methylbenzimidazole (I), m. 201-2.degree.; heating in PhNMe2 resulted in a vigorous reaction, completed in about 1 hr. at 115.degree. to give a 54% yield; picrate m. 310.degree.; HCl salt monohydrate m. 191-2.degree.. Heated with o-O2NC6H4CHO it gave 2-(2-nitrobenzylideneamino)-1-methylbenzimidazole, m. 159-60.degree.. I and Ac2O gave 2-acetamido-1-methylbenzimidazole, m. 181-2.degree.. I and p-O2NC6H4COCl in aq. NaHCO3 gave 100% 2-(p-nitrobenzamido)-1-methylbenzimidazole, m. 293-4.degree.. 2-Amino-5-methoxy-1-methylbenzimidazole (II), m. 222.degree., was prepd. in 55% yield in PhNMe2 similarly to prepn. of I; picrate m. 298-9.degree.; HCl salt m. 231-2.degree.. The base of II was converted to the HCl salt and this, in C5H5N, was treated with .beta.-anthraquinonesulfonyl chloride to yield 80% orange-yellow 2-(.beta.-anthraquinonesulfonamido-5-methoxy-1-methylbenzimidazole, m. 244-5.degree.; treated with NaOH followed by HCl, it gave the HCl salt, a solid. Heating 3-amino-4-(3-diethylaminopropyl)anisole with HCO2H gave 5-methoxy-1-(3-diethylaminopropyl)**benzimidazole**, an oil; dipicrate m. 181-2.degree.. This with NaNH2 in PhNMe2 as above gave 63% 2-amino-5-methoxy-1-(3-diethylaminopropyl)**benzimidazole**, m. 127-8.degree..
IT **131253-75-3, Benzimidazole**, 2-amino-1-(3-diethylaminopropyl)-5-methoxy-
(prepn. of)
RN 131253-75-3 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-diethyl-5-methoxy- (9CI) (CA INDEX NAME)



=> log y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 169.66 | 318.02 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -23.44 | -23.44 |

STN INTERNATIONAL LOGOFF AT 13:55:46 ON 24 JUN 2003